| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|---|---|---|---|---|---|---|
| L1 | 276 | 514/28 | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:36 |
| L2 | 2 | l1 and (bridged AND macrocyclic) | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:37 |
| L3 | 145 | l1 and (macrocyclic or $thromycin) | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:48 |
| L4 | 12 | l3 and bridge$ | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:42 |
| L5 | 461 | 536/7.1 | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:41 |
| L6 | 196 | l5 and (macrocyclic or $thromycin) | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:48 |
| L7 | 11 | l6 and bridge$ | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:48 |
| L8 | 5692 | macrolide | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:48 |
| L9 | 573 | l8 and bridge$ | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:48 |
| L10 | 233 | l9 and $thromycin | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:49 |
| L11 | 230 | l10 and (process or method or making or production or synth$) | US-PGPUB; USPAT; EPO; JPO; DERWENT | OR | OFF | 2005/11/01 13:51 |

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TERMINAL (ENTER 1, 2, 3, OR ?):2


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 NEWS  3  JUL 20   Powerful new interactive analysis and visualization software,
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 NEWS  7  SEP 09   ACD predicted properties enhanced in REGISTRY/ZREGISTRY
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                   to core patent offices
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 NEWS 11  OCT 13   New CAS Information Use Policies Effective October 17, 2005
 NEWS 12  OCT 17   STN(R) AnaVist(TM), Version 1.01, allows the export/download
                   of CAplus documents for use in third-party analysis and
                   visualization tools
 NEWS 13  OCT 27   Free KWIC format extended in full-text databases
 NEWS 14  OCT 27   DIOGENES content streamlined
 NEWS 15  OCT 27   EPFULL enhanced with additional content

 NEWS EXPRESS  JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

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DICTIONARY FILE UPDATES:  31 OCT 2005  HIGHEST RN 866452-21-3

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
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Structure search iteration limits have been increased.  See HELP SLIMITS
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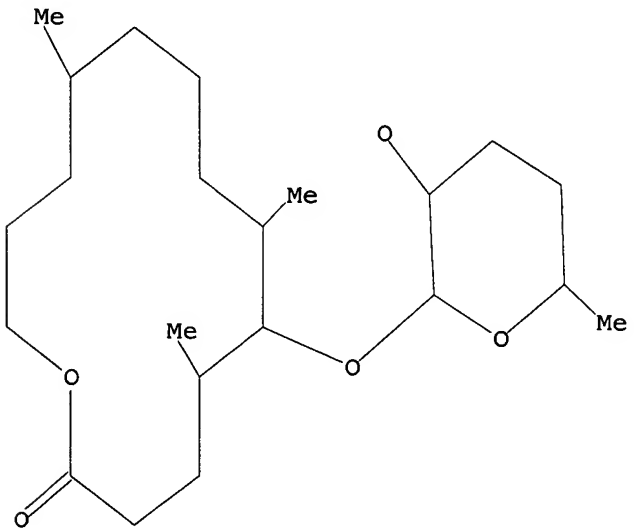
=>
Uploading C:\Documents and Settings\GKrishnan\My Documents\10763377.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR




Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 14:35:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1792 TO ITERATE

100.0% PROCESSED 1792 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 33301 TO 38379
PROJECTED ANSWERS: 13376 TO 16664

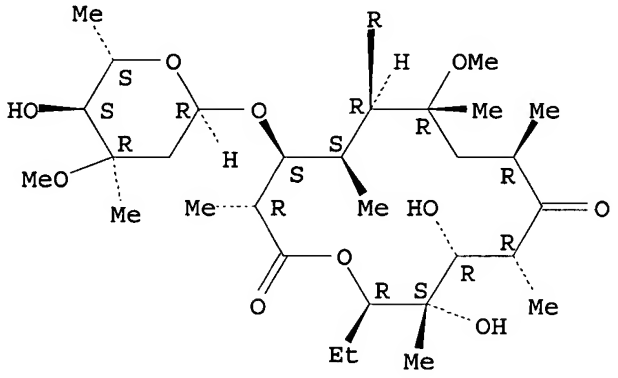
L2 50 SEA SSS SAM L1

=> d scan

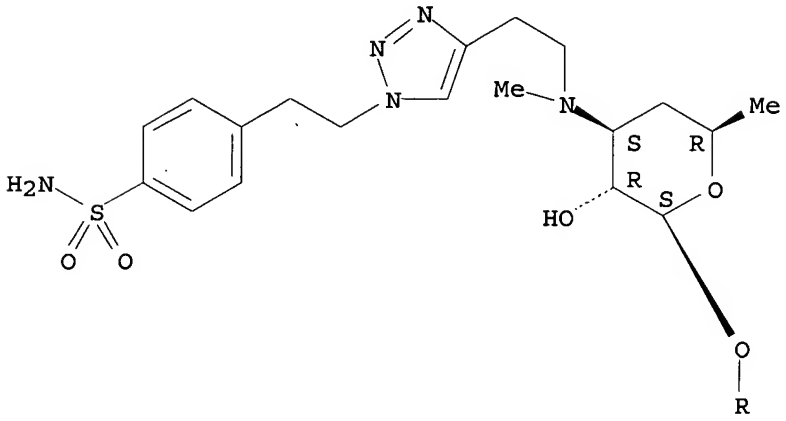
L2 50 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Erythromycin, N-[2-[1-[2-[4-(aminosulfonyl)phenyl]ethyl]-1H-1,2,3-triazol-4-yl]ethyl]-N-demethyl-6-O-methyl- (9CI)
MF C49 H81 N5 O15 S

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

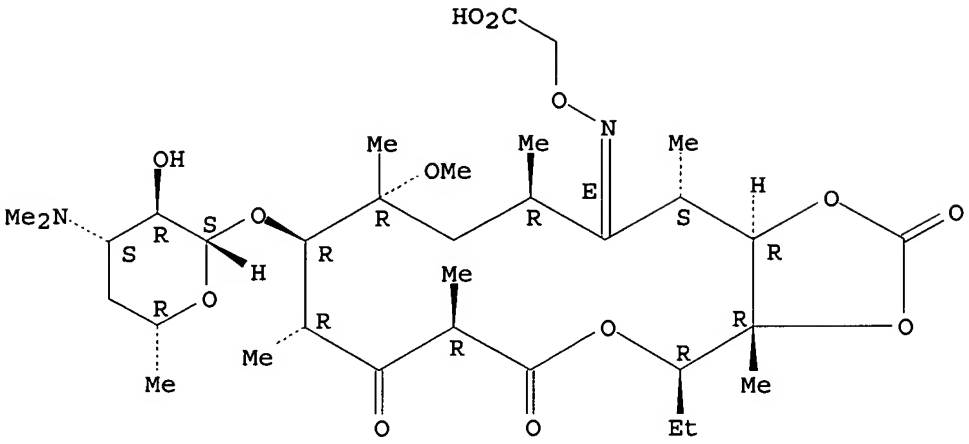


**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 50 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-6-O-methyl-3-oxo-, 9-[O-(carboxymethyl)oxime], cyclic 11,12-carbonate, (9E)- (9CI)
MF C33 H54 N2 O13

Absolute stereochemistry.
Double bond geometry as shown.




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l1 sss full
FULL SEARCH INITIATED 14:35:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 37729 TO ITERATE

100.0% PROCESSED 37729 ITERATIONS 15690 ANSWERS
SEARCH TIME: 00.00.01

L3 15690 SEA SSS FUL L1

=> s l3 and bridg?
110 BRIDG?
L4 0 L3 AND BRIDG?

=> file caplus
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 167.22 | 167.43 |

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FILE LAST UPDATED: 31 Oct 2005 (20051031/ED)

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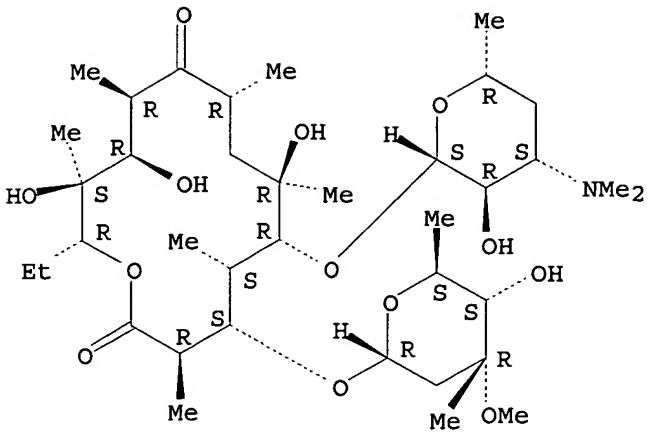
=> s l3 and bridg?
         17468 L3
        162982 BRIDG?
L5          25 L3 AND BRIDG?

=> s l5 and (aithromycin or desmethyl or roxithromycin or clarithromycin or telithromycin or
cethromycin)
             0 AITHROMYCIN
          1411 DESMETHYL
          1217 ROXITHROMYCIN
          3967 CLARITHROMYCIN
             2 CLARITHROMYCINS
          3967 CLARITHROMYCIN
                 (CLARITHROMYCIN OR CLARITHROMYCINS)
           517 TELITHROMYCIN
             2 TELITHROMYCINS
           518 TELITHROMYCIN
                 (TELITHROMYCIN OR TELITHROMYCINS)
            39 CETHROMYCIN
L6           3 L5 AND (AITHROMYCIN OR DESMETHYL OR ROXITHROMYCIN OR CLARITHROMY
               CIN OR TELITHROMYCIN OR CETHROMYCIN)

=> dis l6 1-3 bib abs hitstr

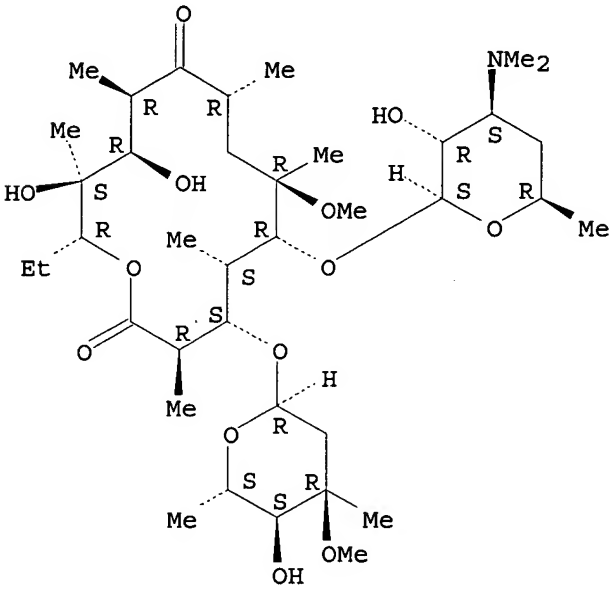
L6   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:304995  CAPLUS
DN   143:282411
TI   First description of Curtobacterium spp. isolated from human clinical
     specimens
AU   Funke, Guido; Aravena-Roman, Max; Frodl, Reinhard
CS   Department of Medical Microbiology and Hygiene, Gaertner & Colleagues
     Laboratories, Weingarten, Germany
SO   Journal of Clinical Microbiology (2005), 43(3), 1032-1036
     CODEN: JCMIDW; ISSN: 0095-1137
PB   American Society for Microbiology
DT   Journal
LA   English
AB   During a 4-yr period, five strains (three of which were doubtless clin.
     significant) of yellow- or orange-pigmented, oxidative, slowly
     acid-producing coryneform bacteria were recovered from human clin.
     specimens in two reference labs. or referred to them.  The strains were motile,
     catalase pos., nitrate reductase neg., and urease neg., but strongly
     hydrolyzed esculin.  In all reference and clin. strains described in the
     present study, anteisopentadecanoic (C15:0ai) and anteisoheptadecanoic
     (C17:0ai) acids represented more than 75% of all cellular fatty acids
     except in one clin. strain and in Curtobacterium pusillum, in which both
     the unusual o-cyclohexyl fatty acid (identified as
     C18:1o7cis/o9cis/o12trans by the Sherlock system) represented more than
     50% of all cellular fatty acids.  In all clin. strains, ornithine was the
     diamino acid of the cell wall, the interpeptide bridge consisted
     of ornithine, and acetyl was the acyl type of the peptidoglycan.
     Therefore, the five clin. strains were unambiguously identified as
     Curtobacterium spp.  Analyses of the complete 16S rRNA genes of the five
     clin. strains with homologies to the established Curtobacterium species
     ranging from 99.2 to 100% confirmed the identifications as Curtobacterium
     spp.  Data on the antimicrobial susceptibility pattern of curtobacteria
     are reported, with macrolides and rifampin showing very low MICs for all
     strains tested.  This report is the first on the isolation of
     Curtobacterium strains from human clin. specimens.
IT   114-07-8, Erythromycin 81103-11-9,
     Clarithromycin
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (first description of Curtobacterium spp. isolated from human clin.
        specimens)
RN   114-07-8  CAPLUS
CN   Erythromycin (8CI, 9CI)  (CA INDEX NAME)

Absolute stereochemistry.  Rotation (-).
```



RN 81103-11-9 CAPLUS
CN Erythromycin, 6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

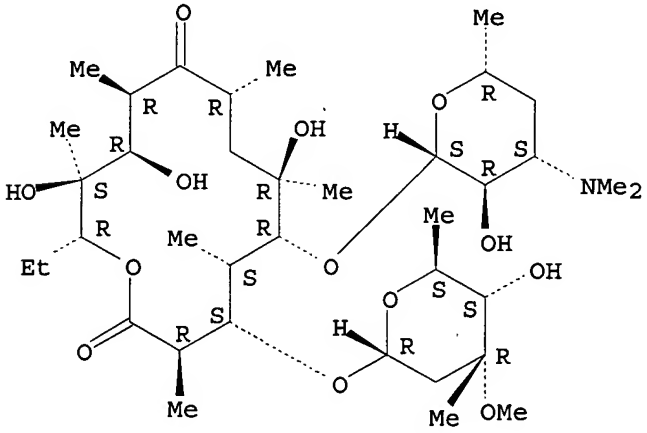
L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:38025 CAPLUS
DN 142:253741
TI Binding site of the **bridged** macrolides in the Escherichia coli ribosome
AU Xiong, Liqun; Korkhin, Yakov; Mankin, Alexander S.
CS Center for Pharmaceutical Biotechnology, University of Illinois, Chicago, IL, USA
SO Antimicrobial Agents and Chemotherapy (2005), 49(1), 281-288
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB Ketolides represent the latest group of macrolide antibiotics. Tight binding of ketolides to the ribosome appears to correlate with the presence of an extended alkyl-aryl side chain. Recently developed 6,11-**bridged** bicyclic ketolides extend the spectrum of platforms used to generate new potent macrolides with extended alkyl-aryl side chains. The purpose of the present study was to characterize the site of binding and the action of **bridged** macrolides in the ribosomes of

Escherichia coli. All the **bridged** macrolides investigated efficiently protected A2058 and A2059 in domain V of 23S rRNA from modification by di-Me sulfate and U2609 from modification by carbodiimide. In addition, **bridged** macrolides that carry extended alkyl-aryl side chains protruding from the 6,11 **bridge** protected A752 in helix 35 of domain II of 23S rRNA from modification by di-Me sulfate. **Bridged** macrolides efficiently displaced erythromycin from the ribosome in a competition binding assay. The A2058G mutation in 23S rRNA conferred resistance to the **bridged** macrolides. The U2609C mutation, which renders E. coli resistant to the previously studied ketolides **telithromycin** and **cethromycin**, barely affected cell susceptibility to the **bridged** macrolides used in this study. The results of the biochem. and genetic studies indicate that in the E. coli ribosome, **bridged** macrolides bind in the nascent peptide exit tunnel at the site previously described for other macrolide antibiotics. The presence of the side chain promotes the formation of specific interactions with the helix 35 of 23S rRNA.

IT **114-07-8**, Erythromycin **191114-48-4**, **Telithromycin 205110-48-1**, **Cethromycin 748796-41-0 846590-06-5 846590-07-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(binding site of **bridged** macrolides in Escherichia coli ribosome)

RN 114-07-8 CAPLUS
CN Erythromycin (8CI, 9CI) (CA INDEX NAME)

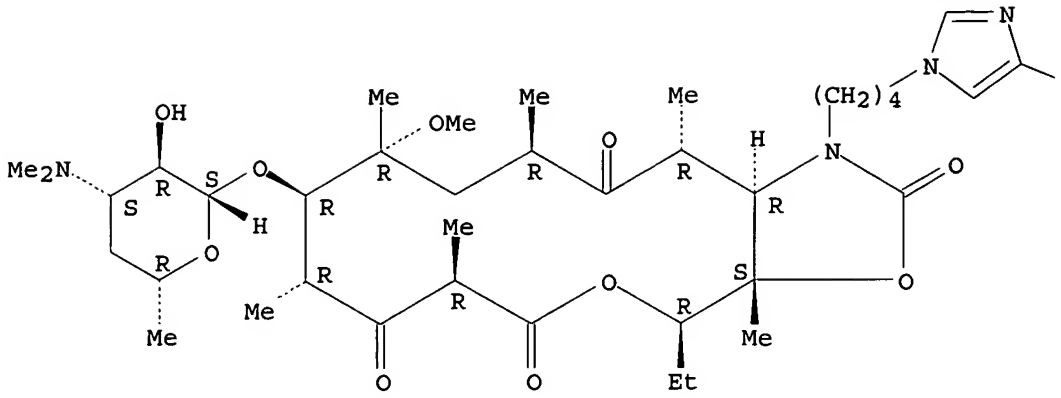
Absolute stereochemistry. Rotation (-).



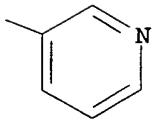
RN 191114-48-4 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyloctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[4-[4-(3-pyridinyl)-1H-imidazol-1-yl]butyl]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

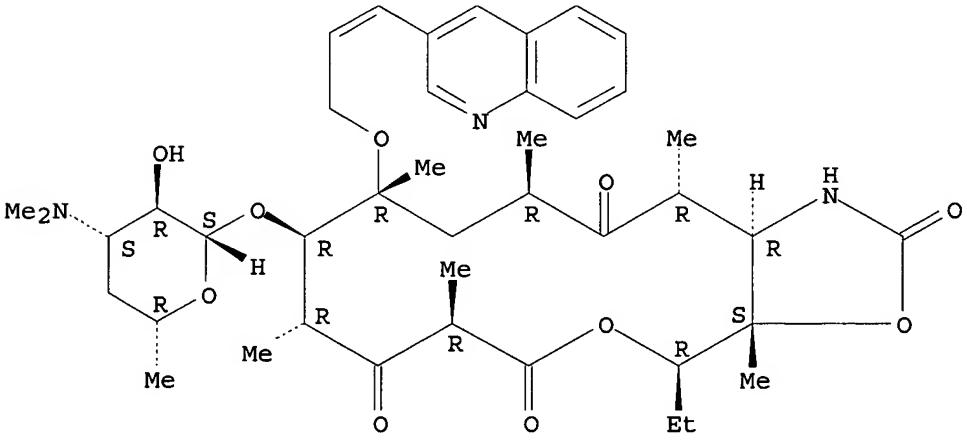


PAGE 1-B

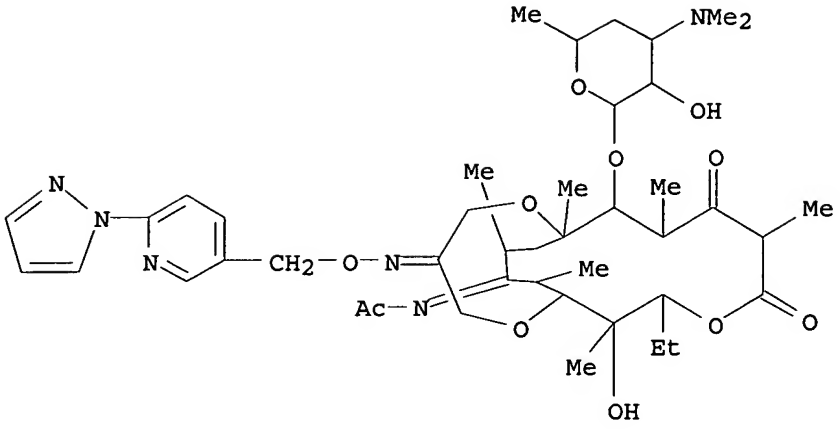


RN 205110-48-1 CAPLUS
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone, 4-ethyloctahydro-3a,7,9,11,13,15-hexamethyl-11-[[3-(3-quinolinyl)-2-propenyl]oxy]-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,10R,11R,13R,15R,15aR)- (9CI) (CA INDEX NAME)

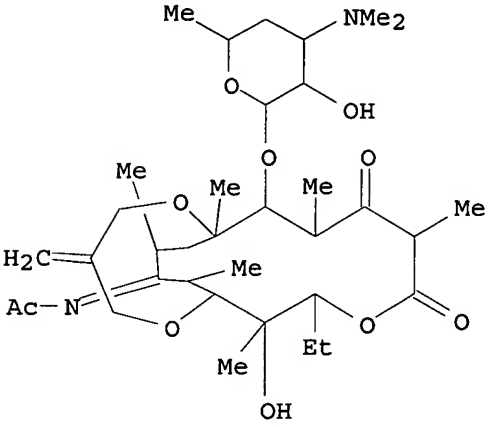
Absolute stereochemistry.
Double bond geometry unknown.



RN 748796-41-0 CAPLUS
CN Erythromycin, 9-(acetylimino)-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-3-oxo-6,11-O-[2-[[[6-(1H-pyrazol-1-yl)-3-pyridinyl]methoxy]imino]-1,3-propanediyl]- (9CI) (CA INDEX NAME)

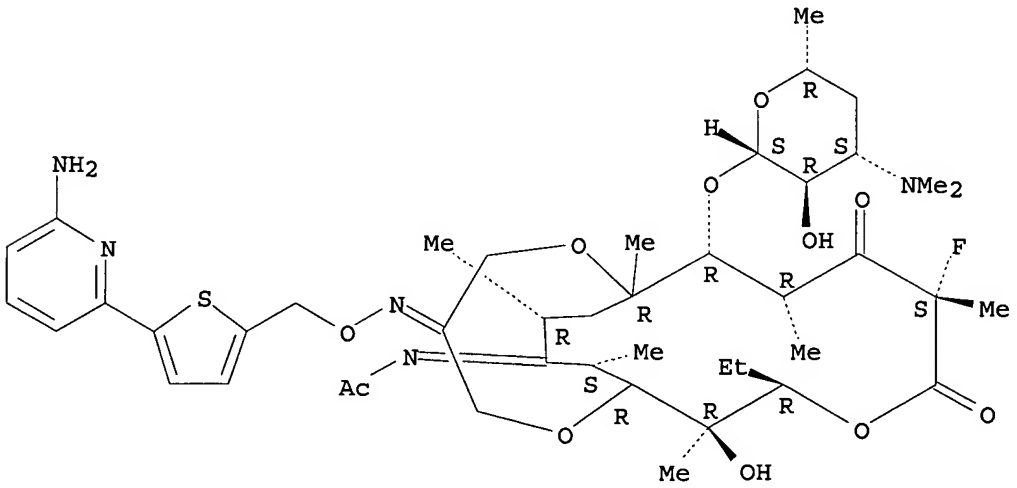


RN 846590-06-5 CAPLUS
CN Erythromycin, 9-(acetylimino)-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo- (9CI) (CA INDEX NAME)



RN 846590-07-6 CAPLUS
CN Erythromycin, 9-(acetylimino)-6,11-O-[2-[[[5-(6-amino-2-pyridinyl)-2-thienyl]methoxy]imino]-1,3-propanediyl]-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-2-fluoro-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:449852 CAPLUS
DN 137:29660
TI X-ray crystal structures of functional Thermus thermophilus ribosome complexes containing tRNA and model mRNAs and their use in pharmacophore design
IN Noller, Harry F.; Cate, Jamie H. D.; Yusupov, Marat M.; Yusupova, Gulnara Zh.; Baucom, Albion E.; Lancaster, Laura; Dallas, Anne; Lieberman, Kathy
PA The Regents of the University of California, USA
SO PCT Int. Appl., 527 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

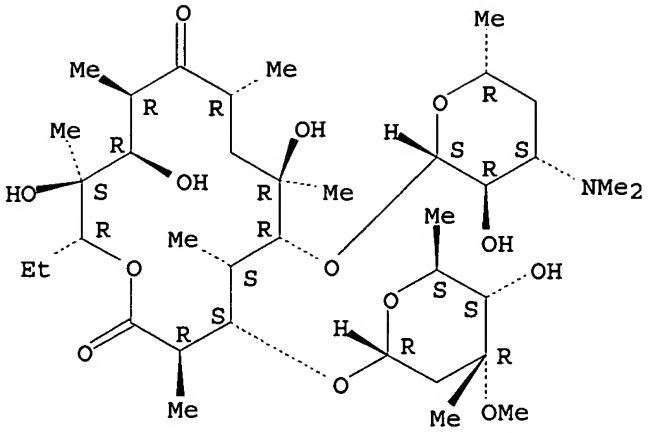
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002046392 | A2 | 20020613 | WO 2001-US47975 | 20011210 |
| | WO 2002046392 | A3 | 20030605 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2002041614 | A5 | 20020618 | AU 2002-41614 | 20011210 |
| | US 2002188108 | A1 | 20021212 | US 2001-13379 | 20011210 |
| | EP 1351982 | A2 | 20031015 | EP 2001-988295 | 20011210 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | JP 2004532972 | T2 | 20041028 | JP 2002-548110 | 20011210 |
| PRAI | US 2000-254603P | P | 20001209 | | |
| | US 2001-278013P | P | 20010322 | | |
| | US 2001-294394P | P | 20010530 | | |
| | WO 2001-US47975 | W | 20011210 | | |

AB Structures of Thermus thermophilus 70S ribosome complexes containing mRNA, tRNA, or tRNA analogs, are solved by x-ray crystallog. at up to 5.5 Å resolution Many details of the interactions between tRNA and the ribosome, and of the packing arrangement of rRNA helixes in and between the ribosomal subunits can be seen. Numerous contacts are made between the 30S subunit and the P-tRNA anticodon stem-loop; in contrast, the anticodon region of A-tRNA is much more exposed. A complex network of mol. interactions suggestive of a functional relay is centered around the long penultimate stem of 16S rRNA at the subunit interface, including interactions involving the "switch" helix and decoding site of 16S rRNA and RNA **bridges** from the 50S subunit. The resolution of the 5.5 Å resolution map was enhanced by fitting atomic resolution structures of 30S and 50S subunits onto the 5.5 Å electron d. map. The enhanced structure reveals regions of structural differences between the 70S complex and the structures of the individual 30S and 50S components. Pharmacophore design to discover novel inhibitors or activators may be carried out using the enhanced 5.5 Å 70S structure.

IT **114-07-8**, Erythromycin **80214-83-1**, **Roxithromycin** **81103-11-9**, **Clarithromycin**
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacophore design from; x-ray crystal structures of functional Thermus thermophilus ribosome complexes containing tRNA and model mRNAs and their use in pharmacophore design)

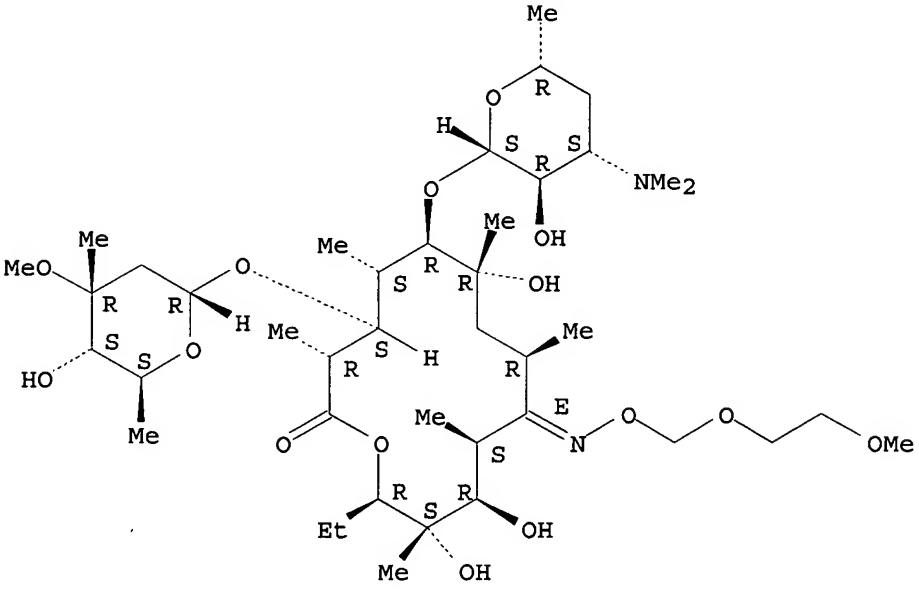
RN 114-07-8 CAPLUS
CN Erythromycin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



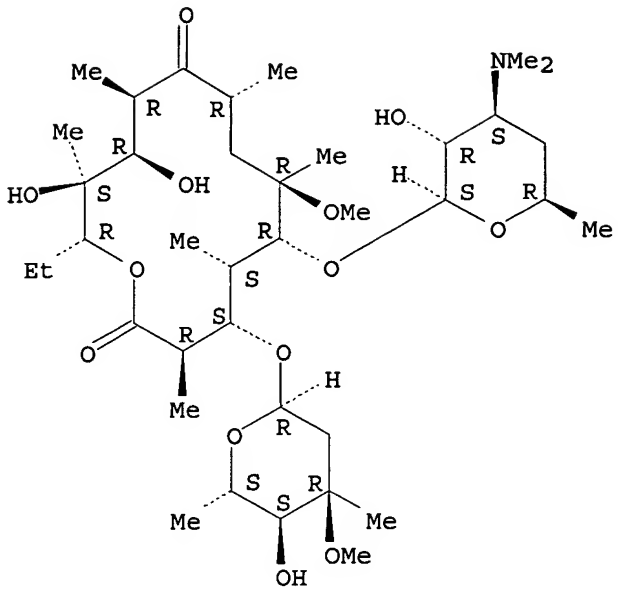
RN 80214-83-1 CAPLUS
CN Erythromycin, 9-[O-[(2-methoxyethoxy)methyl]oxime], (9E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 81103-11-9 CAPLUS
CN Erythromycin, 6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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        2967256 METHOD
        1220871 METHODS
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         577448 PRODUCTION
           2956 PRODUCTIONS
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L7           12 L5 AND (PROCESS OR METHOD OR PRODUCTION OR SYNTH?)

=> dis l7 1-12 bib abs hitstr

L7   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:34589  CAPLUS
DN   142:114362
TI   Preparation of glycoside bridged macrocyclic compounds as
     antibacterial agents
IN   Or, Yat Sun
PA   USA
SO   U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 464,188.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 10
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   US 2005009761        A1    20050113    US 2004-763377          20040123
     US 2004023895        A1    20040205    US 2002-205018          20020725
     US 6841664           B2    20050111
     US 6753318           B1    20040622    US 2002-205357          20020725
     US 2005037982        A1    20050217    US 2003-429485          20030505
     US 6878691           B2    20050412
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|---|---|---|---|---|---|
| | US 2004053861 | A1 | 20040318 | US 2003-436622 | 20030513 |
| | US 6764998 | B1 | 20040720 | US 2003-464188 | 20030618 |
| PRAI | US 2002-144396 | B2 | 20020513 | | |
| | US 2002-144558 | B2 | 20020513 | | |
| | US 2002-205018 | A2 | 20020725 | | |
| | US 2002-205357 | A2 | 20020725 | | |
| | US 2003-429485 | A2 | 20030505 | | |
| | US 2003-436622 | A2 | 20030513 | | |
| | US 2003-464188 | A2 | 20030618 | | |

OS MARPAT 142:114362

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a **method** for preparing **bridged** macrocyclic glycosides, e.g. I, wherein R is H, acyl, silane, hydroxy protecting group; L and R3 are independently H, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; one of U or V is H and the other is independently selected from R4, , OR4, OC(O)R4, oxy-amide, S(O)nR4, sugar residue; R4 is H, deuterium, alkyl, alicyclic, aromatic, heterocyclic; U and V, taken together with the carbon atom to which they are attached, are C:O, or UV and R1R2, taken together with the carbon atoms to which they are attached, are -C(R4)CH-; X and Y together with the carbon atom to which they are attached are CO, imine, oxime; X1 is H or halogen; n is 0-2, comprising the step of reacting a macrocyclic compound characterized by having at least two nucleophilic moieties with a bi-functional **bridging** reagent optionally in the presence of a catalyst, thereby producing a **bridged** macrocyclic product. Thus, macrolide II was prepared as potential antibacterial agent. This invention also encompasses pharmaceutical compns. containing, and **methods** of treating bacterial infections through administering, pharmaceutically acceptable prodrugs of compds. produced by the **process** of the present invention (no data).

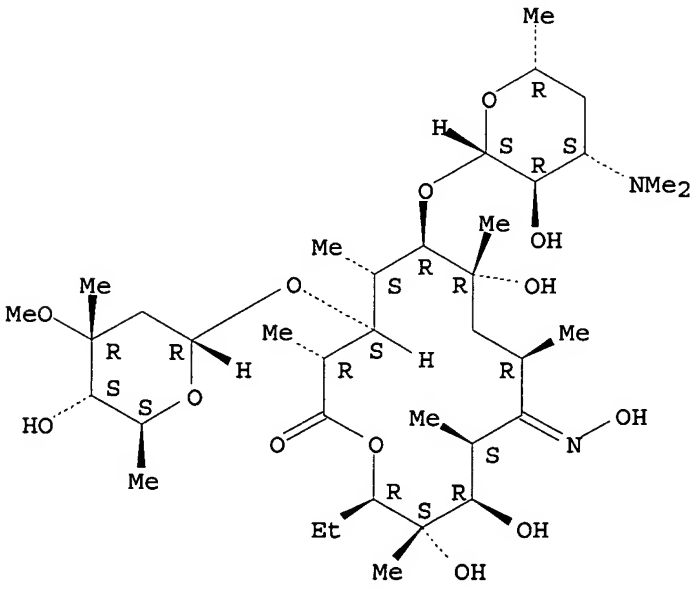
IT **13127-18-9**

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of glycoside **bridged** macrocyclic compds. as antibacterial agents)

RN 13127-18-9 CAPLUS

CN Erythromycin, 9-oxime (8CI, 9CI) (CA INDEX NAME)

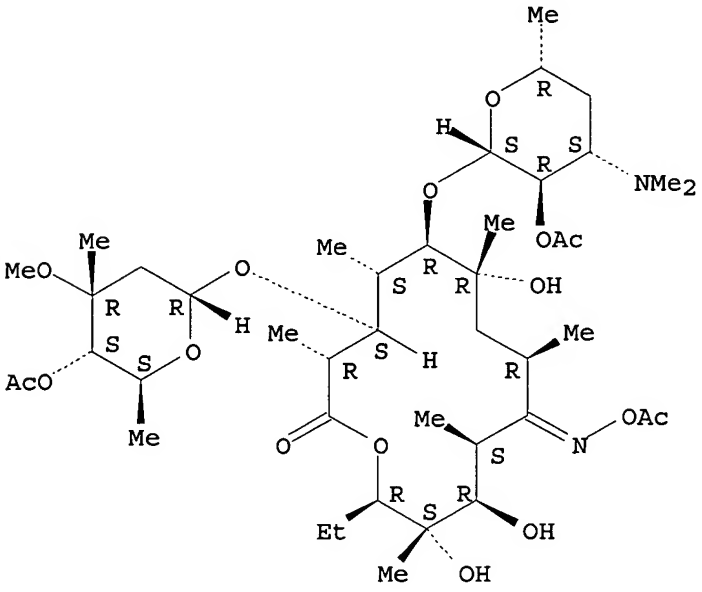
Absolute stereochemistry.
Double bond geometry unknown.



IT 314050-27-6P 625390-08-1P 652150-16-8P
823802-96-6P 823802-97-7P 823802-99-9P
823803-00-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of glycoside **bridged** macrocyclic compds. as antibacterial agents)

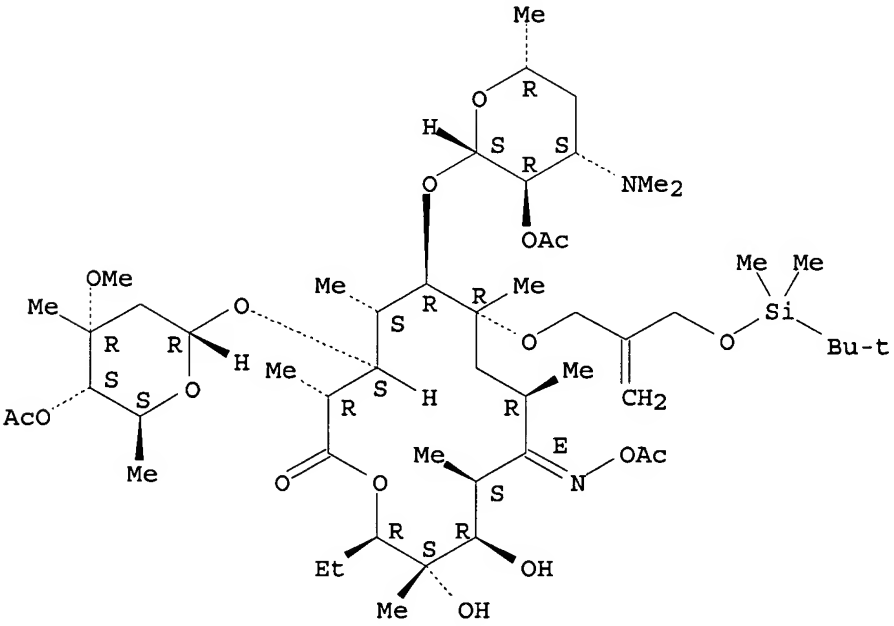
RN 314050-27-6 CAPLUS
CN Erythromycin, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 625390-08-1 CAPLUS
CN Erythromycin, 6-O-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-propenyl]-, 9-(O-acetyloxime), 2',4''-diacetate, (9E)- (9CI) (CA INDEX NAME)

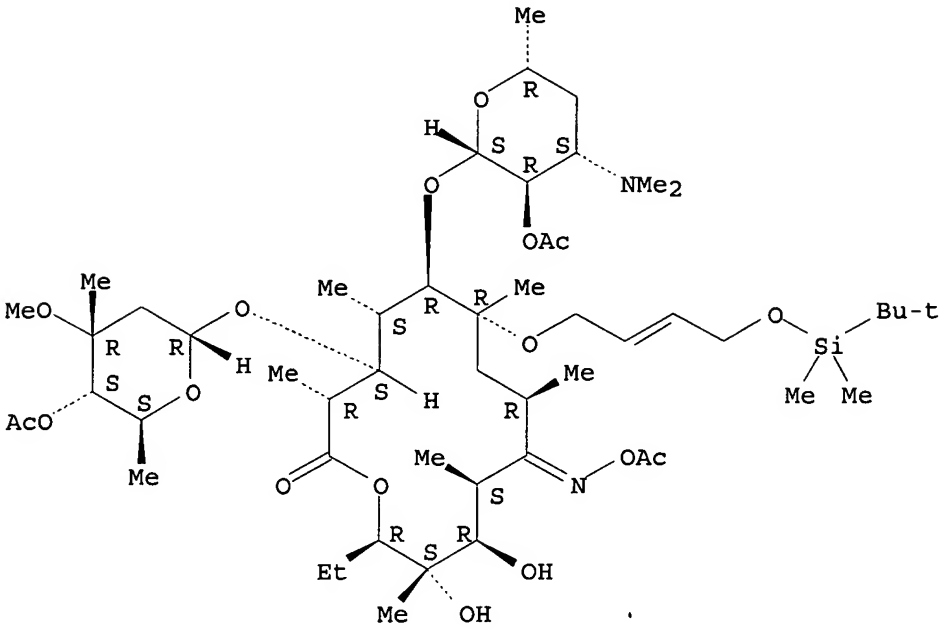
Absolute stereochemistry.
Double bond geometry as shown.



RN 652150-16-8 CAPLUS

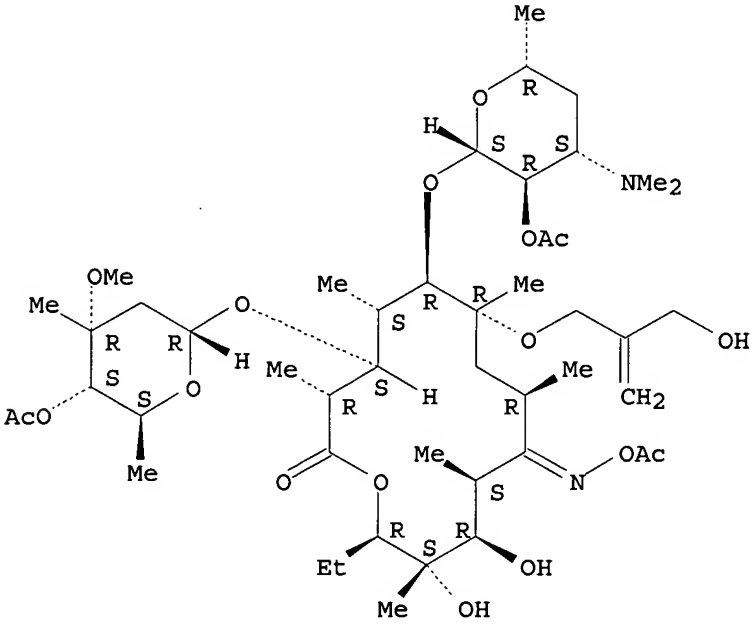
CN Erythromycin, 6-O-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-butenyl]-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



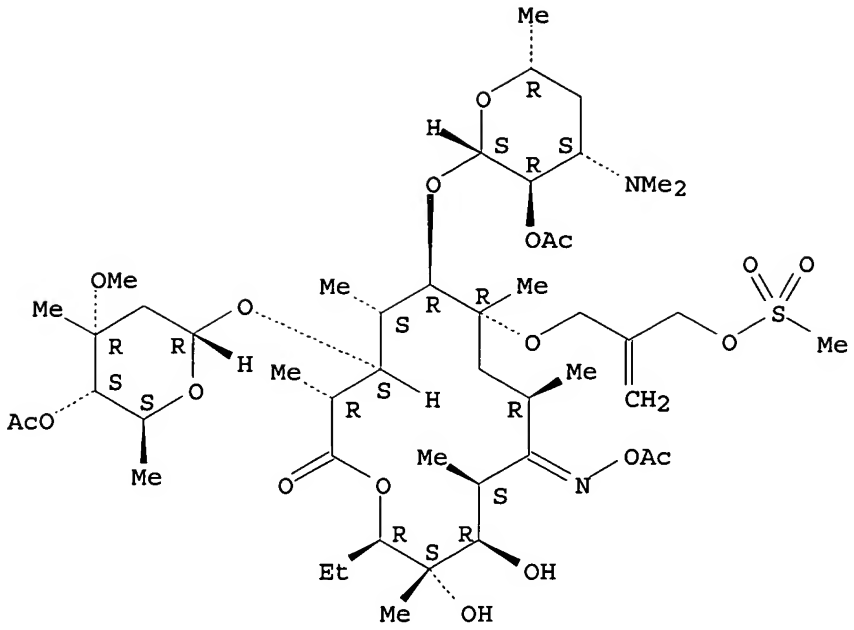
RN 823802-96-6 CAPLUS
CN Erythromycin, 6-O-[2-(hydroxymethyl)-2-propenyl]-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



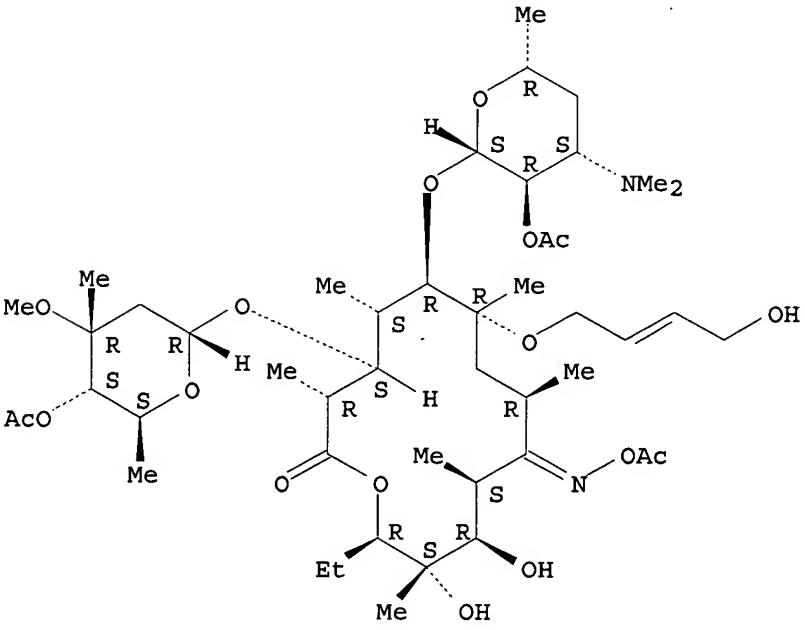
RN 823802-97-7 CAPLUS
CN Erythromycin, 6-O-[2-[[(methylsulfonyl)oxy]methyl]-2-propenyl]-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



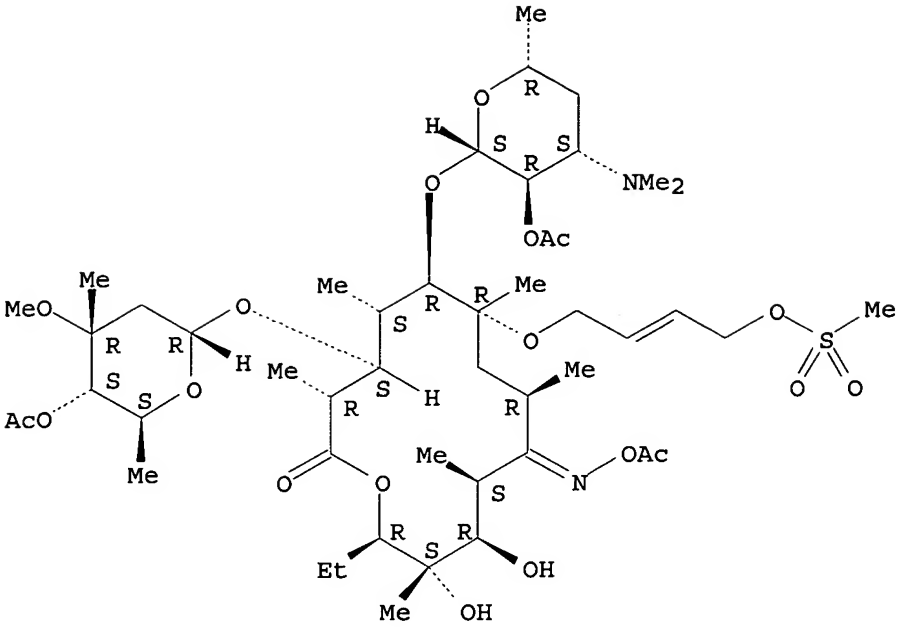
RN 823802-99-9 CAPLUS
CN Erythromycin, 6-O-(4-hydroxy-2-butenyl)-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 823803-00-5 CAPLUS
CN Erythromycin, 6-O-[4-[(methylsulfonyl)oxy]-2-butenyl]-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

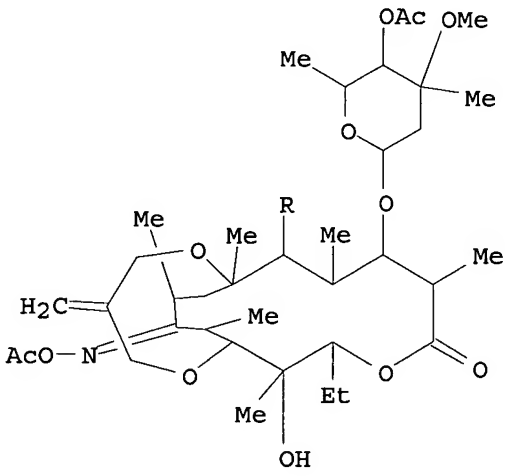


IT 620161-76-4P 823802-98-8P 823803-01-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of glycoside **bridged** macrocyclic compds. as antibacterial agents)

RN 620161-76-4 CAPLUS

CN Erythromycin, 6,10-O-(2-methylene-1,3-propanediyl)-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

PAGE 1-A



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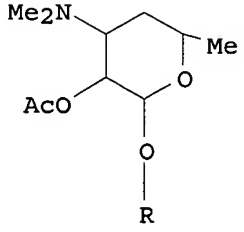
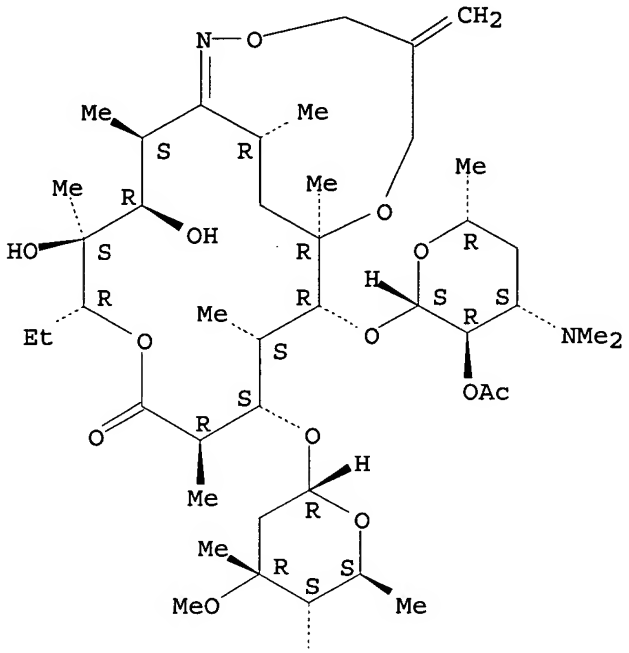


RN 823802-98-8 CAPLUS

CN 6,13,17-Trioxa-18-azabicyclo[10.6.2]eicos-1(18)-en-7-one, 9-[(4-O-acetyl-2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-11-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-5-ethyl-3,4-dihydroxy-2,4,8,10,12,19-hexamethyl-15-methylene-, (2S,3R,4S,5R,8R,9S,10S,11R,12R,19R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



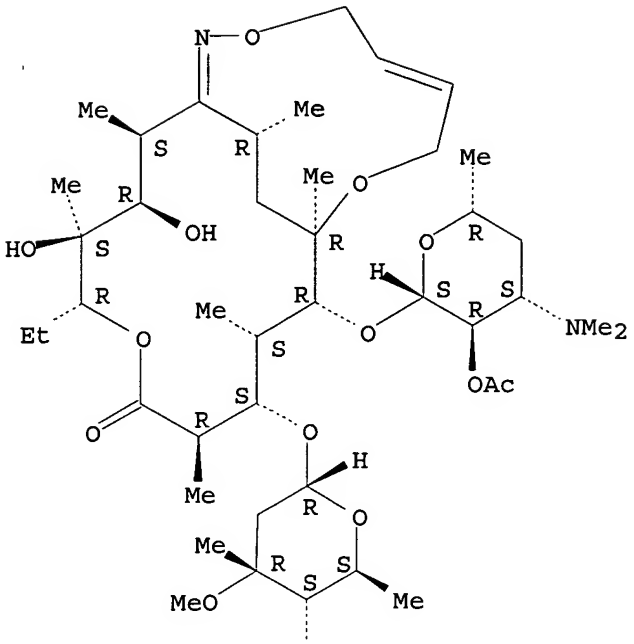

PAGE 2-A




RN 823803-01-6 CAPLUS
CN 6,13,18-Trioxa-19-azabicyclo[10.7.2]heneicosa-1(19),15-dien-7-one, 9-[(4-O-acetyl-2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-11-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-5-ethyl-3,4-dihydroxy-2,4,8,10,12,20-hexamethyl-, (2S,3R,4S,5R,8R,9S,10S,11R,12R,20R)- (9CI) (CA INDEX NAME)

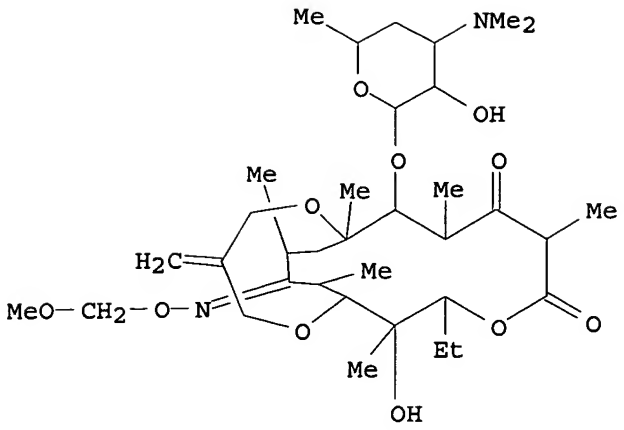
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

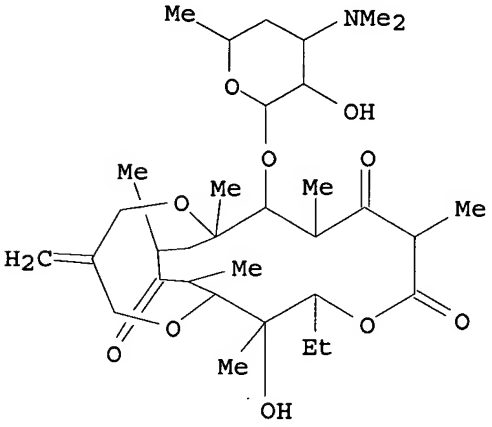


PAGE 2-A

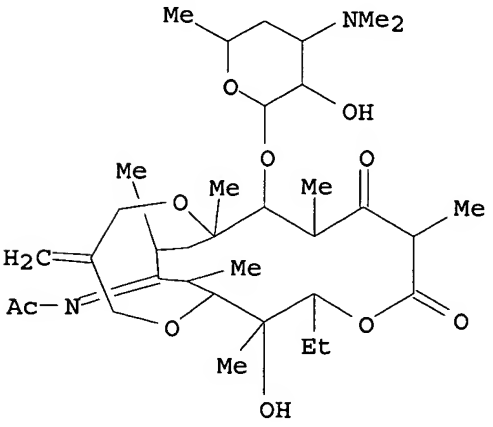
L7 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:890622 CAPLUS
DN 142:56597
TI **Synthesis** of Novel 6,11-O-**Bridged** Bicyclic Ketolides via a Palladium-Catalyzed Bis-allylation
AU Wang, Guoqiang; Niu, Deqiang; Qiu, Yao-Ling; Phan, Ly Tam; Chen, Zhigang; Polemeropoulos, Alexander; Or, Yat Sun
CS Enanta Pharmaceuticals, Inc., Watertown, MA, 02472, USA
SO Organic Letters (2004), 6(24), 4455-4458
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:56597
AB A **bridging** chemical **process** was developed to form an ether **bridge** between 6-O and 11-O of erythromycin A via a tandem or stepwise palladium-catalyzed bis-π-allylation. By applying this **bridging process**, new 6,11-O-**bridged** bicyclic ketolides (BBKs) were **synthesized**. These BBKs showed good antibacterial activities against the macrolide-susceptible strains as well as mef-resistant strains and served as a good core for further modifications to study the structure-activity relationship (SAR) and to overcome bacterial resistance.
IT **628698-70-4P 628702-87-4P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(antibacterial activity; **synthesis** of 6,11-O-**bridged** bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)
RN 628698-70-4 CAPLUS
CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, 9-[O-(methoxymethyl)oxime], (9E)- (9CI) (CA INDEX NAME)



RN 628702-87-4 CAPLUS
CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo- (9CI) (CA INDEX NAME)

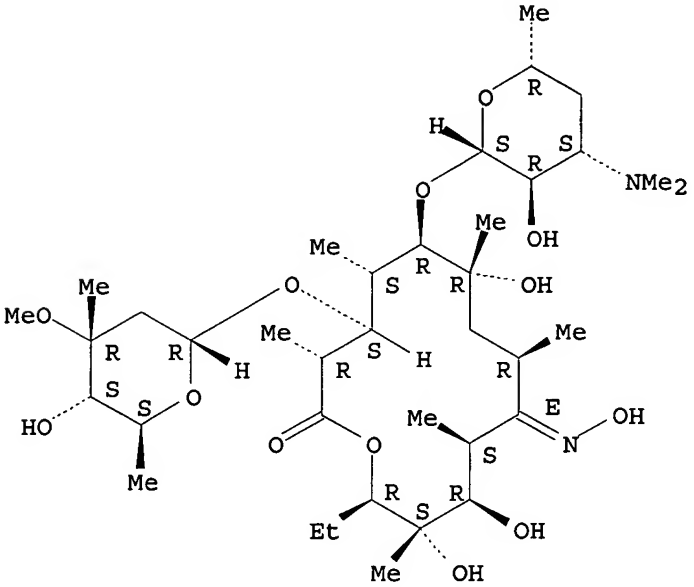


IT **628698-53-3P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(crystal structure of; **synthesis** of 6,11-O-**bridged** bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)
RN 628698-53-3 CAPLUS
CN Erythromycin, 9-(acetylimino)-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, (9E)- (9CI) (CA INDEX NAME)



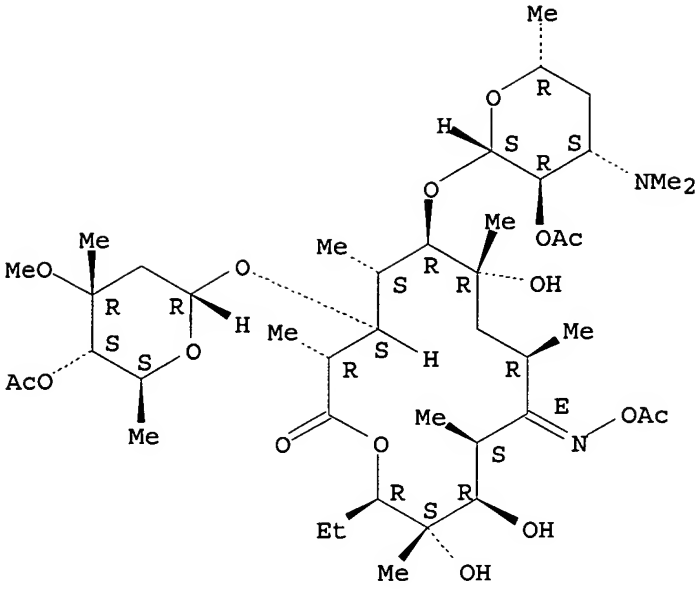
IT 111321-02-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(**synthesis** of 6,11-O-**bridged** bicyclic ketolides via
a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)
RN 111321-02-9 CAPLUS
CN Erythromycin, 9-oxime, (9E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



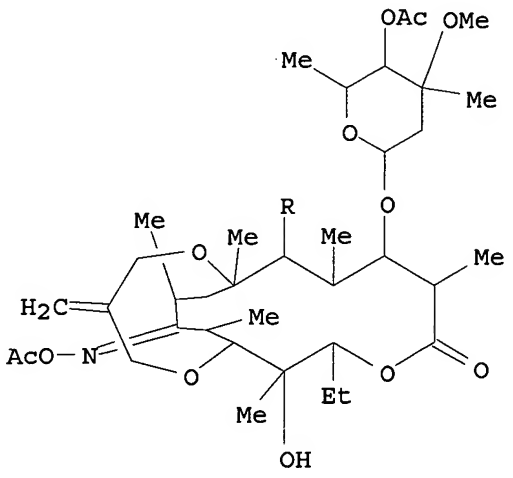
IT 625389-96-0P 625389-97-1P 625390-05-8P
625390-08-1P 625390-12-7P 625390-14-9P
625390-16-1P 625390-18-3P 625390-20-7P
625390-28-5P 628698-52-2P 628698-69-1P
628702-86-3P 628703-03-7P 808765-29-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**synthesis** of 6,11-O-**bridged** bicyclic ketolides via
a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)
RN 625389-96-0 CAPLUS
CN Erythromycin, 9-(O-acetyloxime), 2',4''-diacetate, (9E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 625389-97-1 CAPLUS
CN Erythromycin, 6,10-O-(2-methylene-1,3-propanediyl)-, 9-(O-acetyloxime), 2',4''-diacetate, (9E)- (9CI) (CA INDEX NAME)

PAGE 1-A



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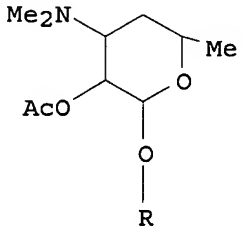
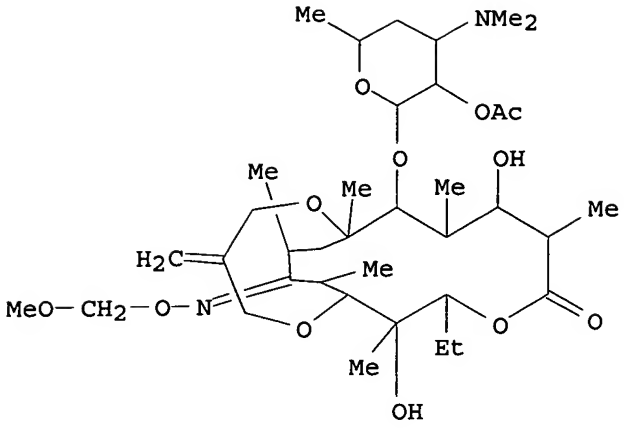
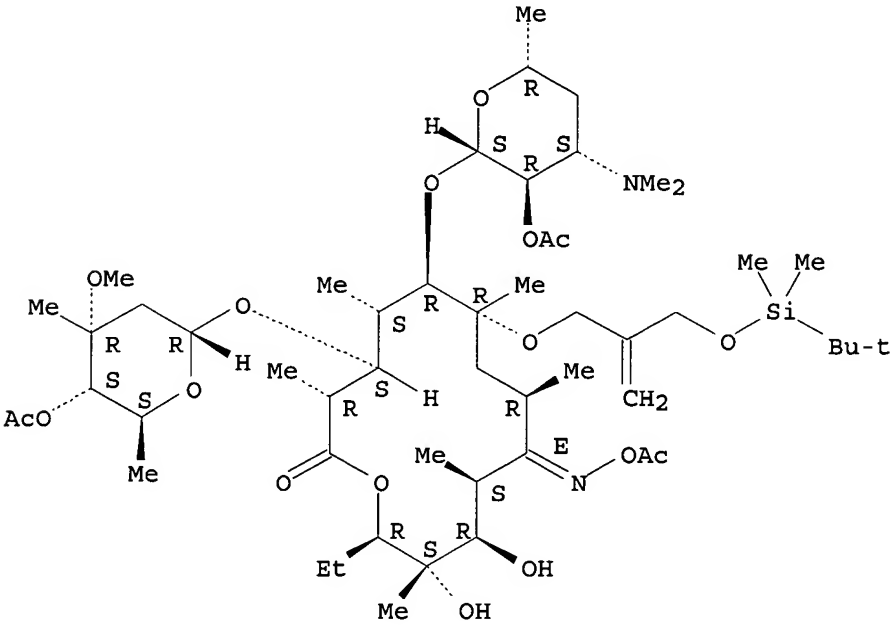


RN 625390-05-8 CAPLUS
CN Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-6,11-O-(2-methylene-1,3-propanediyl)-, 9-[O-(methoxymethyl)oxime], 2'-acetate, (9E)- (9CI) (CA INDEX NAME)



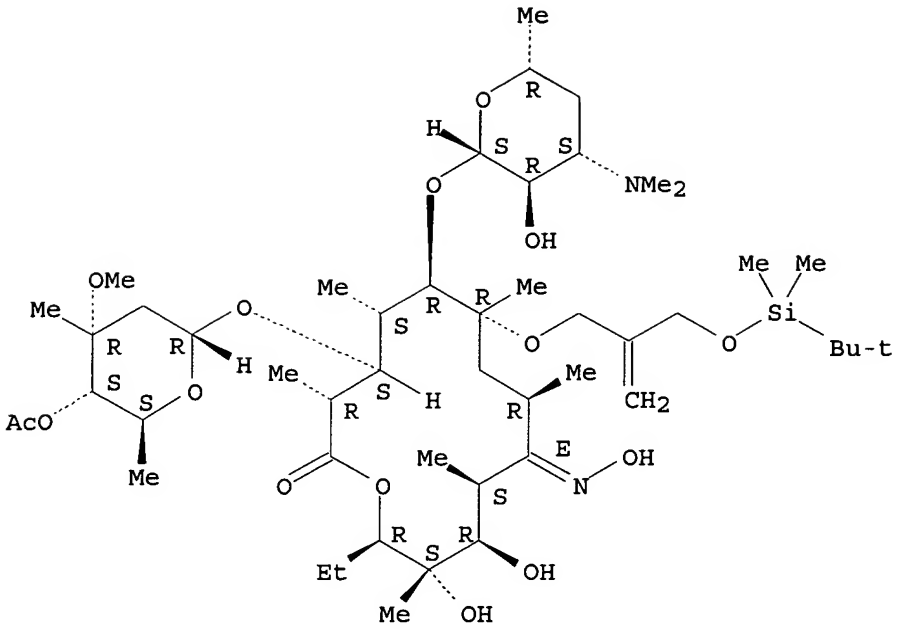
RN 625390-08-1 CAPLUS
CN Erythromycin, 6-O-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-propenyl]-, 9-(O-acetyloxime), 2',4''-diacetate, (9E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 625390-12-7 CAPLUS
CN Erythromycin, 6-O-[2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-propenyl]-, 9-oxime, 4''-acetate, (9E)- (9CI) (CA INDEX NAME)

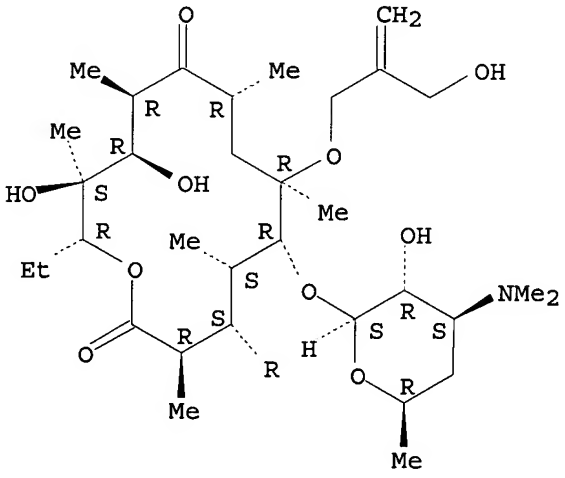
Absolute stereochemistry.
Double bond geometry as shown.



RN 625390-14-9 CAPLUS
CN Erythromycin, 6-O-[2-(hydroxymethyl)-2-propenyl]-, 4''-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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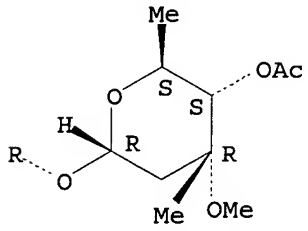
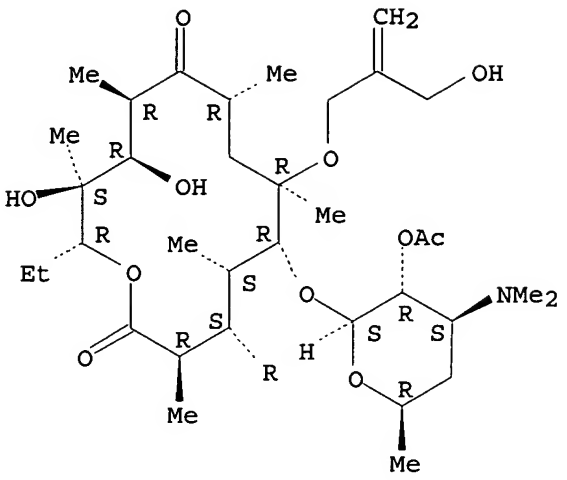


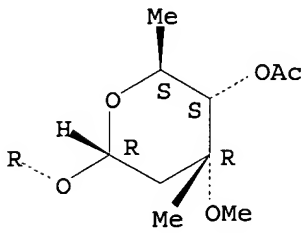
RN 625390-16-1 CAPLUS
CN Erythromycin, 6-O-[2-(hydroxymethyl)-2-propenyl]-, 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



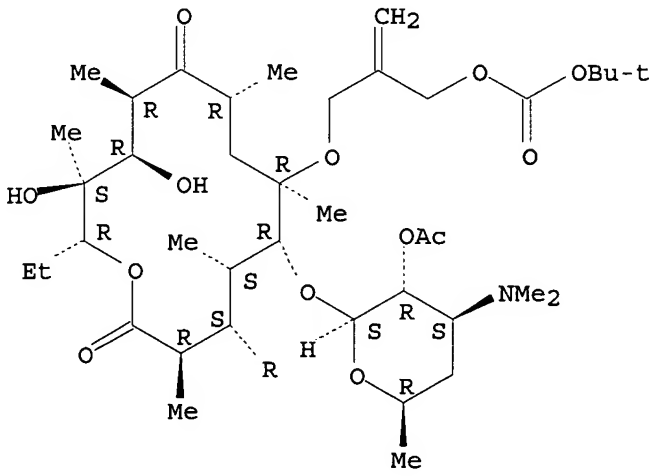
PAGE 2-A

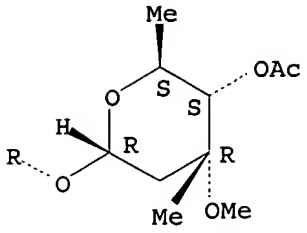


RN 625390-18-3 CAPLUS
CN Erythromycin, 6-O-[2-[[[(1,1-dimethylethoxy)carbonyl]oxy]methyl]-2-propenyl]-, 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

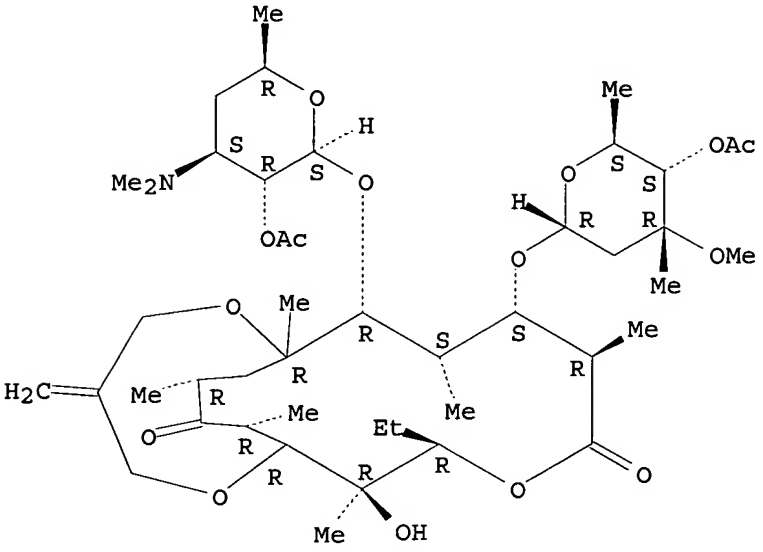
PAGE 1-A



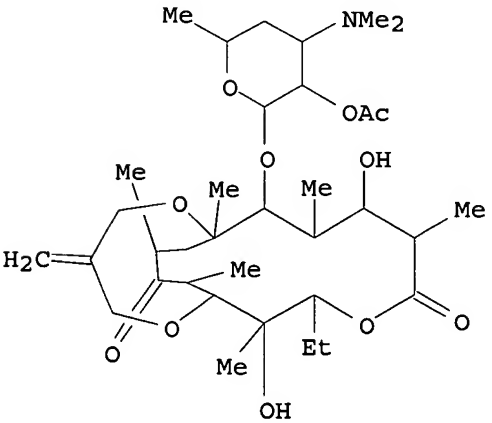


RN 625390-20-7 CAPLUS
CN Erythromycin, 6,11-O-(2-methylene-1,3-propanediyl)-, 2',4''-diacetate (9CI) (CA INDEX NAME)

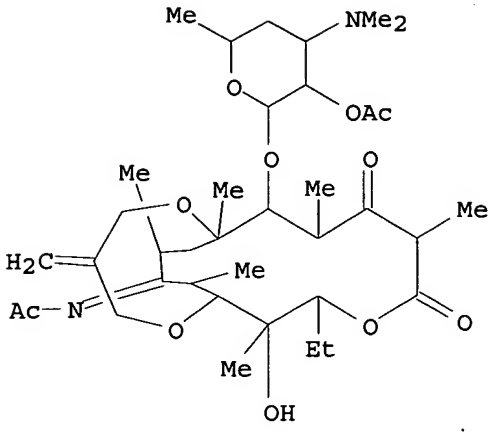
Absolute stereochemistry.



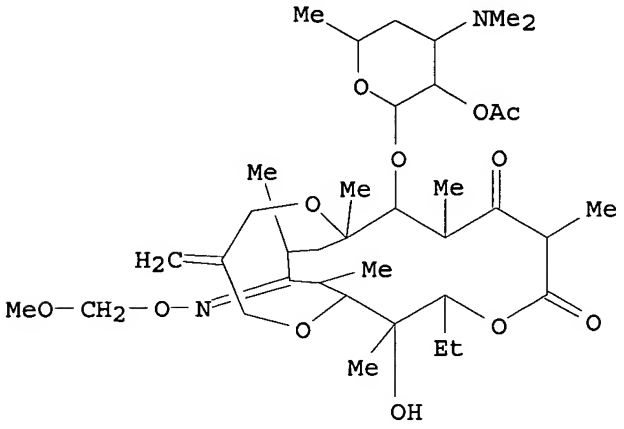
RN 625390-28-5 CAPLUS
CN Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-6,11-O-(2-methylene-1,3-propanediyl)-, 2'-acetate (9CI) (CA INDEX NAME)



RN 628698-52-2 CAPLUS
CN Erythromycin, 9-(acetylimino)-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, 2'-acetate, (9E)- (9CI) (CA INDEX NAME)

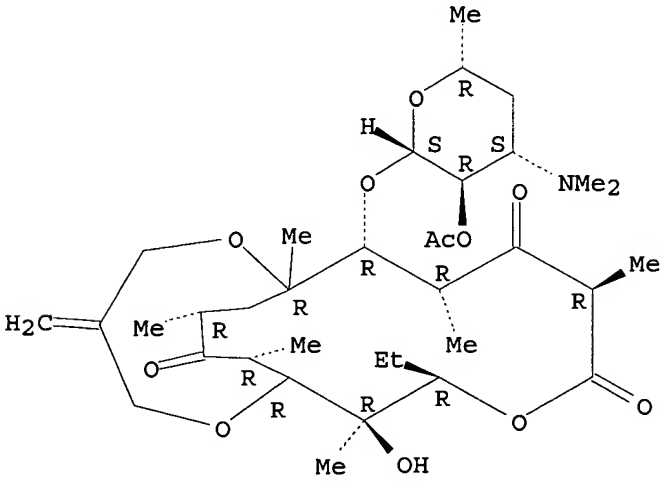


RN 628698-69-1 CAPLUS
CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, 9-[O-(methoxymethyl)oxime], 2'-acetate, (9E)- (9CI) (CA INDEX NAME)



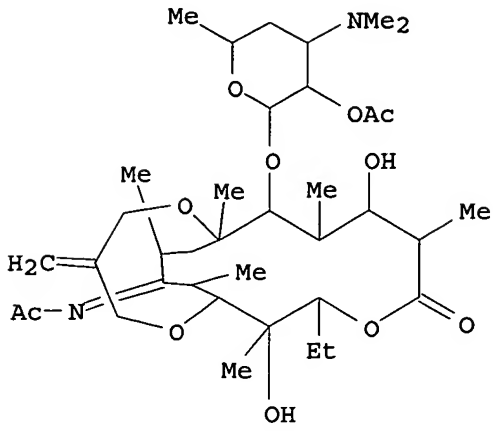
RN 628702-86-3 CAPLUS
CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-6,11-O-(2-methylene-1,3-propanediyl)-3-oxo-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

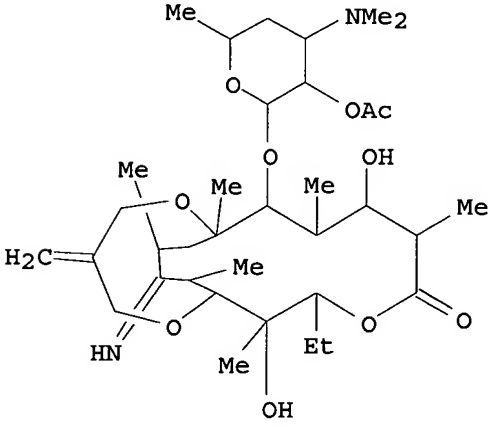


RN 628703-03-7 CAPLUS
CN Erythromycin, 9-(acetylimino)-3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-9-deoxo-6,11-O-(2-methylene-1,3-propanediyl)-

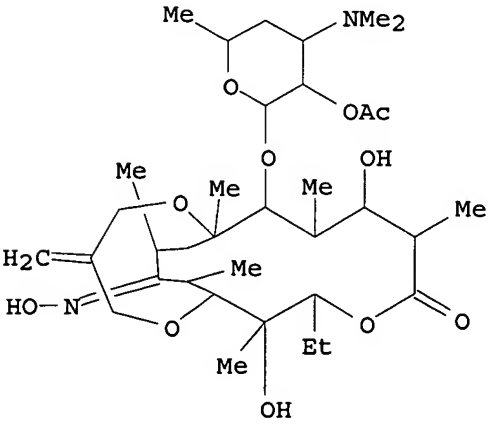
, 2'-acetate, (9E)- (9CI)  (CA INDEX NAME)



RN  808765-29-9  CAPLUS
CN  Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-9-deoxo-9-imino-6,11-O-(2-methylene-1,3-propanediyl)-, 2'-acetate (9CI)  (CA INDEX NAME)

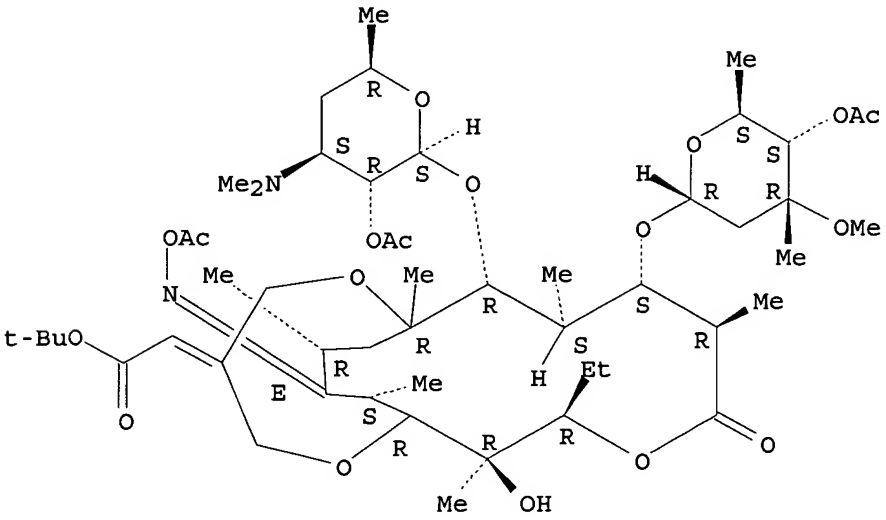


IT  **625390-04-7P 808765-30-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(**synthesis** of 6,11-O-**bridged** bicyclic ketolides via a palladium-catalyzed bis-allylation or stepwise 6-O,11-O-dialkylation)
RN  625390-04-7  CAPLUS
CN  Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-6,10-O-(2-methylene-1,3-propanediyl)-, 9-oxime, 2'-acetate, (9E)- (9CI)  (CA INDEX NAME)



RN 808765-30-2 CAPLUS
CN Erythromycin, 6,11-O-[2-[2-(1,1-dimethylethoxy)-2-oxoethylidene]-1,3-propanediyl]-, 9-O-acetyloxime, 2',4''-diacetate, (9E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

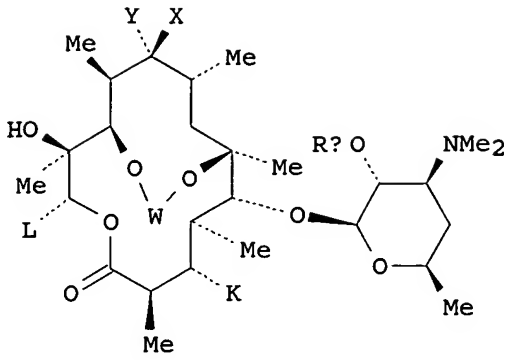


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:101000 CAPLUS
DN 140:146397
TI Preparation of 6,11-4-carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents
IN Or, Yat Sun; Wang, Guogiang; Niu, Deqiang; Phan, Ly Tam
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 10

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004011009 | A1 | 20040205 | WO 2003-US20860 | 20030701 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 6753318 | B1 | 20040622 | US 2002-205357 | 20020725 |
| | US 2005009763 | A1 | 20050113 | US 2004-841249 | 20040507 |
| PRAI | US 2002-205357 | A | 20020725 | | |

OS CASREACT 140:146397; MARPAT 140:146397
GI

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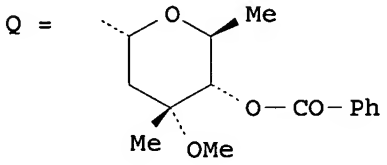



AB Novel 6,11-4-carbon **bridged** erythromycin ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group; K is H, alkoxy, ester, carbamate, sulfoxide, sugar residue; pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are **methods** for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound of the invention and **processes** for the preparation of such compds. Thus, I (W is -CH2CH=CHCH2-, X and Y taken together with the carbon atom they are attached to form C=N-OH, L is Et, Rx = H; K is sugar residue Q) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64 μg/mL to about 0.03 μg/mL.

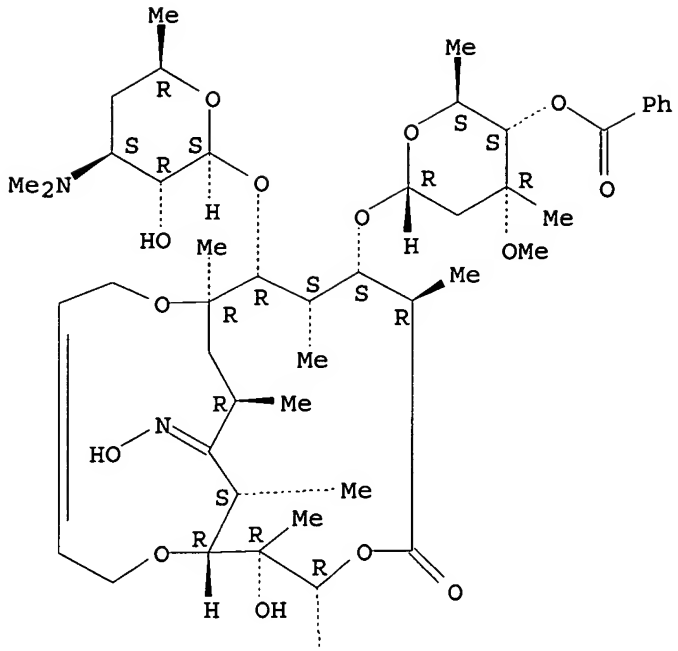
IT **652150-09-9P 652157-55-6P 652157-59-0P**
**652157-60-3P 652157-61-4P 652157-62-5P**
**652157-63-6P 652157-64-7P 652157-65-8P**
**652157-66-9P 652157-67-0P 652157-68-1P**
**652157-69-2P 652157-70-5P 652157-71-6P**
**652157-72-7P 652157-73-8P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents)

RN 652150-09-9 CAPLUS

CN Erythromycin, 6,11-O-2-butene-1,4-diyl-, 9-oxime, 4''-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



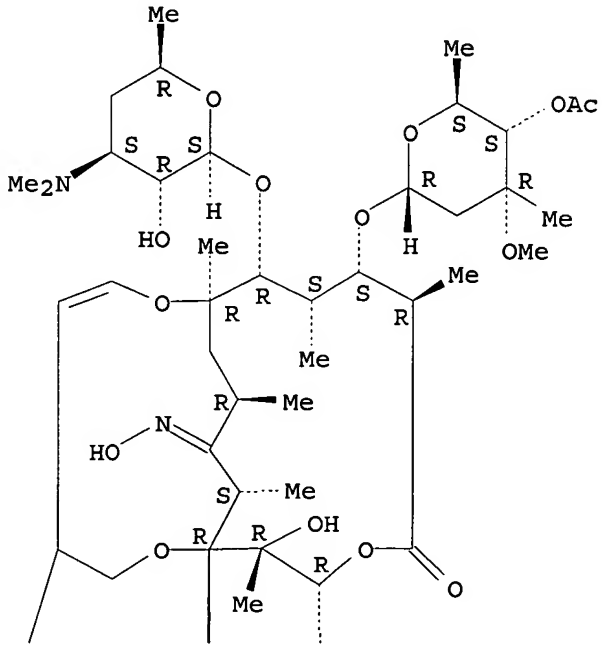
PAGE 2-A

Et

RN 652157-55-6 CAPLUS
CN Erythromycin, 6,11-O-[3-(3-quinolinyl)-1-butene-1,4-diyl]-, 9-oxime, 4''-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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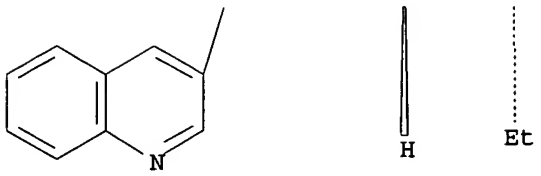
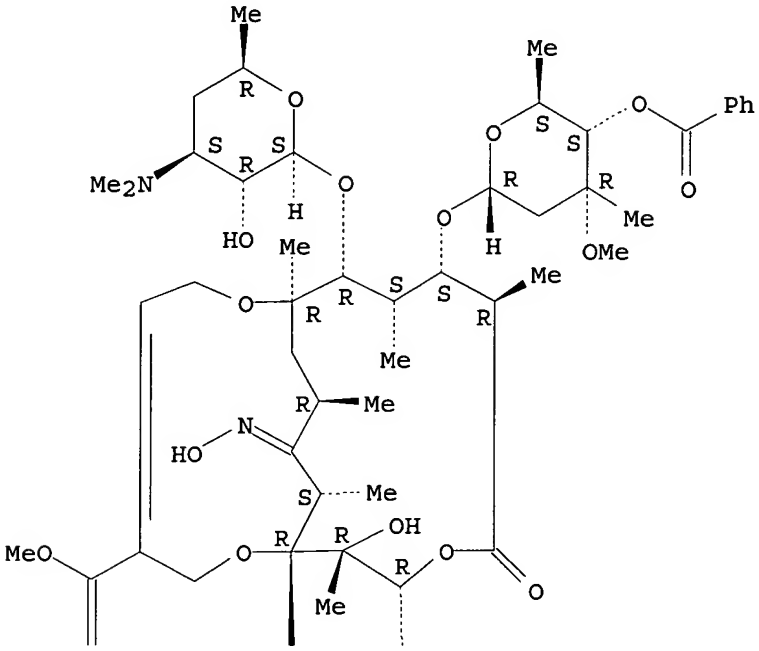



RN 652157-59-0 CAPLUS
CN Erythromycin, 11,6-O-[2-(methoxycarbonyl)-2-butene-1,4-diyl]-, 9-oxime, 4''-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

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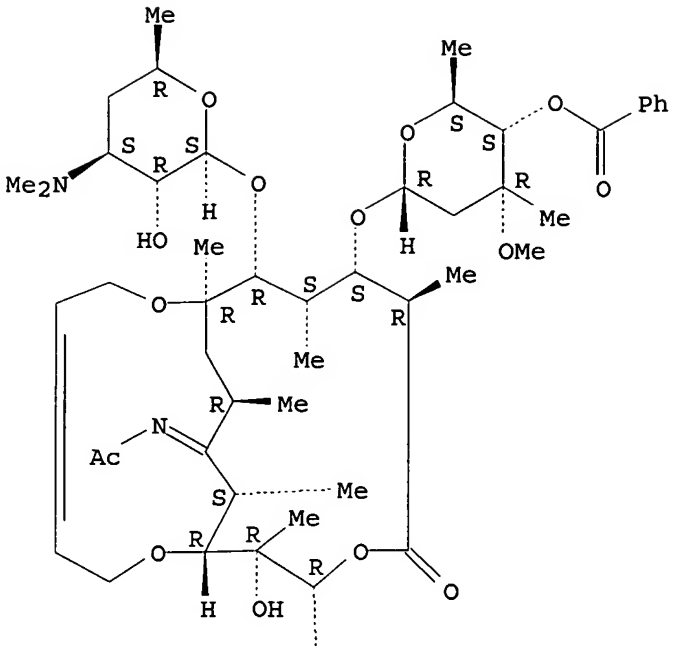
RN 652157-60-3 CAPLUS
CN Erythromycin, 9-(acetylimino)-6,11-O-2-butene-1,4-diyl-9-deoxo-, 4''-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.





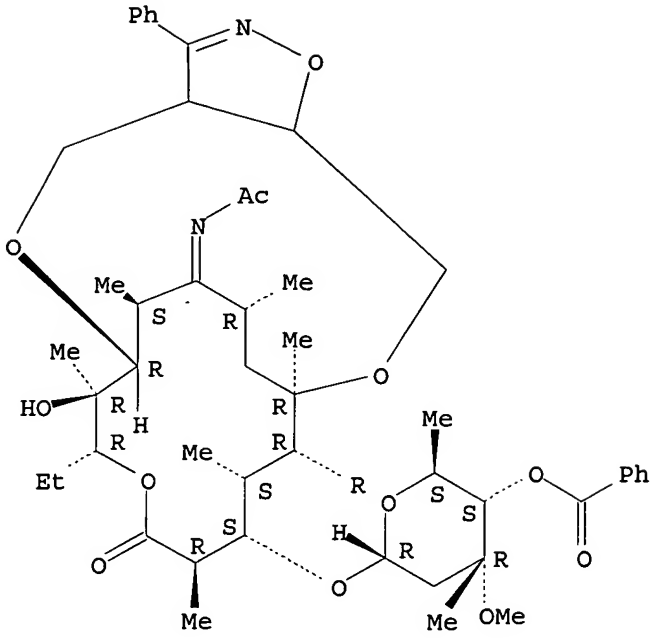
Et

RN 652157-61-4 CAPLUS

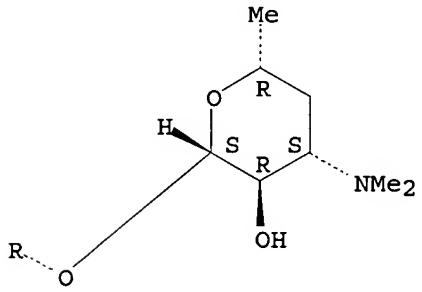
CN Acetamide, N-[(6R,7R,8R,11R,12S,13S,14R,15R,19R,21S)-12-[(4-O-benzoyl-2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-8-ethyl-3a,4,7,8,10,11,12,13,14,15,17,17a-dodecahydro-7-hydroxy-7,11,13,15,19,21-hexamethyl-10-oxo-3-phenyl-14-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-6,15-butano-6H-[1,5,10]trioxacyclohexadecino[7,8-d]isoxazol-20-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



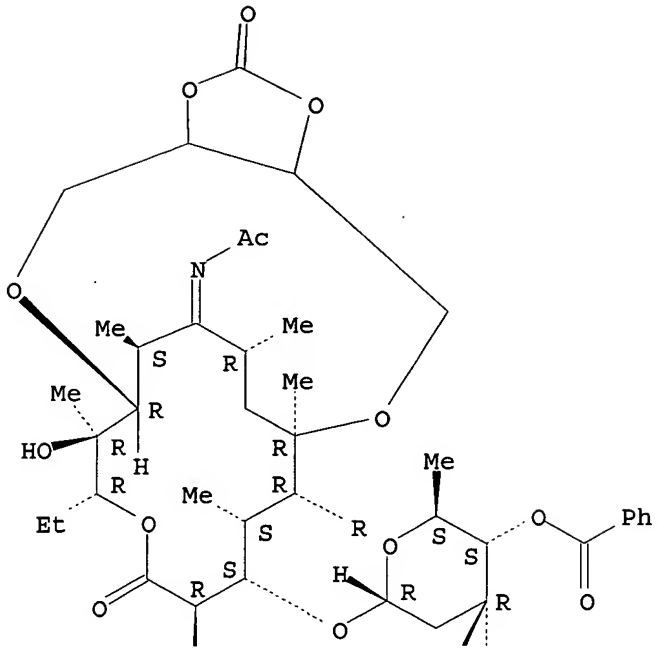
PAGE 2-A



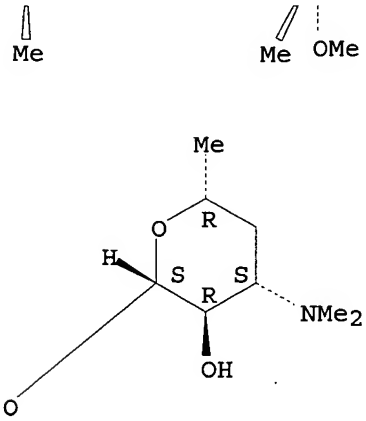
RN 652157-62-5 CAPLUS
CN Acetamide, N-[(6R,7R,8R,11R,12S,13S,14R,15R,19R,21S)-12-[(4-O-benzoyl-2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-8-ethyl-3a,4,7,8,10,11,12,13,14,15,17,17a-dodecahydro-7-hydroxy-7,11,13,15,19,21-hexamethyl-2,10-dioxo-14-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-6,15-butano-6H-6,15-butano-6H-1,3-dioxolo[4,5-g][1,5,10]trioxacyclohexadecin-20-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



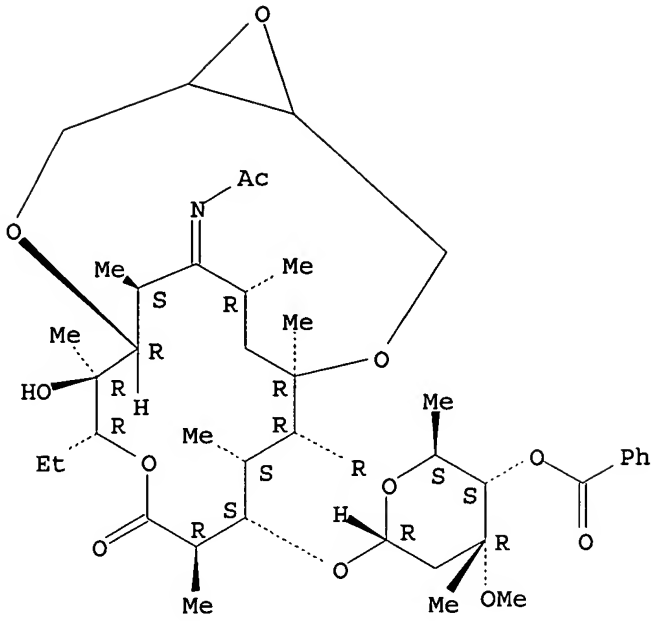
PAGE 2-A



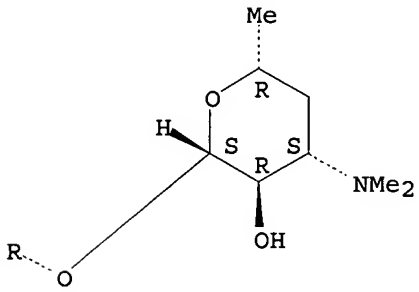
RN 652157-63-6 CAPLUS
CN Acetamide, N-[(1R,2R,3R,6R,7S,8S,9R,10R,18S,20R)-7-[(4-O-benzoyl-2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-3-ethyl-2-hydroxy-2,6,8,10,18,20-hexamethyl-5-oxo-9-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4,11,14,17-tetraoxatricyclo[8.7.4.013,15]heneicosan-19-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 2-A

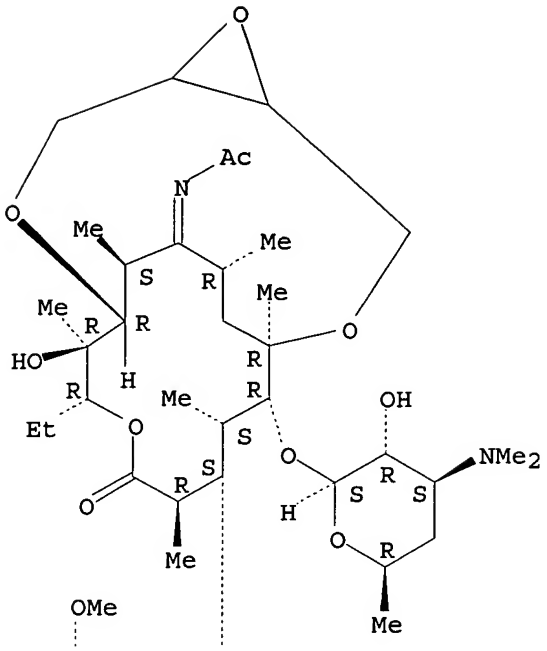


RN 652157-64-7 CAPLUS

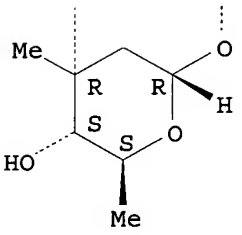
CN Acetamide, N-[(1R,2R,3R,6R,7S,8S,9R,10R,18S,20R)-7-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-3-ethyl-2-hydroxy-2,6,8,10,18,20-hexamethyl-5-oxo-9-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4,11,14,17-tetraoxatricyclo[8.7.4.013,15]heneicosan-19-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



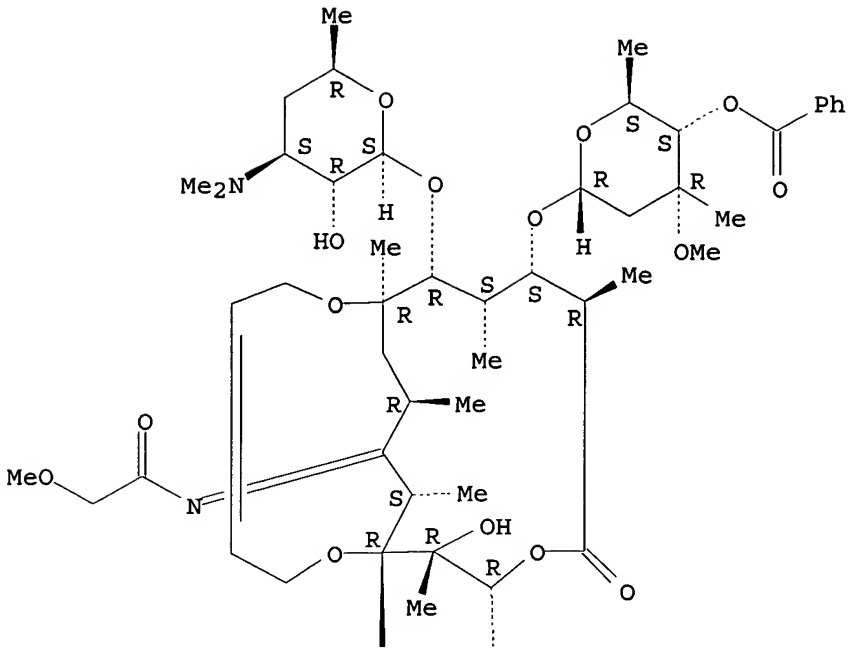

PAGE 2-A




RN 652157-65-8 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-9-deoxo-9-[(methoxyacetyl)imino]-, 4''-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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RN 652157-66-9 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-9-deoxo-9-[(methoxyacetyl)imino]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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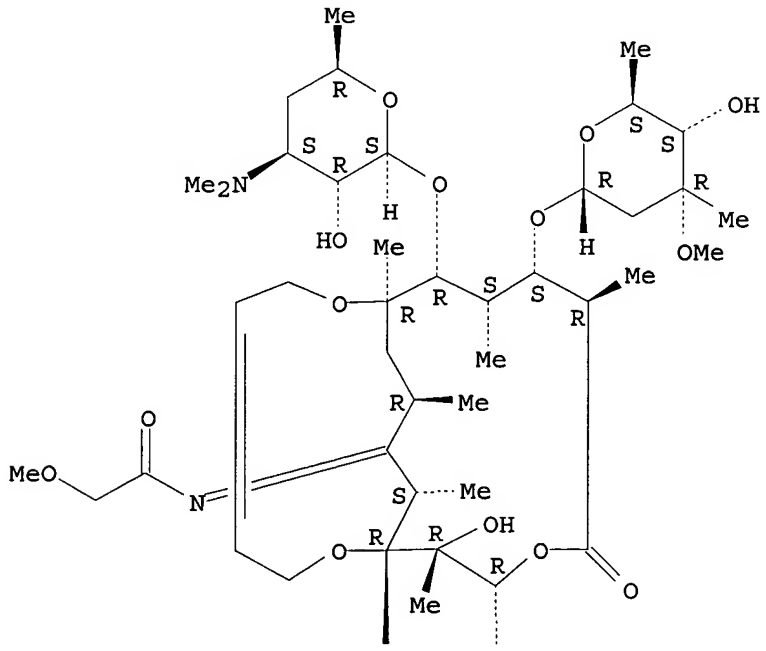

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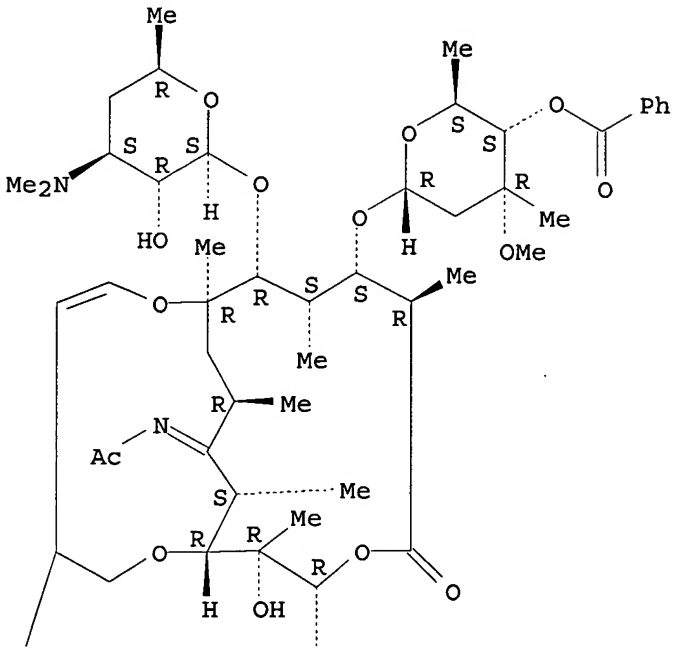
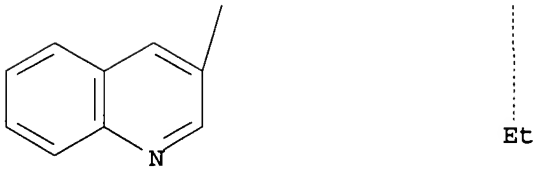
RN 652157-67-0 CAPLUS
CN Erythromycin, 9-(acetylimino)-9-deoxo-6,11-O-[3-(3-quinolinyl)-1-butene-1,4-diyl]-, 4''-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



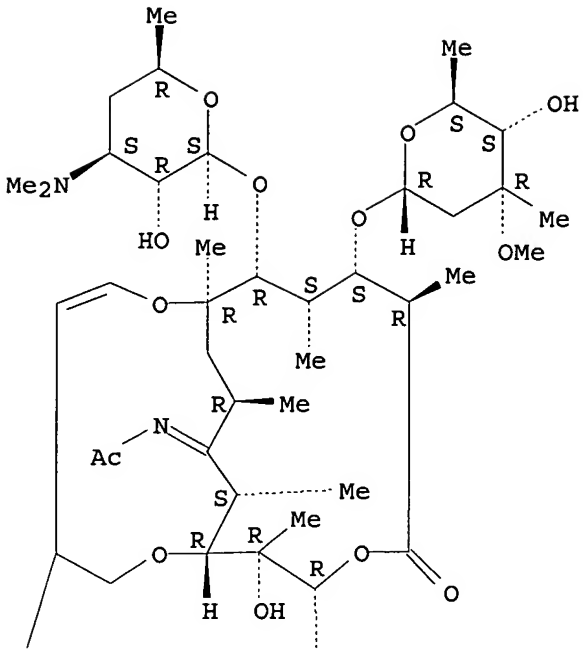

PAGE 2-A




RN 652157-68-1 CAPLUS
CN Erythromycin, 9-(acetylimino)-9-deoxo-6,11-O-[3-(3-quinolinyl)-1-butene-1,4-diyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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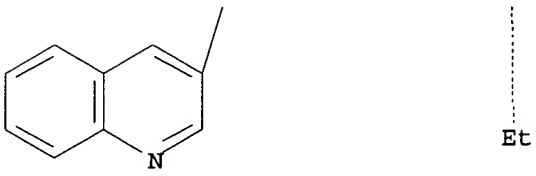
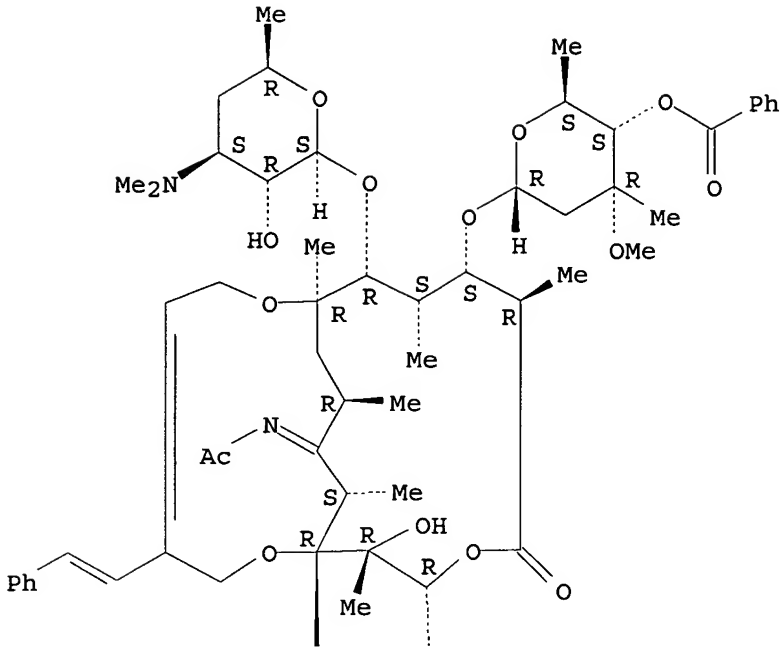


RN 652157-69-2 CAPLUS
CN Erythromycin, 9-(acetylimino)-11,6-O-[2-(2-phenylethenyl)-2-butene-1,4-diyl]-9-deoxo-, 4''-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

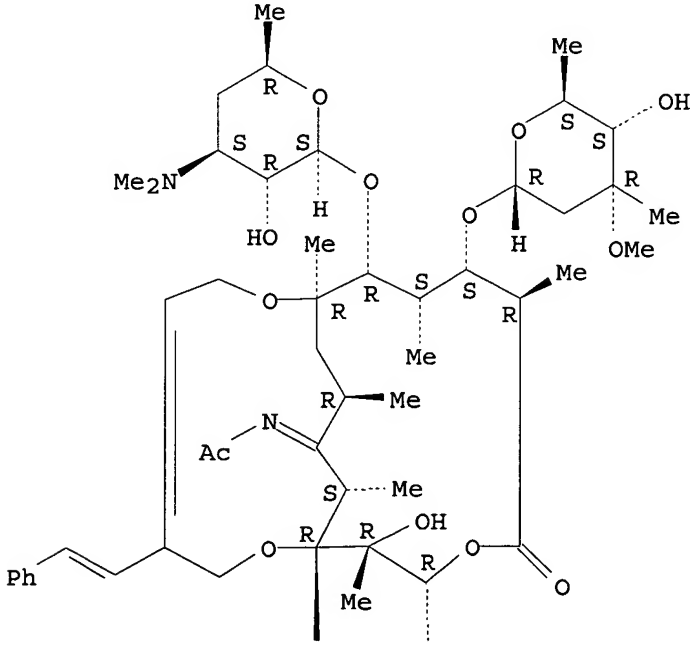


PAGE 2-A

RN 652157-70-5 CAPLUS
CN Erythromycin, 9-(acetylimino)-11,6-O-[2-(2-phenylethenyl)-2-butene-1,4-diyl]-9-deoxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

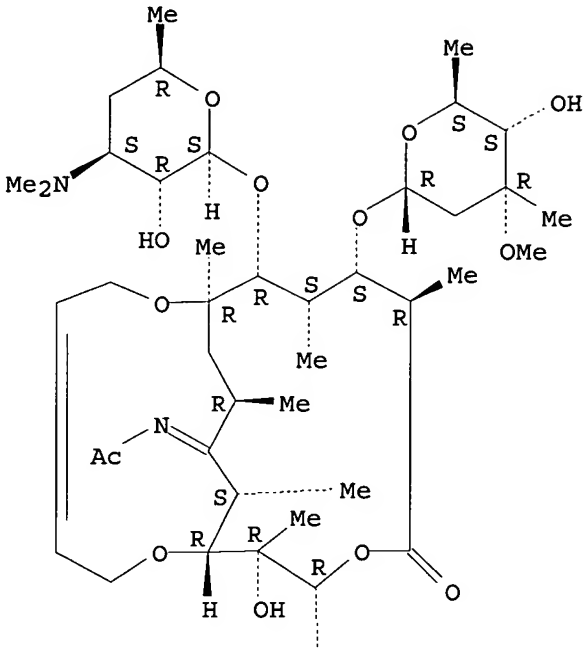


PAGE 2-A


RN 652157-71-6 CAPLUS
CN Erythromycin, 9-(acetylimino)-9-deoxo-6,11-O-2-butene-1,4-diyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

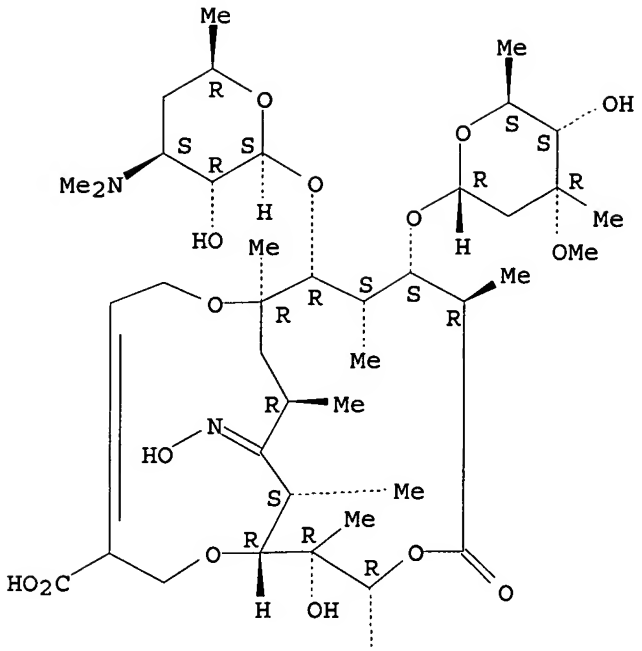



PAGE 2-A


RN 652157-72-7 CAPLUS
CN Erythromycin, 11,6-O-(2-carboxy-2-butene-1,4-diyl)-, 9-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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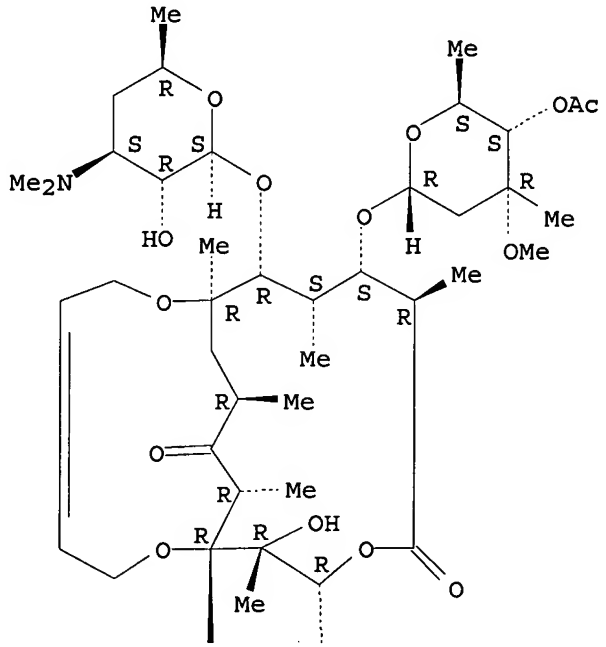
Et

RN 652157-73-8 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-, 4''-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

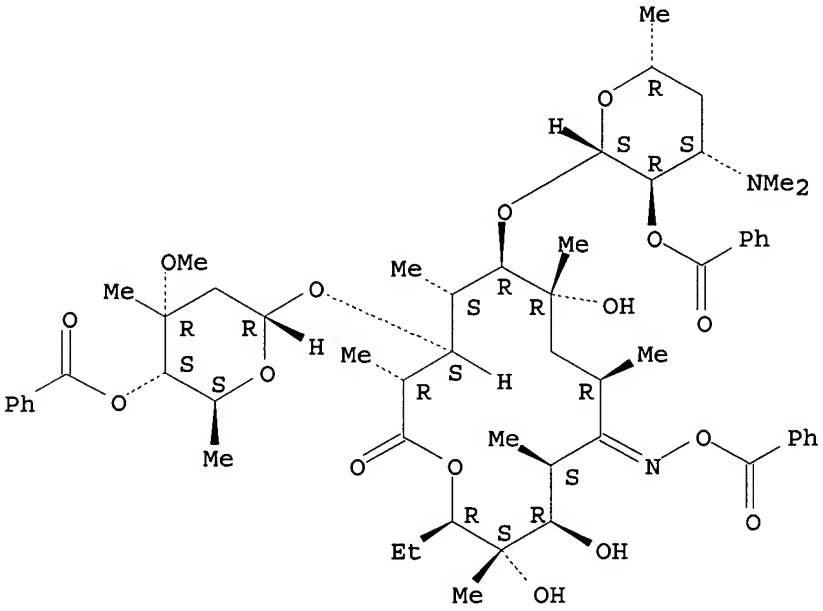



IT **314050-31-2P 652150-08-8P 652150-16-8P**
**652150-17-9P 652150-18-0P 652150-19-1P**
**652150-20-4P 652157-56-7P 652157-57-8P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents)

RN 314050-31-2 CAPLUS

CN Erythromycin, 9-(O-benzoyloxime), 2',4''-dibenzoate (9CI) (CA INDEX NAME)

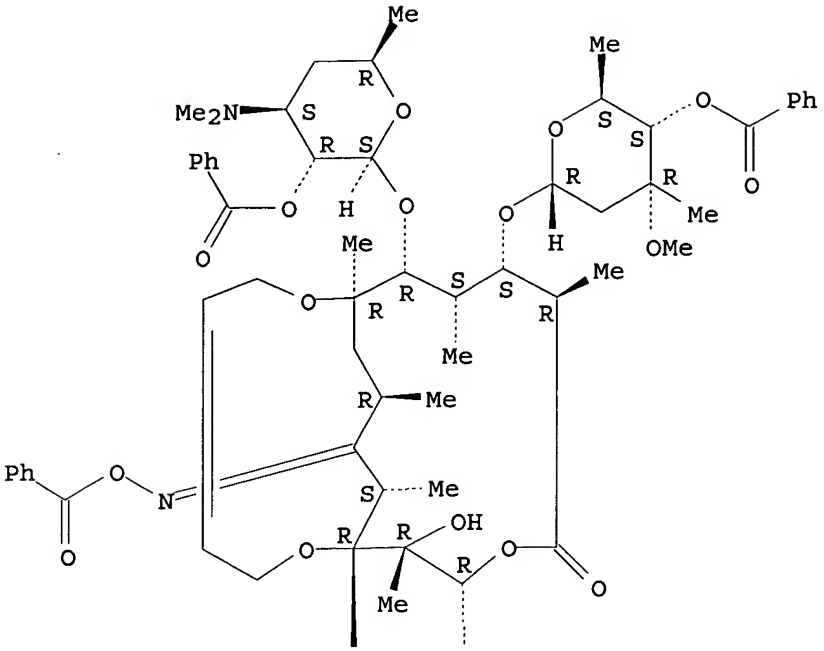
Absolute stereochemistry.
Double bond geometry unknown.



RN 652150-08-8 CAPLUS

CN Erythromycin, 6,11-O-2-butene-1,4-diyl-, 9-(O-benzoyloxime), 2',4''-dibenzoate (9CI) (CA INDEX NAME)

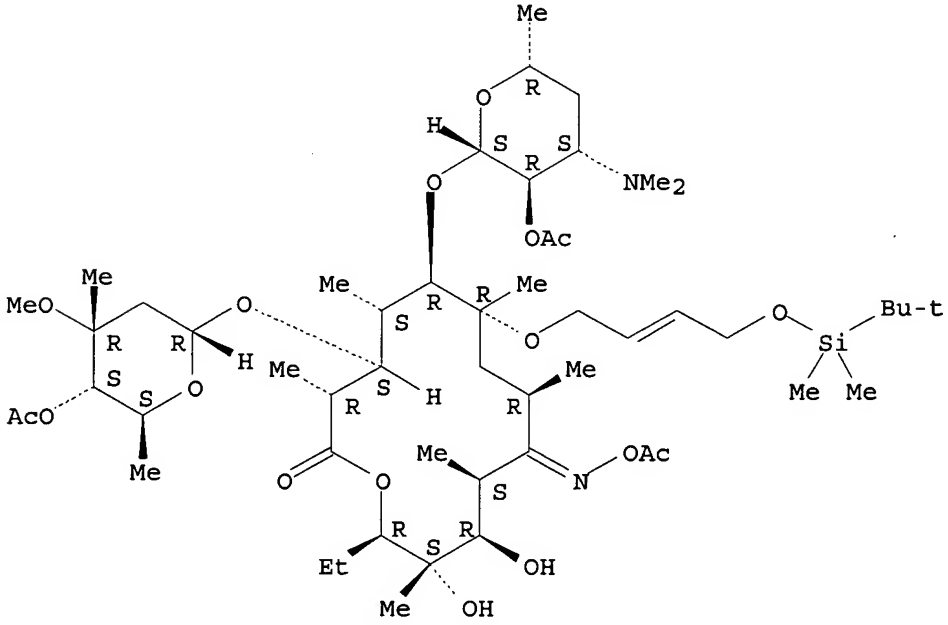
Absolute stereochemistry.
Double bond geometry unknown.





RN 652150-16-8 CAPLUS
CN Erythromycin, 6-O-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-butenyl]-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

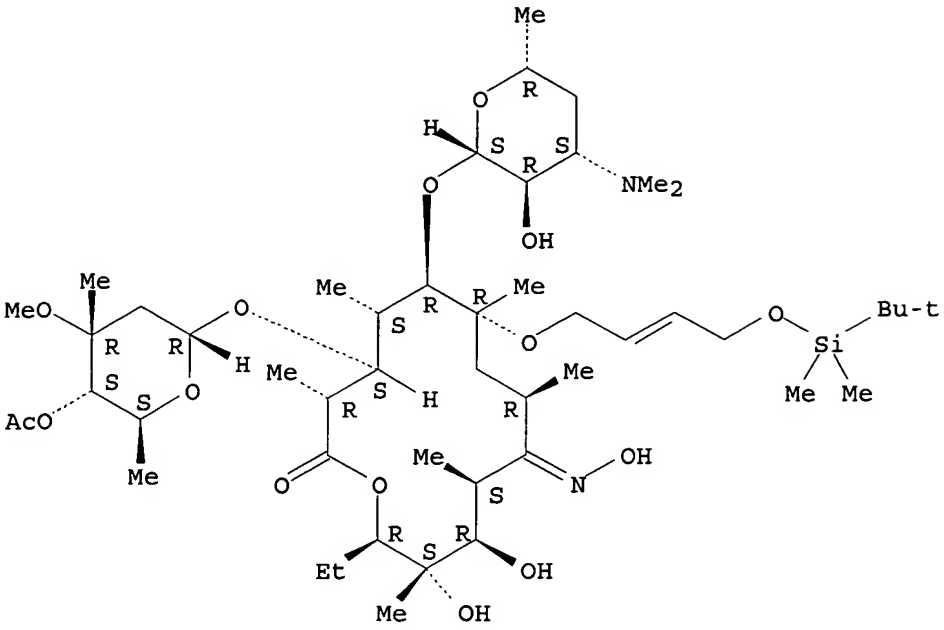
Absolute stereochemistry.
Double bond geometry unknown.



RN 652150-17-9 CAPLUS
CN Erythromycin, 6-O-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-butenyl]-,

9-oxime, 4''-acetate (9CI)  (CA INDEX NAME)

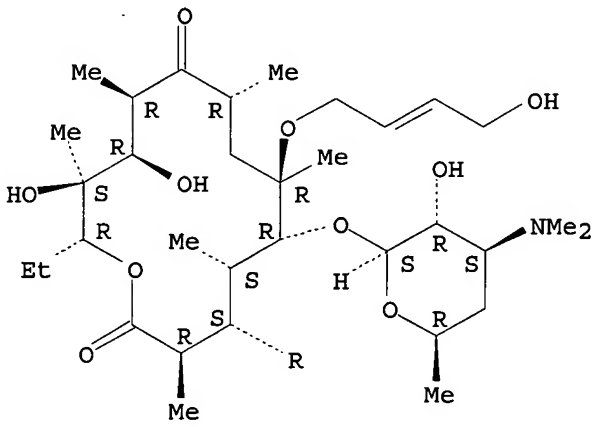
Absolute stereochemistry.
Double bond geometry unknown.



RN  652150-18-0  CAPLUS
CN  Erythromycin, 6-O-(4-hydroxy-2-butenyl)-, 4''-acetate (9CI)  (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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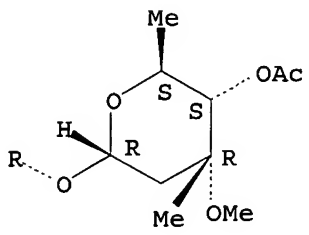
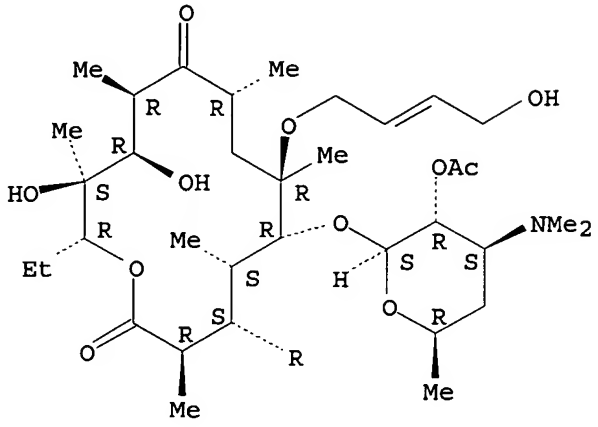


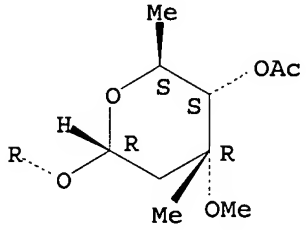
RN 652150-19-1 CAPLUS
CN Erythromycin, 6-O-(4-hydroxy-2-butenyl)-, 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



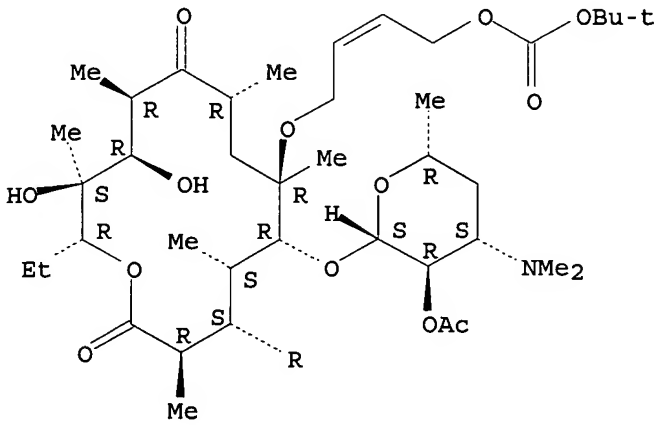
PAGE 2-A



RN 652150-20-4 CAPLUS
CN Erythromycin, 6-O-[4-[[(1,1-dimethylethoxy)carbonyl]oxy]-2-butenyl]-, 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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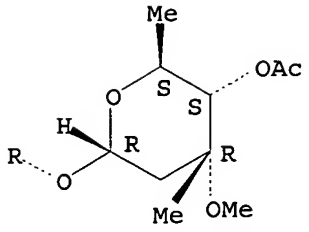
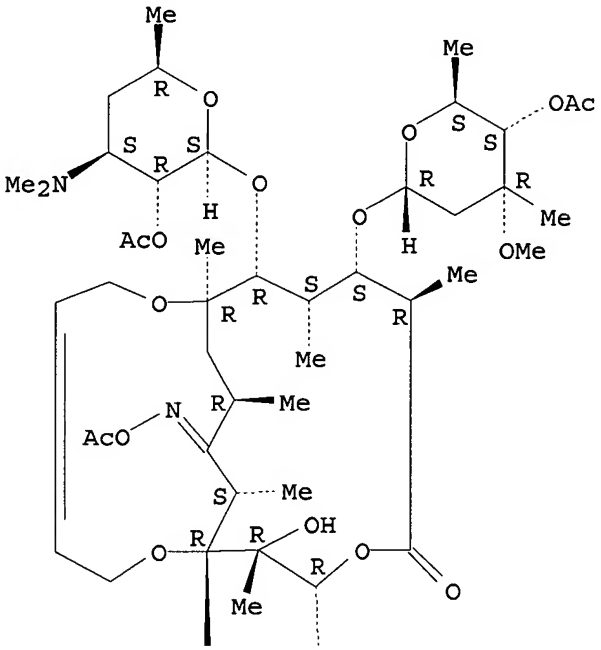


RN 652157-56-7 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

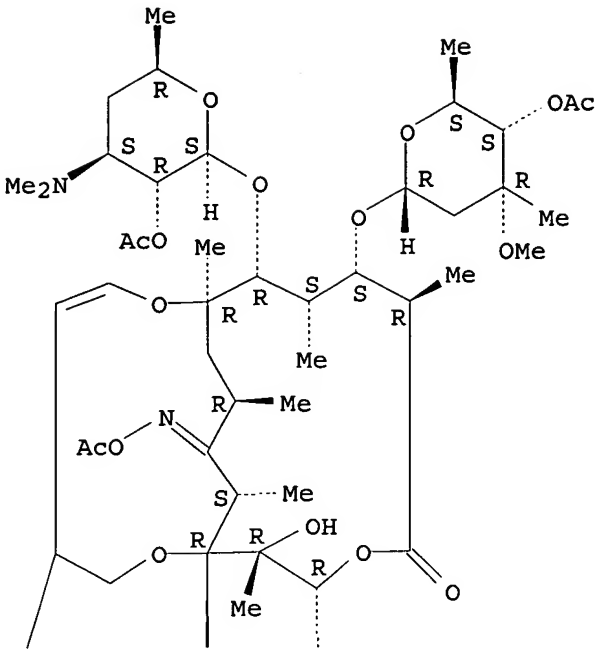


PAGE 2-A

RN 652157-57-8 CAPLUS
CN Erythromycin, 6,11-O-[3-(3-quinolinyl)-1-butene-1,4-diyl]-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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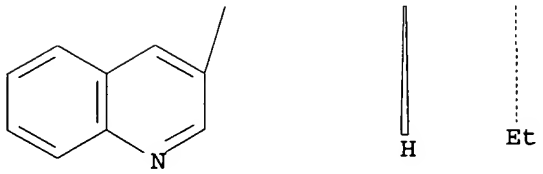
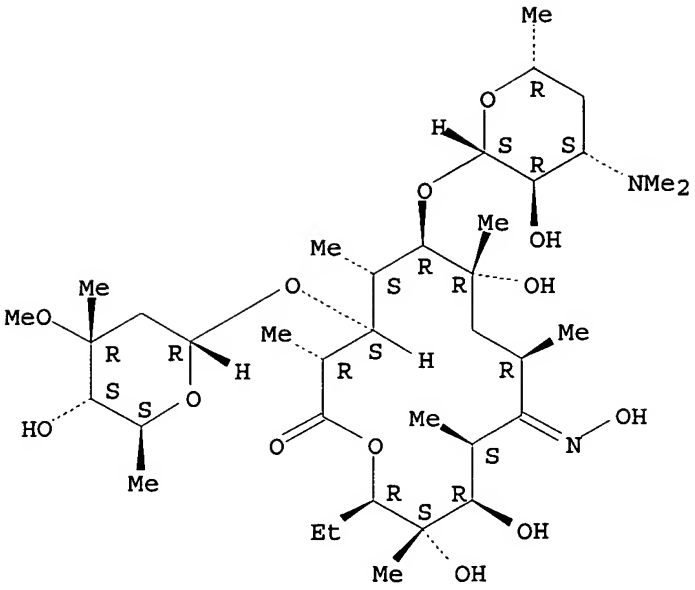


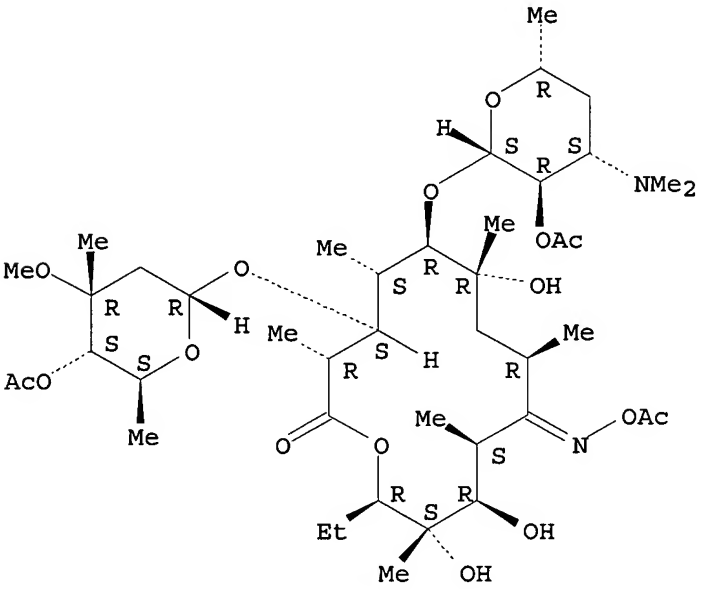
IT **13127-18-9**, Erythromycin A oxime **314050-27-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents)
RN 13127-18-9 CAPLUS
CN Erythromycin, 9-oxime (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 314050-27-6 CAPLUS
CN Erythromycin, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:100793 CAPLUS
DN 140:146396
TI Preparation of 6,11-4-carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents
IN Or, Yat Sun; Wang, Guoqiang; Niu, Deqiang; Phan, Ly Tam
PA Enanra Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 10

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

PI US 2004023895 A1 20040205 US 2002-205018 20020725
US 6841664 B2 20050111
WO 2004011477 A2 20040205 WO 2003-US20864 20030601
WO 2004011477 A3 20040318
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2005009761 A1 20050113 US 2004-763377 20040123
US 2004266998 A1 20041230 US 2004-841206 20040507
PRAI US 2002-144396 B2 20020513
US 2002-144558 B2 20020513
US 2002-205018 A 20020725
US 2002-205357 A2 20020725
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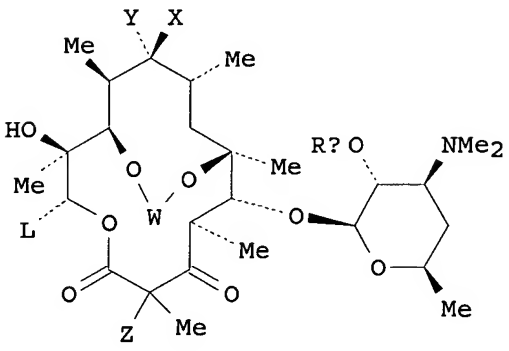



I

AB Novel 6,11-4-carbon **bridged** ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group, pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are a **method** for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound of the invention and **processes** for the preparation of such compds. Thus, I (W is -CH2CH=CHCH2-, X and Y taken together with the carbon atom they are attached to form C=NC(O)CH3, L is Et, Z = Rx = H) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated antibacterial activity in vitro with an MIC in the range from about 64 μg/mL to about 0.03 μg/mL.

IT **652150-23-7P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents)

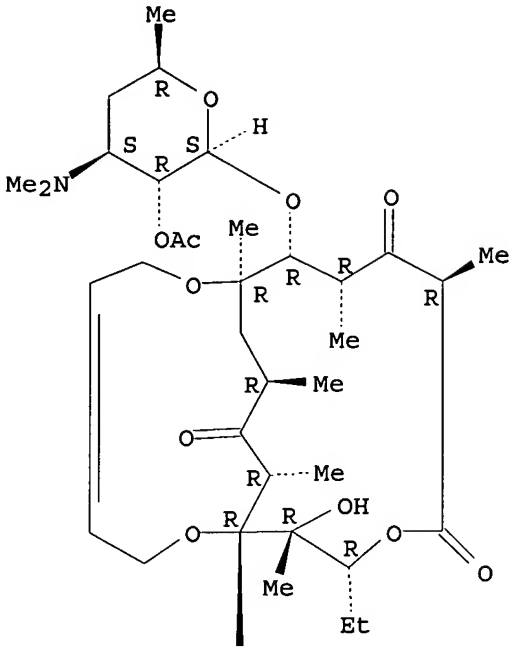
RN 652150-23-7 CAPLUS

CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-3-oxo-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A



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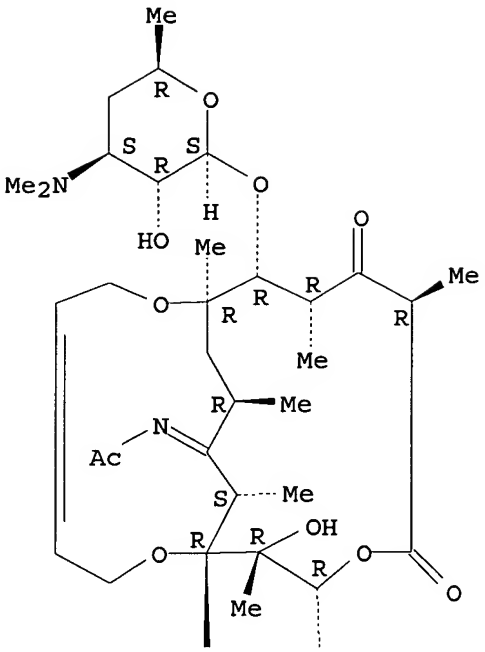
652150-34-0P 652150-35-1P 652150-36-2P
652150-37-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbon bridged macrolide ketolides erythromycin analogs as antibacterial agents)

RN 652150-24-8 CAPLUS

CN Erythromycin, 9-(acetylimino)-6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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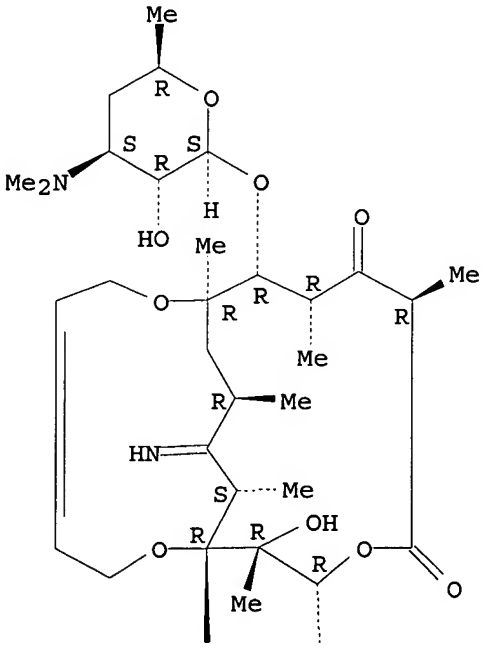
RN 652150-25-9 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-9-imino-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

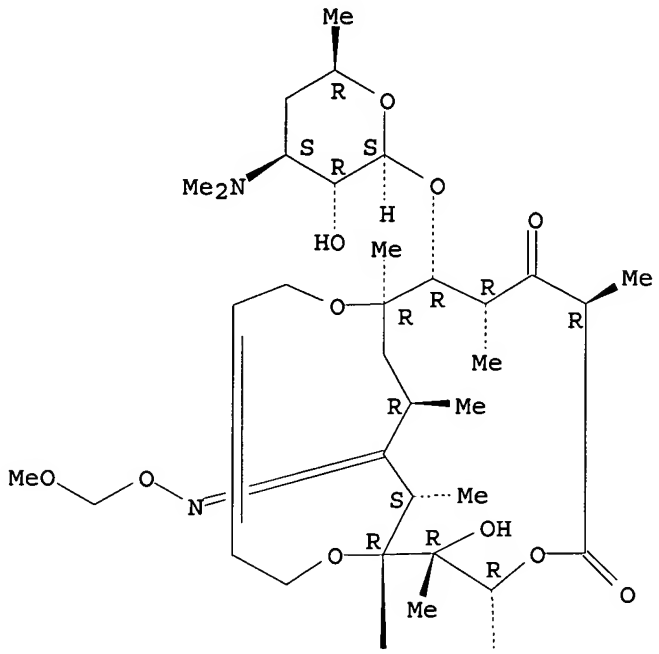


PAGE 2-A


RN 652150-26-0 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-3-oxo-, 9-[O-(methoxymethyl)oxime] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

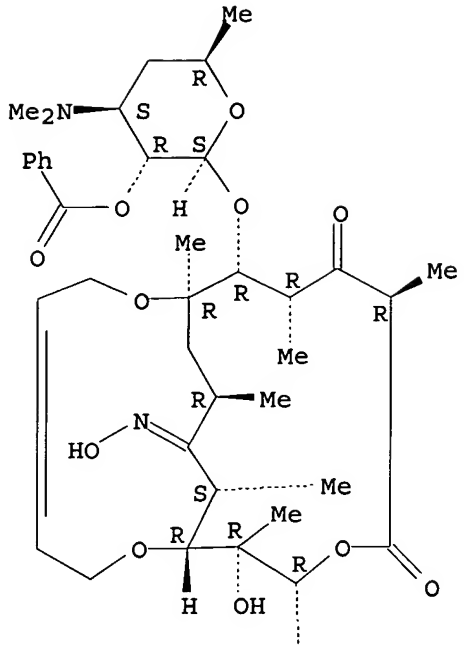



PAGE 2-A


RN 652150-27-1 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-3-oxo-, 9-oxime, 2'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

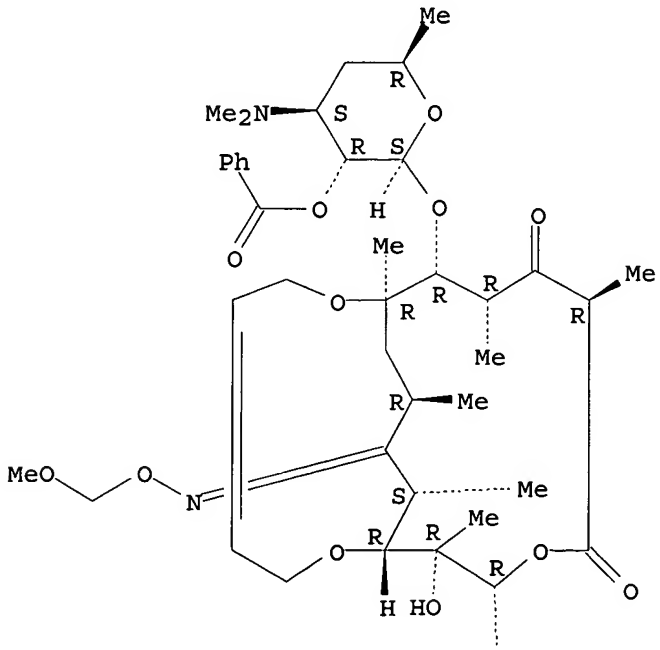


PAGE 2-A

RN 652150-28-2 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-3-oxo-, 9-[O-(methoxymethyl)oxime], 2'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

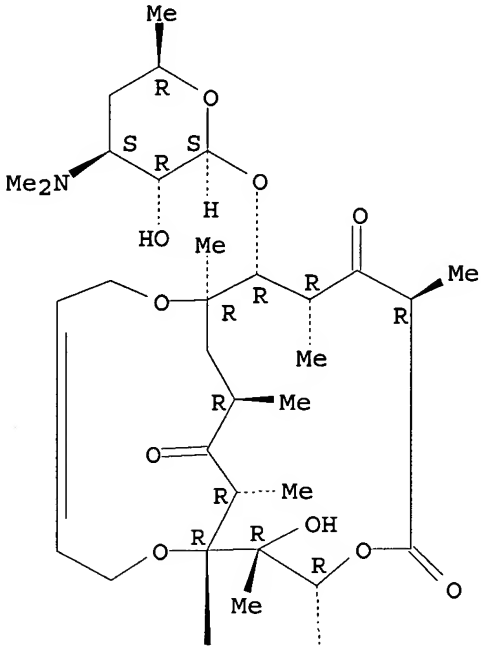


PAGE 2-A


RN 652150-29-3 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

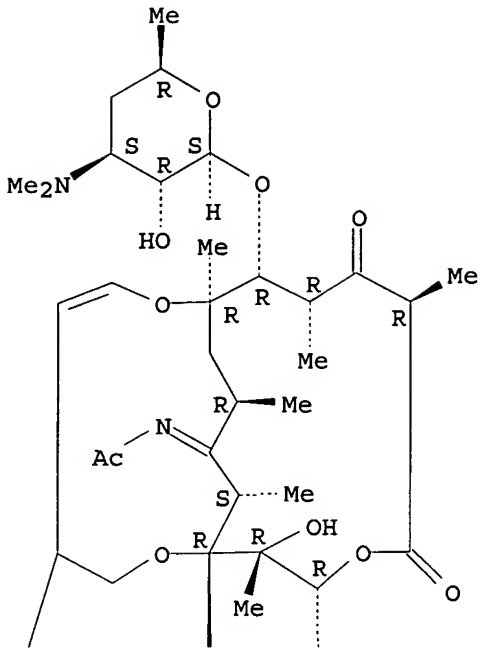



PAGE 2-A

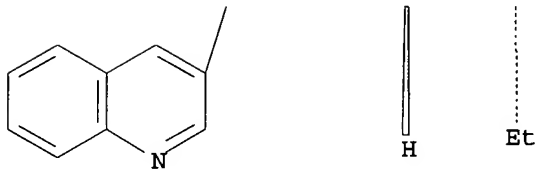

RN 652150-31-7 CAPLUS
CN Erythromycin, 9-(acetylimino)-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-3-oxo-6,11-O-[3-(3-quinolinyl)-1-butene-1,4-diyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



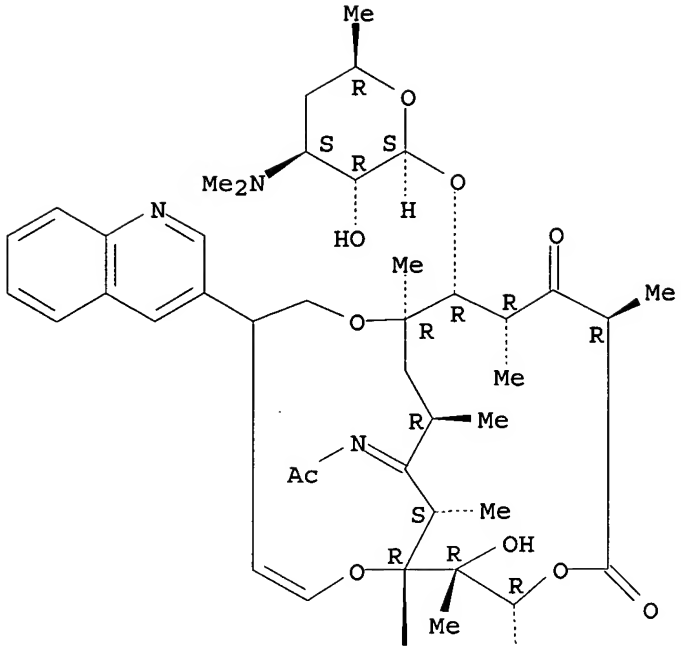

PAGE 2-A




RN 652150-32-8 CAPLUS
CN Erythromycin, 9-(acetylimino)-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-3-oxo-11,6-O-[3-(3-quinolinyl)-1-butene-1,4-diyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

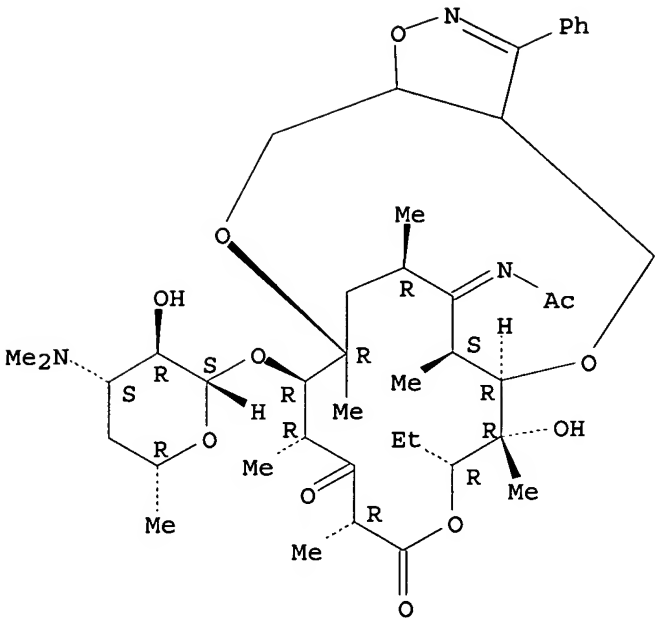
PAGE 1-A



PAGE 2-A

RN 652150-33-9 CAPLUS
CN Acetamide, N-[(6R,7R,8R,11R,13R,14R,15R,19R,21S)-8-ethyl-3a,4,7,8,10,11,12,13,14,15,17,17a-dodecahydro-7-hydroxy-7,11,13,15,19,21-hexamethyl-10,12-dioxo-3-phenyl-14-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-6,15-butano-6H-[1,5,10]trioxacyclohexadecino[7,8-d]isoxazol-20-ylidene]- (9CI) (CA INDEX NAME)

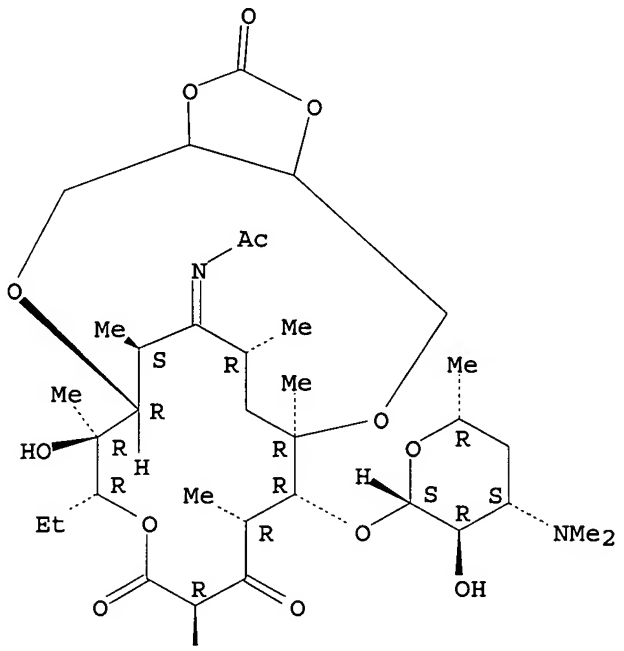
Absolute stereochemistry.
Double bond geometry unknown.



RN 652150-34-0 CAPLUS
CN Acetamide, N-[(6R,7R,8R,11R,13R,14R,15R,19R,21S)-8-ethyl-3a,4,7,8,10,11,12,13,14,15,17,17a-dodecahydro-7-hydroxy-7,11,13,15,19,21-hexamethyl-2,10,12-trioxo-14-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-6,15-butano-6H-6,15-butano-6H-1,3-dioxolo[4,5-g][1,5,10]trioxacyclohexadecin-20-ylidene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

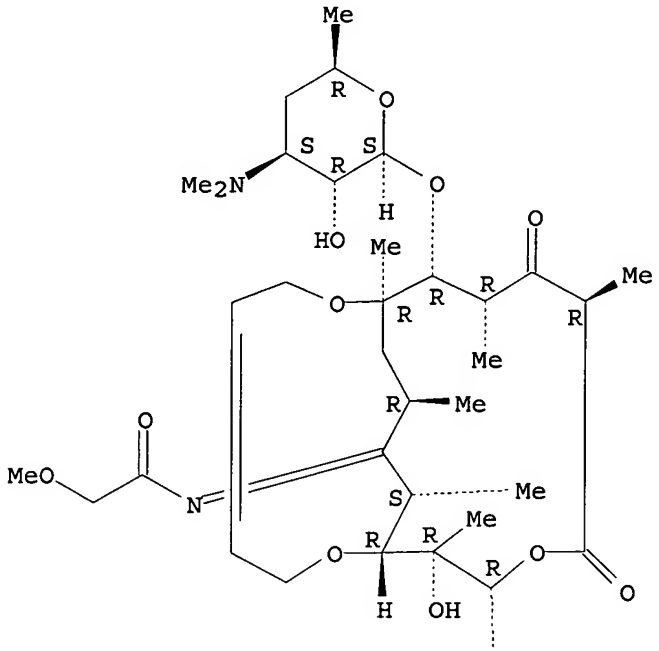


PAGE 2-A

RN 652150-35-1 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-9-[(methoxyacetyl)imino]-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

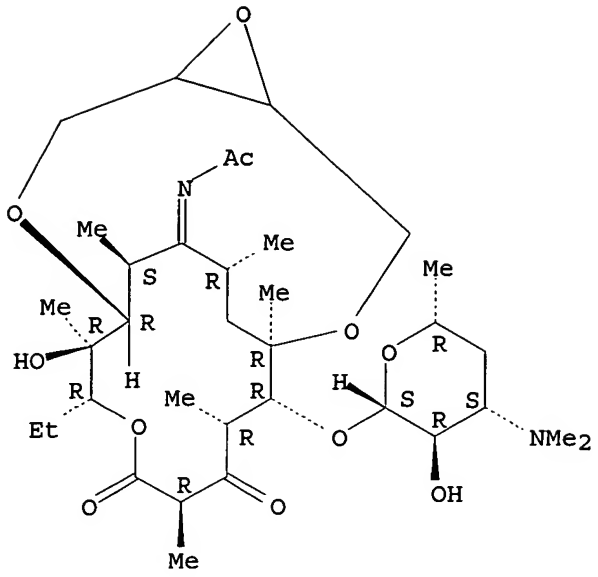



PAGE 2-A

Et

RN 652150-36-2 CAPLUS
CN Acetamide, N-[(1R,2R,3R,6R,8R,9R,10R,18S,20R)-3-ethyl-2-hydroxy-2,6,8,10,18,20-hexamethyl-5,7-dioxo-9-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4,11,14,17-tetraoxatricyclo[8.7.4.013,15]heneicosan-19-ylidene]- (9CI) (CA INDEX NAME)

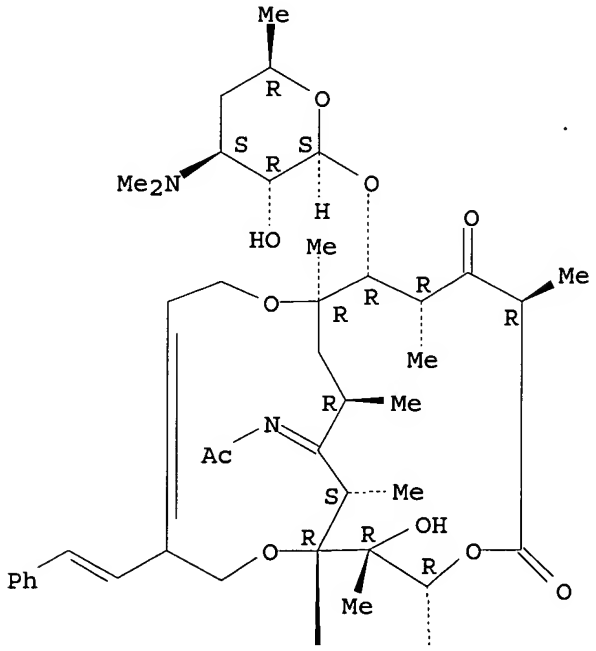
Absolute stereochemistry.
Double bond geometry unknown.



RN 652150-37-3 CAPLUS
CN Erythromycin, 9-(acetylimino)-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-3-oxo-11,6-O-[2-(2-phenylethenyl)-2-butene-1,4-diyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



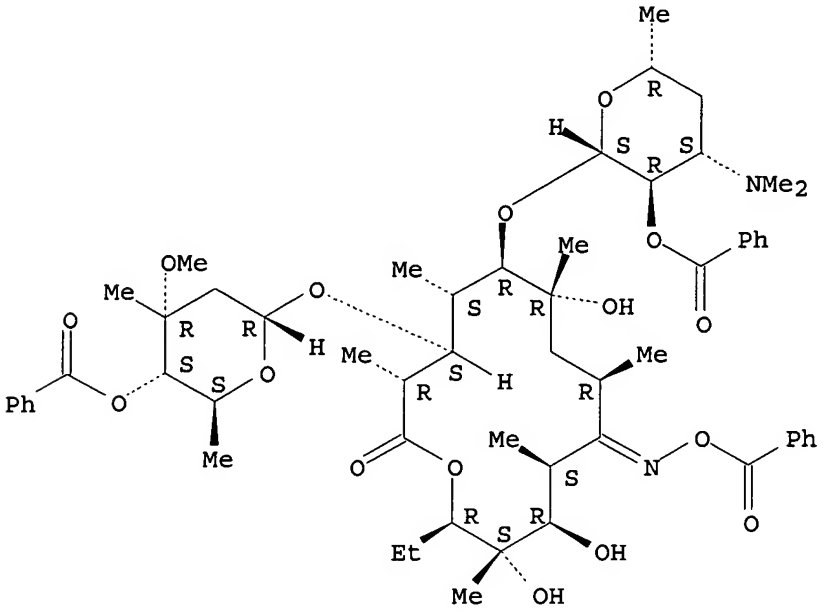
PAGE 2-A

IT 314050-31-2P 652150-08-8P 652150-09-9P
652150-10-2P 652150-11-3P 652150-12-4P
652150-13-5P 652150-14-6P 652150-16-8P

652150-17-9P 652150-18-0P 652150-19-1P
652150-20-4P 652150-21-5P 652150-22-6P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents)

RN 314050-31-2 CAPLUS
CN Erythromycin, 9-(O-benzoyloxime), 2',4''-dibenzoate (9CI) (CA INDEX NAME)

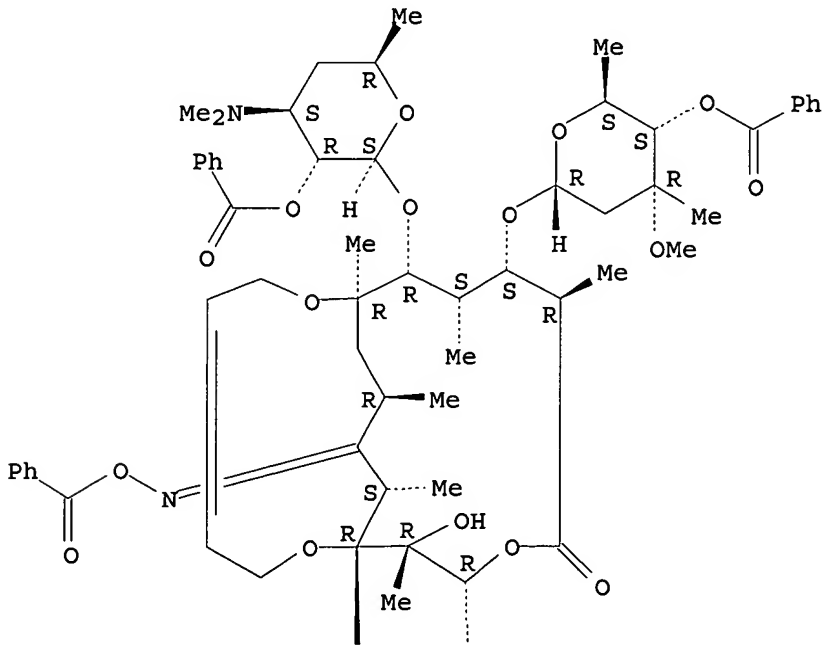
Absolute stereochemistry.
Double bond geometry unknown.



RN 652150-08-8 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-, 9-(O-benzoyloxime), 2',4''-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



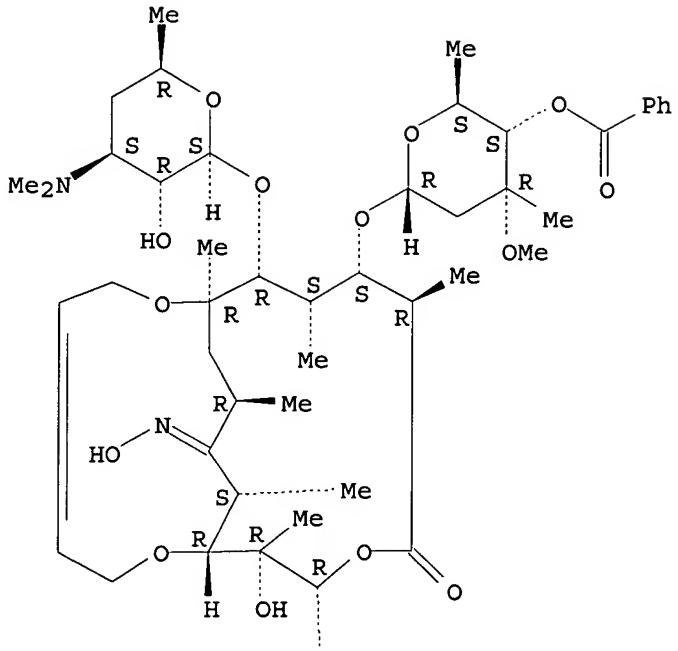


PAGE 2-A


RN 652150-09-9 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-, 9-oxime, 4''-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

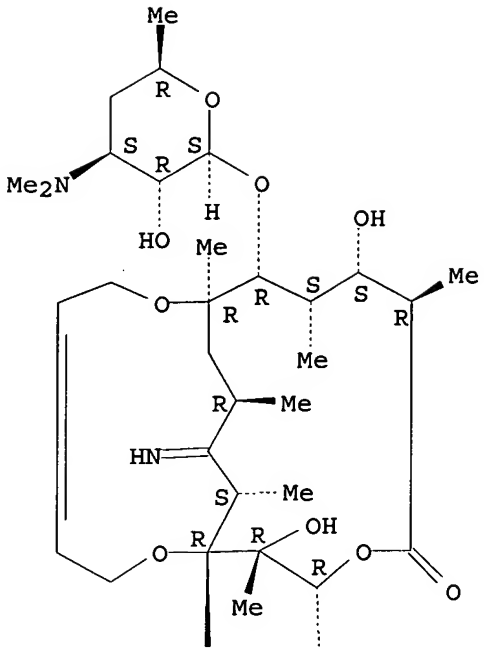



PAGE 2-A


RN 652150-10-2 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-9-deoxo-9-imino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

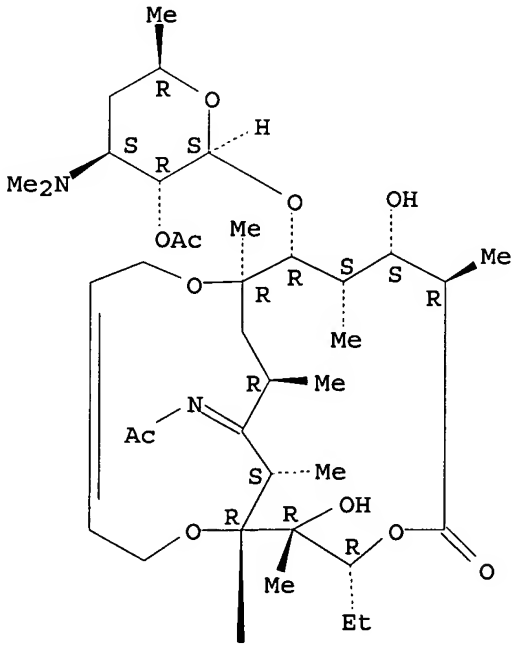


PAGE 2-A

RN 652150-11-3 CAPLUS
CN Erythromycin, 9-(acetylimino)-6,11-O-2-butene-1,4-diyl-3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-9-deoxo-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



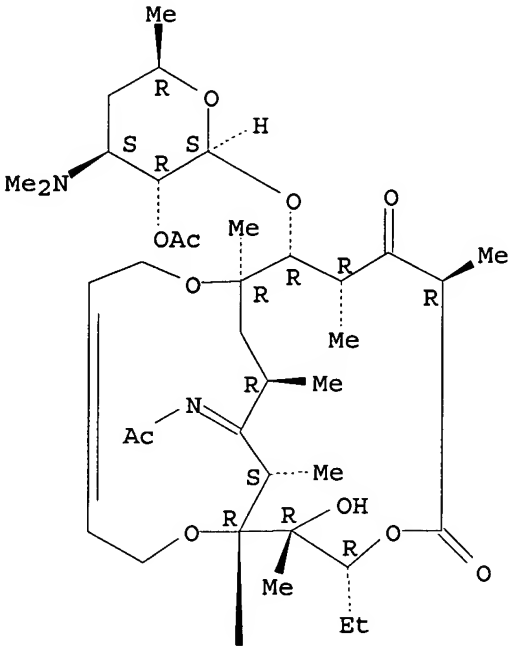
PAGE 2-A

H

RN 652150-12-4 CAPLUS
CN Erythromycin, 9-(acetylimino)-6,11-O-2-butene-1,4-diyl-3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-9-deoxo-3-oxo-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



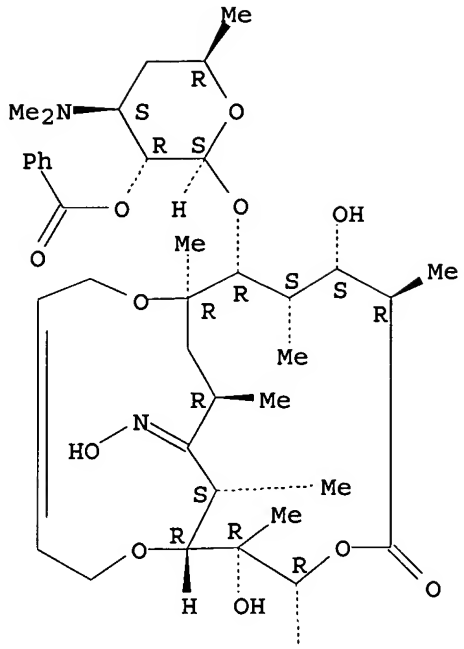

PAGE 2-A

H

RN 652150-13-5 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-, 9-oxime, 2'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



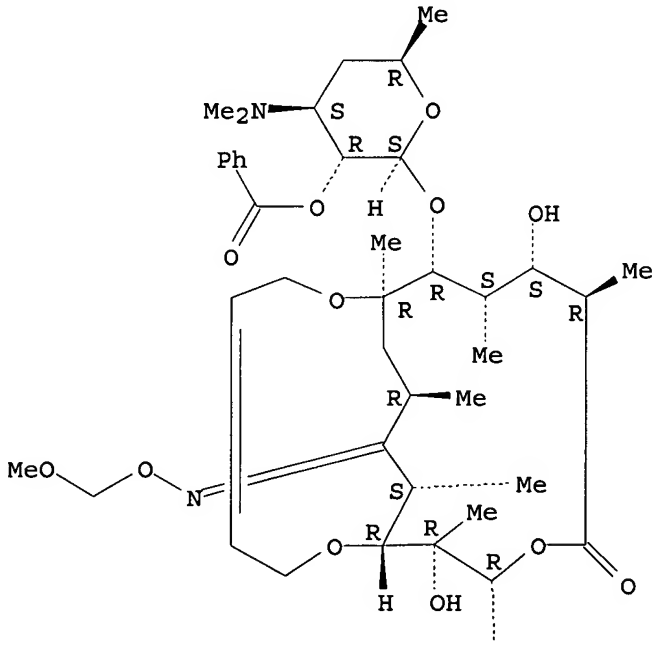
PAGE 2-A

Et

RN 652150-14-6 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-, 9-[O-(methoxymethyl)oxime], 2'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

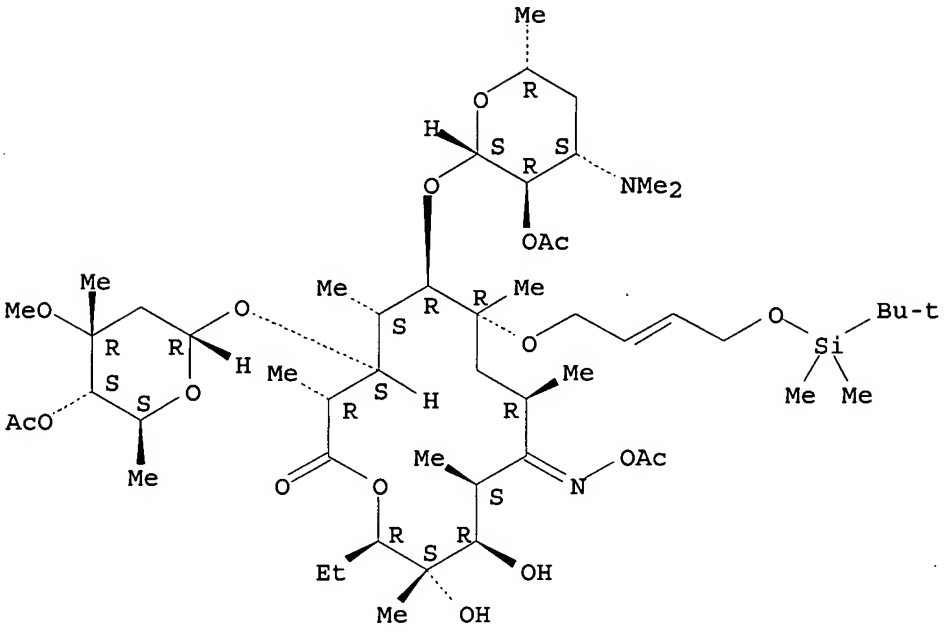
PAGE 1-A



Et

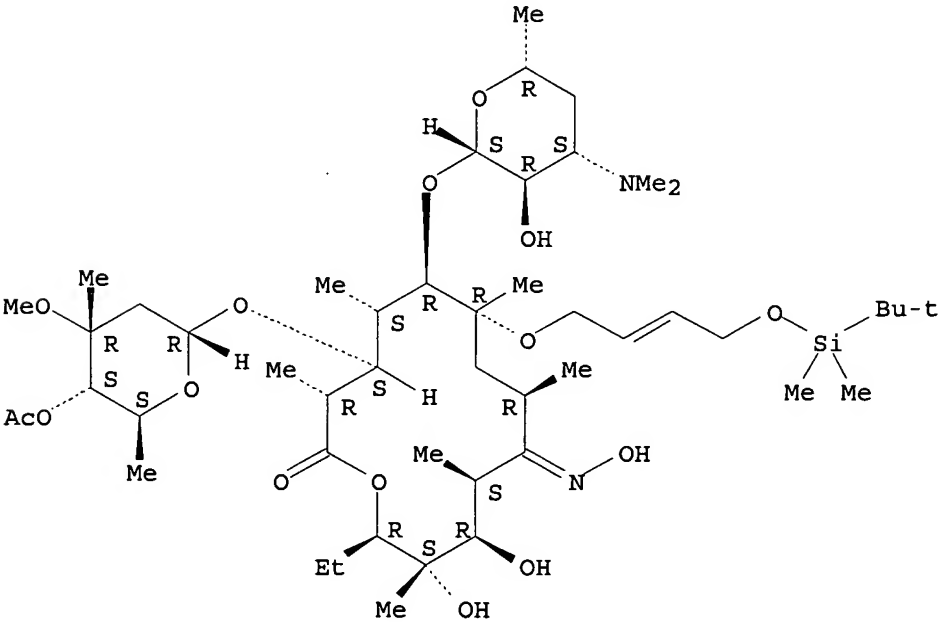
RN 652150-16-8 CAPLUS
CN Erythromycin, 6-O-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-butenyl]-, 9-(O-acetyloxime), 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 652150-17-9 CAPLUS
CN Erythromycin, 6-O-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-butenyl]-, 9-oxime, 4''-acetate (9CI) (CA INDEX NAME)

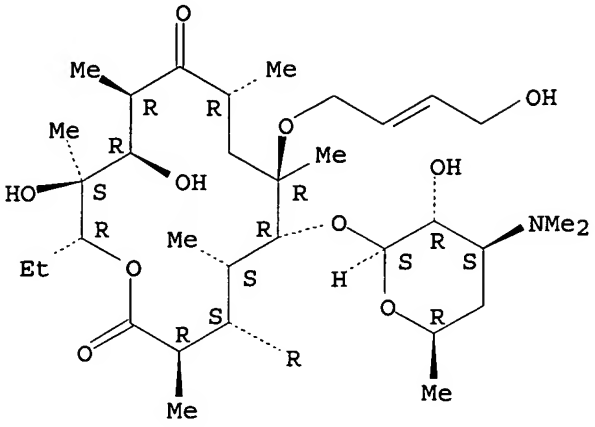
Absolute stereochemistry.
Double bond geometry unknown.



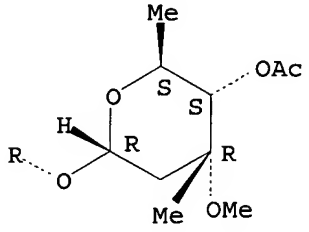
RN 652150-18-0 CAPLUS
CN Erythromycin, 6-O-(4-hydroxy-2-butenyl)-, 4''-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



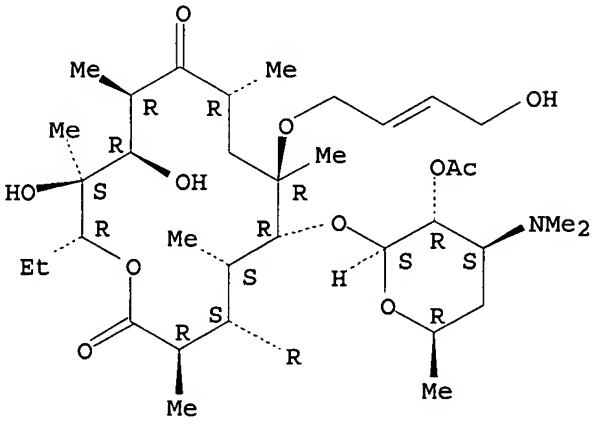
PAGE 2-A



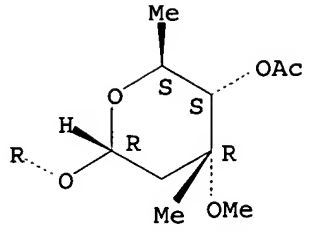
RN 652150-19-1 CAPLUS
CN Erythromycin, 6-O-(4-hydroxy-2-butenyl)-, 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



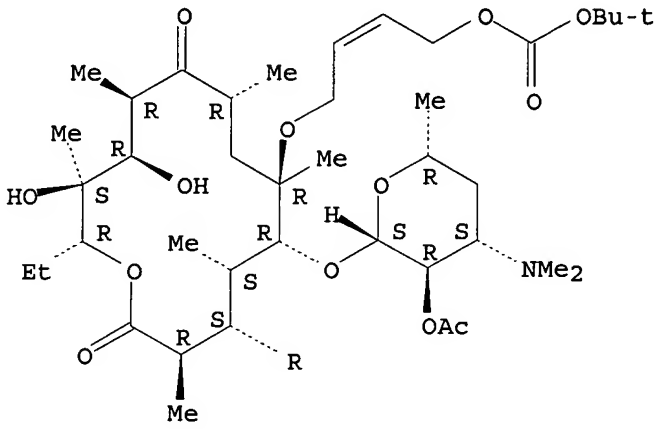
PAGE 2-A



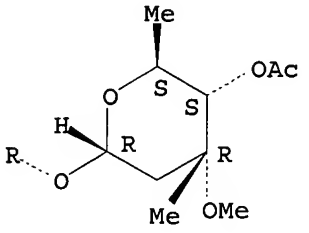
RN 652150-20-4 CAPLUS
CN Erythromycin, 6-O-[4-[[(1,1-dimethylethoxy)carbonyl]oxy]-2-butenyl]-, 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



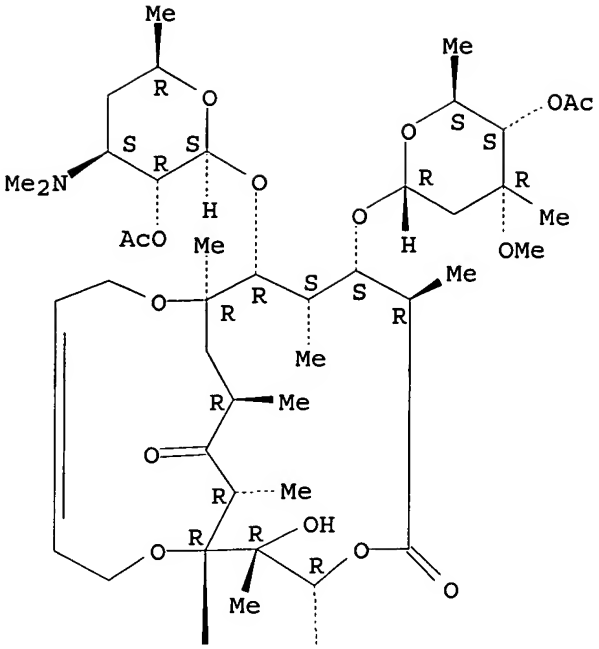
PAGE 2-A



RN 652150-21-5 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-, 2',4''-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

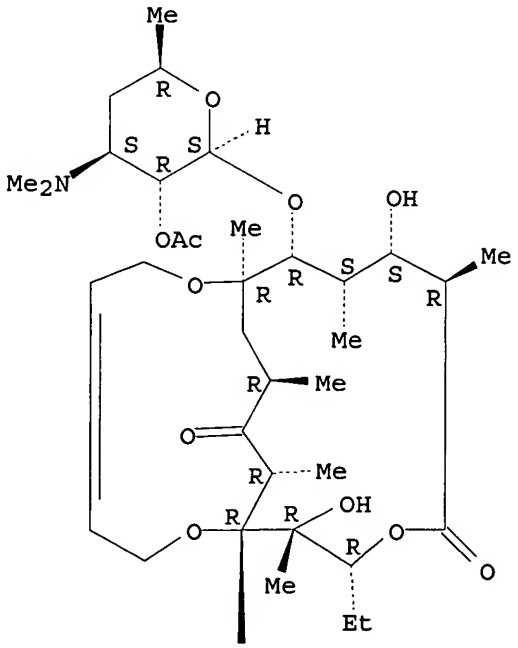


PAGE 2-A

RN 652150-22-6 CAPLUS
CN Erythromycin, 6,11-O-2-butene-1,4-diyl-3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

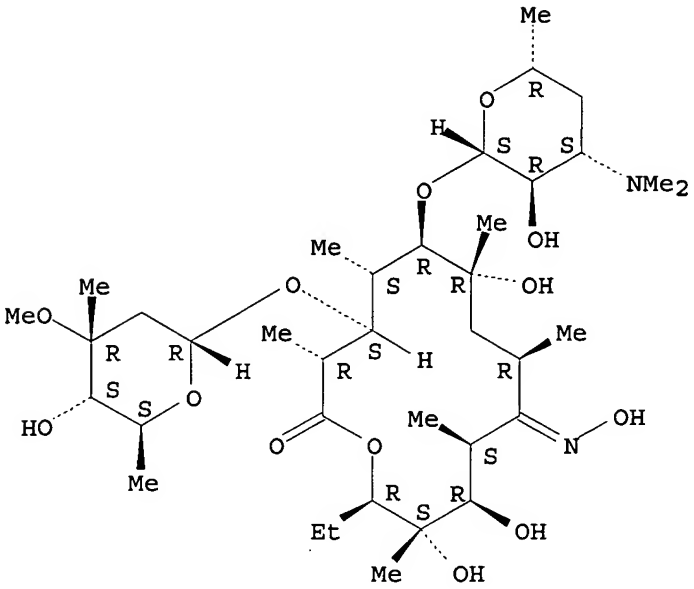
PAGE 1-A



H

IT 13127-18-9, Erythromycin A oxime
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents)
RN 13127-18-9 CAPLUS
CN Erythromycin, 9-oxime (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.




RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:936032 CAPLUS
DN 136:58887
TI Treating traumatic burns or blisters of the skin by a polymer-based hydrogel
IN Hymes, Alan C.; Nichols, Jane
PA Lectec Corp., USA
SO U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 2001055608 | A1 | 20011227 | US 1999-314271 | 19990518 |
| | US 6348212 | B2 | 20020219 | | |
| PRAI | US 1999-314271 | | 19990518 | | |

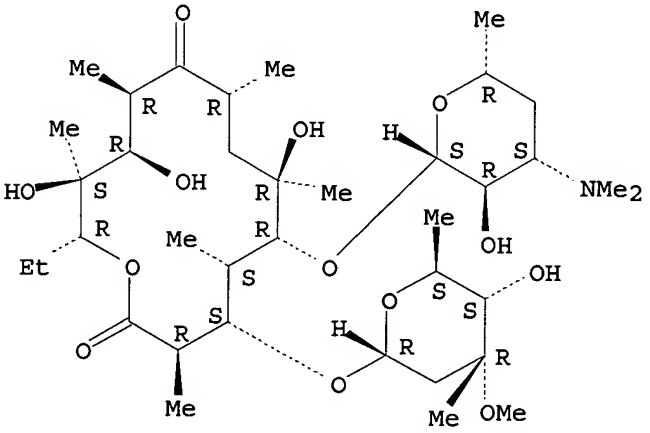
AB Blisters of the skin are treated by applying to the skin over the blister a flexible moisture-containing hydrophilic hydrogel patch that includes a backing support such as paper, cloth or plastic and a water-based hydrogel layer applied to the backing. The hydrogel layer comprises a hydrophilic natural or **synthetic** polymer to provide body dispersed in water and can be a tacky adhesive. The polymer can comprise any high mol. weight hydrophilic carbohydrate such as karaya, cornstarch, or a kelp gel and/or a **synthetic** hydrophilic polymer such as polyacrylamide or

polyacrylic acid. A humectant such as a polyhydric alc., keeps the gel layer moist. A solute such as salt, protein, sugar or an alc. is dissolved in the water in a quantity sufficient to raise the osmotic pressure enough to maintain the hydrogel layer in a hypertonic state with respect to the blister. The hydrogel which hydrates the normally dry upper layer of skin forms a hydrophilic **bridge** with the patient's skin that allows fluid to be drawn by osmotic pressure from the blister through the normally dry stratum corneum into the patch. In addition, the hydrogel very quickly significantly diminishes the pain secondary to skin burns and blisters. For example, a hydrophilic adhesive composition contained (by weight) glycerin 22.0%, water 10.0%, propylene glycol 20.0%, NaCl 1.0%, and polyquaternary amine 37.0%. Patches containing this composition were applied to the patient with second degree burns and blisters on the hand and fingers. Within 5 min the patient reported that the pain was completely gone. The patches were replaced about 3 h after they were first placed. Examination of the fingers revealed there was no clin. fluid within the blisters and there was no recurrent pain to the air or gentle palpation. When the burned areas were examined 4 days later, there were only minimal findings in the wounded areas. Further, the patient had never had any recurrence of pain or limitations of motion and use of the fingers. The probable action of the hypertonic hydrophilic gel layer of the patch on first and second degree burns is twofold. First, the hypertonic gel layer removed the fluid within the blisters and some of the increased extracellular fluid in the surrounding areas as a result of the burn. The result of this action reduced the inflammation which apparently never returned. Second, the immediate effect of the hydrophilic gel almost immediately removed the pain by covering the burned surface with a moist layer of hydrogel, thereby reducing or eliminating the irritation to the pain sensors in the burned skin. As the fluid was removed and the acute inflammation subsided, the pain also clin. abated without the presence of the hydrogel patch.

IT **114-07-8**, Erythromycin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypertonic polymer-based hydrogel patch for treatment of traumatic burns or blisters)

RN 114-07-8 CAPLUS
CN Erythromycin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



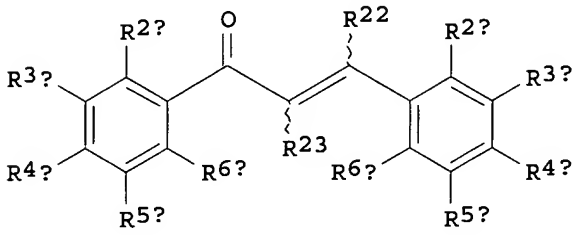

L7 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:935594 CAPLUS
DN 136:69730
TI Preparation of 1,3-bis-(substituted-phenyl)-2-propen-1-ones as VCAM-1 inhibitors for treatment of inflammatory disorders
IN Meng, Charles Q.; Ni, Liming; Sikorski, James A.; Hoong, Lee K.
PA Atherogenics, Inc., USA
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

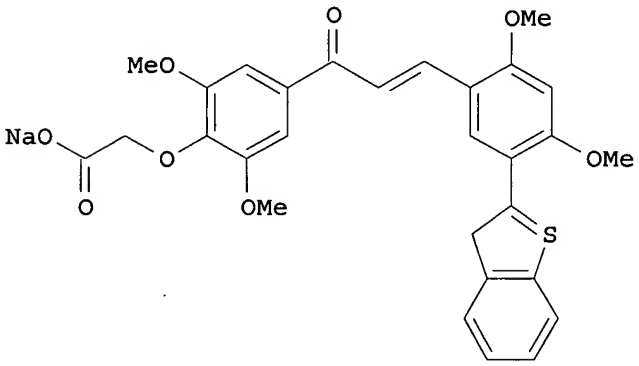
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001098291 | A2 | 20011227 | WO 2001-US19720 | 20010620 |
| | WO 2001098291 | A3 | 20020516 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2413878 | AA | 20011227 | CA 2001-2413878 | 20010620 |
| | BR 2001011889 | A | 20030624 | BR 2001-11889 | 20010620 |
| | EP 1330448 | A2 | 20030730 | EP 2001-946583 | 20010620 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | US 6608101 | B1 | 20030819 | US 2001-886348 | 20010620 |
| | JP 2004501147 | T2 | 20040115 | JP 2002-504247 | 20010620 |
| | NZ 523443 | A | 20041126 | NZ 2001-523443 | 20010620 |
| PRAI | US 2000-212769P | P | 20000620 | | |
| | US 2000-255934P | P | 20001215 | | |
| | WO 2001-US19720 | W | 20010620 | | |

OS MARPAT 136:69730

GI




I




II

AB Title compds. I [wherein R2a, R3a, R4a, R5a, R6a, R2b, R3b, R4b, R5b, and R6b = independently H, (cyclo)alkyl, (hetero)aryl, carbocyclyl, (halo)alkylthio, (un)substituted alkoxy or amino, (halo)acyl, amido, (halo)alkylsulfonyl, aminocarbonyl, alkenyl, alkynyl, halo, OH, SH, CN, NO2, SO3H, sulf(on)amido, PO3H2, alditol, carbohydrate, amino acid, etc.; R22 and R23 = independently H or alkyl; or R22 and R6a or R23 and R6a can join together to form a **bridged** carbocycle, (hetero)aryl, or heterocycle; R2a and R3a, R3a and R4a, R4a and R5a, R5a and R6a, R2b and R3b, R3b and R4b, R4b and R5b, or R5b and R6b and independently join to form a **bridged** (un)substituted carbocycle, cycloalkenyl, cycloalk(en)ylcarbonyl, (hetero)aryl, heterocycle, or alkylenedioxy; and the E or Z isomers thereof] were prepared to inhibit the expression of

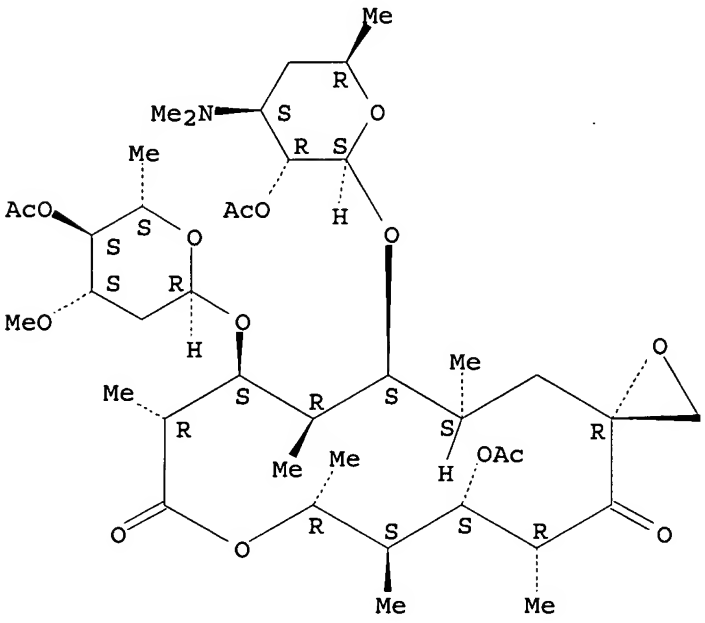
VCAM-1. For example, 3',5'-dimethoxy-4'-hydroxyacetophenone was treated with Et glycolate, PPh3, and di-Et azodicarboxylate in THF to give 4'-ethoxycarbonylmethoxy-3',5'-dimethoxyacetophenone (90%). Coupling the acetophenone and 5-(benzo[b]thien-2-yl)-2,4-dimethoxybenzaldehyde (preparation given) in the presence of NaOH in absolute EtOH afforded the 1,3-diphenyl-2-propen-1-one II (39%), which stimulated cultured human aortic smooth muscle cell activity with IC50 of 0.45 μM. I are useful for the treatment of inflammatory disorders that are mediated by VCAM-1, including arthritis, asthma, dermatitis, cystic fibrosis, post transplantation late and chronic solid organ rejection, multiple sclerosis, systemic lupus erythematosis, inflammatory bowel diseases, autoimmune diabetes, diabetic retinopathy, rhinitis, ischemia-reperfusion injury, post-angioplasty restenosis, chronic obstructive pulmonary disease (COPD), glomerulonephritis, Graves disease, gastrointestinal allergies, conjunctivitis, atherosclerosis, coronary artery disease, angina and small artery disease.

IT **2751-09-9**, Troleandomycin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-administration of bis(substituted phenyl)propenone VCAM-1 inhibitors with other biol. agents)

RN 2751-09-9 CAPLUS

CN Oleandomycin, triacetate (ester) (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.




L7 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:824079 CAPLUS

DN 133:366452

TI **Method** for treating acne or isolated pimples and adhesive patch therefor

IN Hymes, Alan C.

PA Lec Tec Corporation, USA

SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2000069405 | A1 | 20001123 | WO 2000-US13539 | 20000518 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,

LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

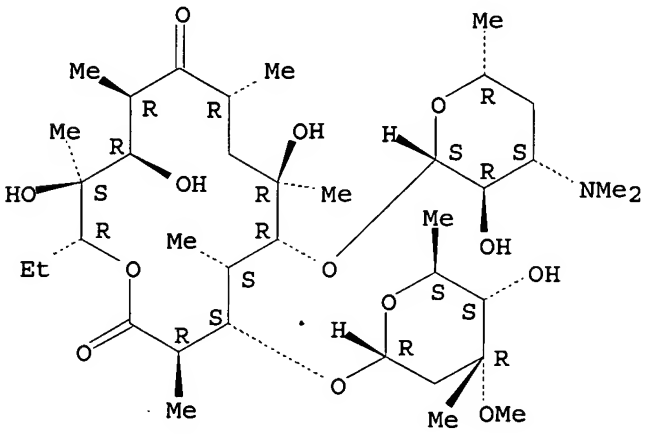
US 6455065 B1 20020924 US 1999-314272 19990518
PRAI US 1999-314272 A 19990518

AB The skin disorder acne, as well as one or more isolated pimples, are treated by applying to the skin, over the skin disorder, a flexible moisture-containing hydrophilic hydrogel patch that includes a backing support such as paper, cloth or plastic and a water-based hydrogel layer applied to the backing. The hydrogel layer comprises a hydrophilic natural or **synthetic** polymer dispersed in water to provide body and can be a tacky adhesive. The polymer can comprise any high mol. weight hydrophilic carbohydrate such as karaya, cornstarch, or kelp and/or a **synthetic** hydrophilic polymer such a polyacrylamide or polyacrylic acid. A humectant such as an alc. containing two or more hydroxyl groups, i.e., a polyhydric alc., keeps the adhesive layer moist. A solute such as salt, protein, sugar or an alc. is dissolved in the water in a quantity sufficient to raise the osmotic pressure enough to maintain the adhesive hydrogel layer in a hypertonic state with respect to the underlying skin tissue. The hydrogel adhesive which hydrates the upper layer of skin forms a hydrophilic **bridge** with the patient's skin that allows fluid to be drawn by osmotic pressure from the skin disorder through the normally dry stratum corneum into the patch. Another aspect of the invention is a hypertonic moisture-containing adhesive patch itself.

IT **114-07-8**, Erythromycin
RL: BUU (Biological use, unclassified); DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treating acne or isolated pimples with adhesive patch)

RN 114-07-8 CAPLUS
CN Erythromycin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

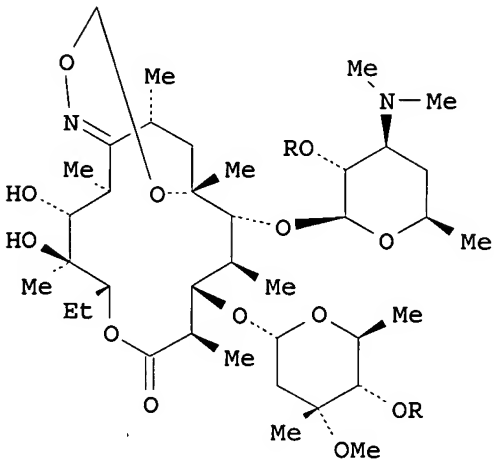
L7 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:479052 CAPLUS
DN 129:122840
TI Preparation of 6,9-**bridged** erythromycins as bactericides
IN Or, Yat Sun; Clark, Richard F.; Chu, Daniel T.; Plattner, Jacob J.
PA Abbott Laboratories, USA
SO U.S., 20 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | | |
|---|---|---|---|---|---|
| PI | US 5780605 | A | 19980714 | US 1997-925582 | 19970908 |
| | ZA 9807688 | A | 19990224 | ZA 1998-7688 | 19980825 |
| | CA 2301643 | AA | 19990318 | CA 1998-2301643 | 19980901 |
| | WO 9912947 | A1 | 19990318 | WO 1998-US18225 | 19980901 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9892162 | A1 | 19990329 | AU 1998-92162 | 19980901 |
| | EP 1015467 | A1 | 20000705 | EP 1998-944680 | 19980901 |
| | EP 1015467 | B1 | 20040107 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO | | | | |
| | BR 9812148 | A | 20000718 | BR 1998-12148 | 19980901 |
| | TR 200000620 | T2 | 20000921 | TR 2000-200000620 | 19980901 |
| | JP 2001515915 | T2 | 20010925 | JP 2000-510753 | 19980901 |
| | AT 257484 | E | 20040115 | AT 1998-944680 | 19980901 |
| | PT 1015467 | T | 20040531 | PT 1998-944680 | 19980901 |
| | ES 2213915 | T3 | 20040901 | ES 1998-944680 | 19980901 |
| | NO 2000001169 | A | 20000405 | NO 2000-1169 | 20000307 |
| | BG 104288 | A | 20010131 | BG 2000-104288 | 20000330 |
| PRAI | US 1997-925582 | A | 19970908 | | |
| | WO 1998-US18225 | W | 19980901 | | |

OS MARPAT 129:122840

GI




I

AB Novel multi-cyclic erythromycin compds. and pharmaceutically acceptable salts and esters thereof having antibacterial activity having a formula I (R = H, hydroxy protecting group) comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically acceptable carrier, as well as a **method** for treating bacterial infections by administering to a mammal a pharmaceutical composition containing a therapeutically-effective amount of a compound of the invention. Thus, I (R = H) was prepared as antibacterial agent (MIC = 0.05-128).

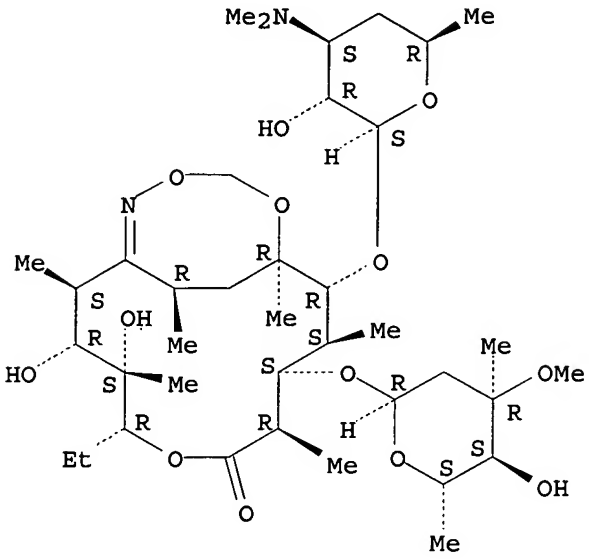
IT **210244-59-0P 210244-60-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 6,9-**bridged** erythromycins as bactericides)

RN 210244-59-0 CAPLUS

CN 6,13,15-Trioxa-16-azabicyclo[10.4.2]octadec-16-en-7-one, 9-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-5-ethyl-3,4-dihydroxy-2,4,8,10,12,17-hexamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,

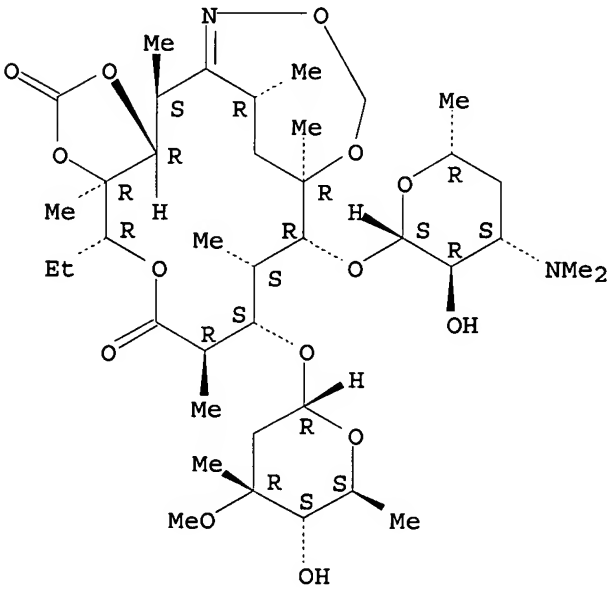
(2S,3R,4S,5R,8R,9S,10S,11R,12R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210244-60-3 CAPLUS
CN 11,16-Ethano-1,3-dioxolo[4,5-e][1,8,15,2]trioxaazacyclohexadecine-2,6(7H)-dione, 8-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-4-ethyl-3a,4,8,9,10,11,17,17a-octahydro-3a,7,9,11,17,18-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aR,4R,7R,8S,9S,10R,11R,17S,17aR,18R)- (9CI) (CA INDEX NAME)

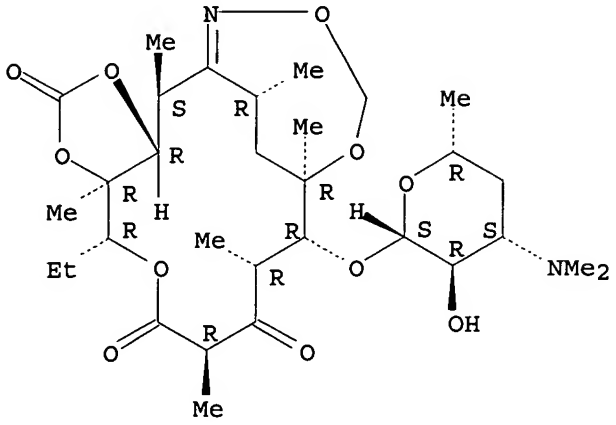
Absolute stereochemistry.



IT **210244-63-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6,9-**bridged** erythromycins as bactericides)
RN 210244-63-6 CAPLUS
CN 11,16-Ethano-1,3-dioxolo[4,5-e][1,8,15,2]trioxaazacyclohexadecine-2,6,8(7H,9H)-trione, 4-ethyl-3a,4,10,11,17,17a-hexahydro-3a,7,9,11,17,18-

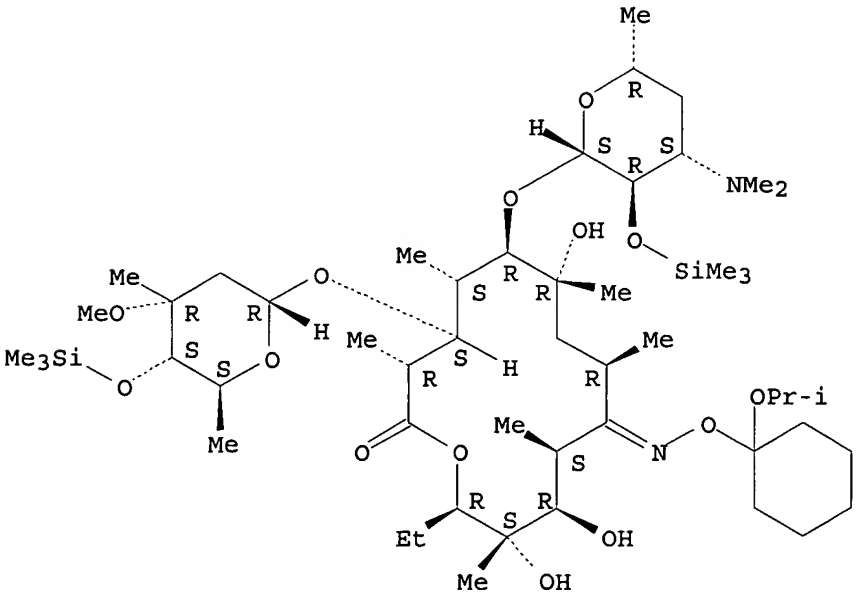
hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aR,4R,7R,9R,10R,11R,17S,17aR,18R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



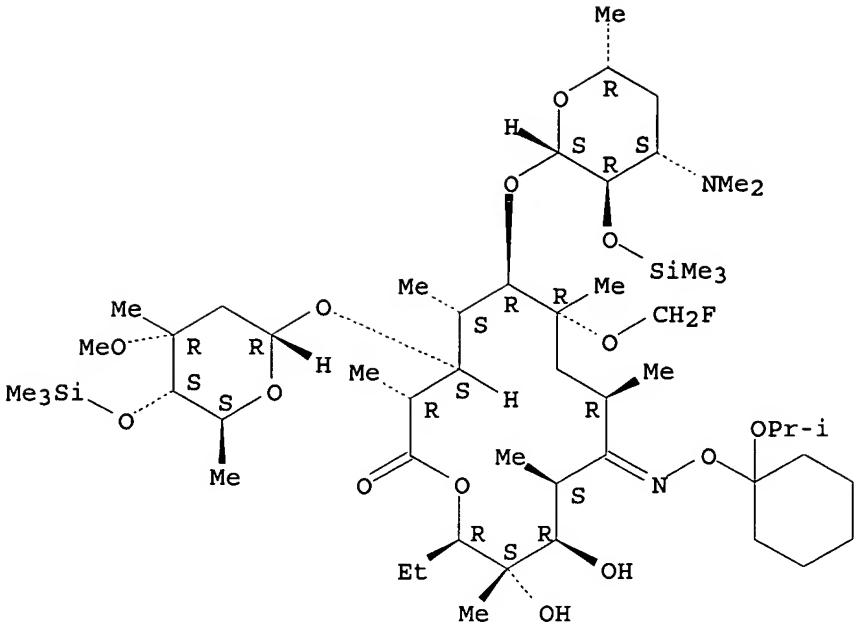
IT **129317-09-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 6,9-**bridged** erythromycins as bactericides)
RN 129317-09-5 CAPLUS
CN Erythromycin, 2',4''-bis-O-(trimethylsilyl)-, 9-[O-[(1-methylethoxy)cyclohexyl]oxime] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



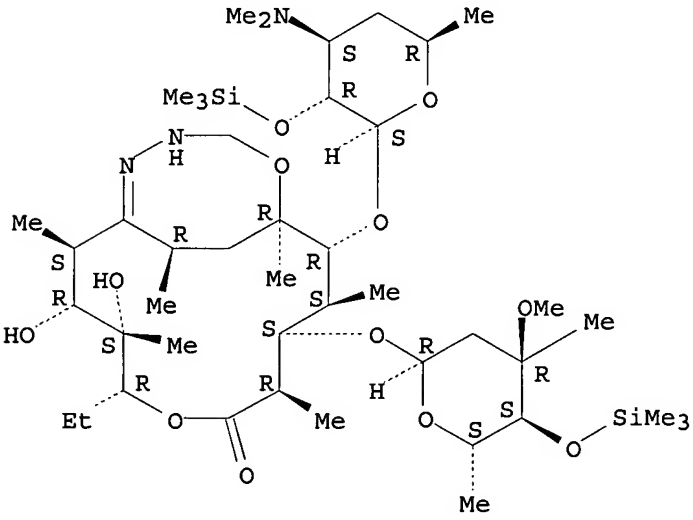
IT **198558-10-0P 210244-61-4P 210244-62-5P**
**210244-64-7P 210244-65-8P 210244-66-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6,9-**bridged** erythromycins as bactericides)
RN 198558-10-0 CAPLUS
CN Erythromycin, 6-O-(fluoromethyl)-2',4''-bis-O-(trimethylsilyl)-, 9-[O-[1-(1-methylethoxy)cyclohexyl]oxime] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



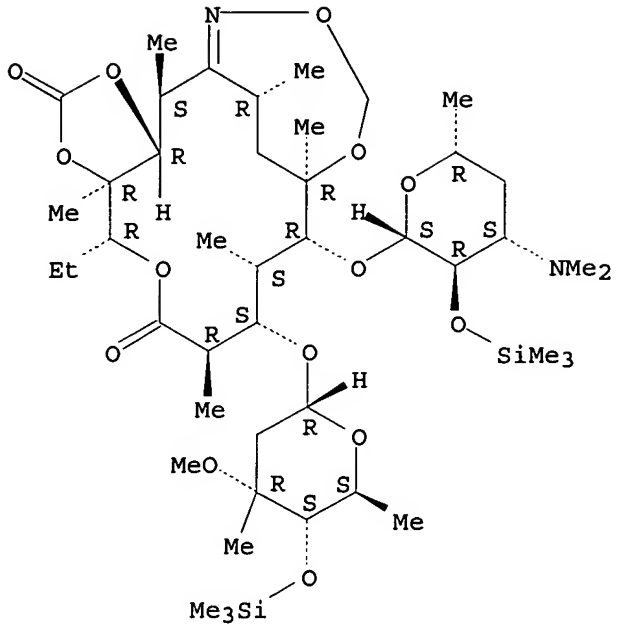
RN 210244-61-4 CAPLUS
CN 6,13-Dioxa-15,16-diazabicyclo[10.4.2]octadec-16-en-7-one, 9-[[2,6-dideoxy-3-C-methyl-3-O-methyl-4-O-(trimethylsilyl)-α-L-ribo-hexopyranosyl]oxy]-5-ethyl-3,4-dihydroxy-2,4,8,10,12,17-hexamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-(trimethylsilyl)-β-D-xylo-hexopyranosyl]oxy]-, (2S,3R,4S,5R,8R,9S,10S,11R,12R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



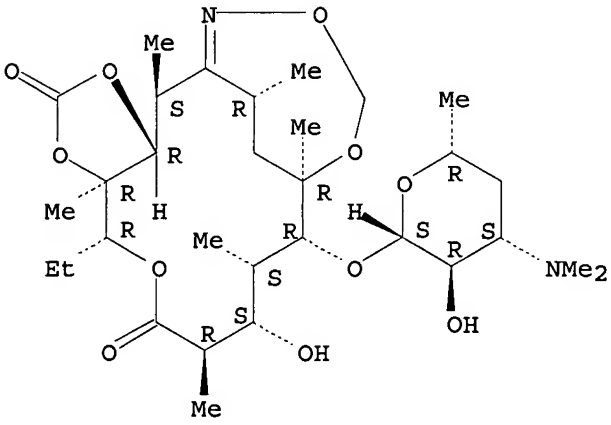
RN 210244-62-5 CAPLUS
CN 11,16-Ethano-1,3-dioxolo[4,5-e][1,8,15,2]trioxaazacyclohexadecine-2,6(7H)-dione, 8-[[2,6-dideoxy-3-C-methyl-3-O-methyl-4-O-(trimethylsilyl)-α-L-ribo-hexopyranosyl]oxy]-4-ethyl-3a,4,8,9,10,11,17,17a-octahydro-3a,7,9,11,17,18-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-(trimethylsilyl)-β-D-xylo-hexopyranosyl]oxy]-, (3aR,4R,7R,8S,9S,10R,11R,17S,17aR,18R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



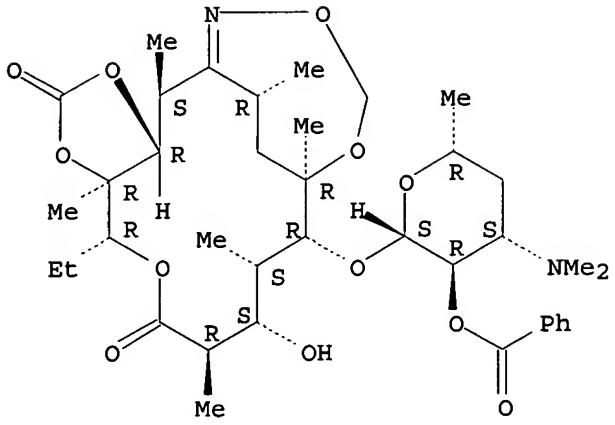
RN 210244-64-7 CAPLUS
CN 11,16-Ethano-1,3-dioxolo[4,5-e][1,8,15,2]trioxaazacyclohexadecine-2,6(7H)-dione, 4-ethyl-3a,4,8,9,10,11,17,17a-octahydro-8-hydroxy-3a,7,9,11,17,18-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (3aR,4R,7R,8S,9S,10R,11R,17S,17aR,18R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



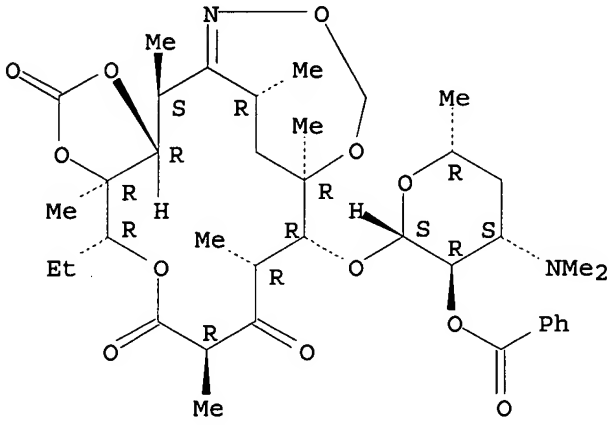
RN 210244-65-8 CAPLUS
CN 11,16-Ethano-1,3-dioxolo[4,5-e][1,8,15,2]trioxaazacyclohexadecine-2,6(7H)-dione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,8,9,10,11,17,17a-octahydro-8-hydroxy-3a,7,9,11,17,18-hexamethyl-, (3aR,4R,7R,8S,9S,10R,11R,17S,17aR,18R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210244-66-9 CAPLUS
CN 11,16-Ethano-1,3-dioxolo[4,5-e][1,8,15,2]trioxaazacyclohexadecine-2,6,8(7H,9H)-trione, 10-[[2-O-benzoyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-4-ethyl-3a,4,10,11,17,17a-hexahydro-3a,7,9,11,17,18-hexamethyl-, (3aR,4R,7R,9R,10R,11R,17S,17aR,18R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

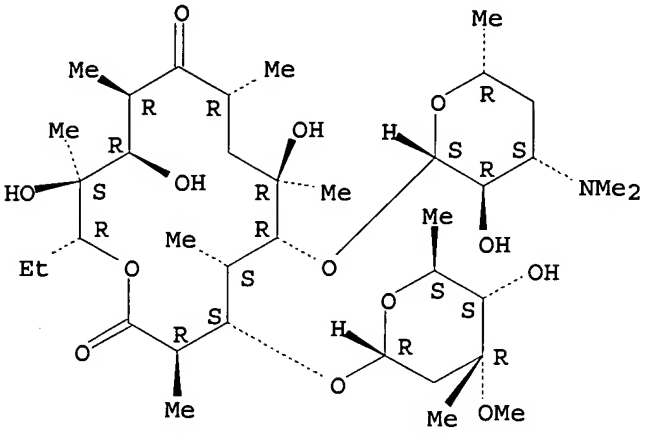
L7 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:469899 CAPLUS
DN 125:163069
TI Group of peptides that act synergistically with hydrophobic antibiotics against gram-negative enteric bacteria
AU Vaara, Martti; Porro, Massimo
CS Dep. Bacteriology Immunology, Univ. Helsinki, Helsinki, 00014, Finland
SO Antimicrobial Agents and Chemotherapy (1996), 40(8), 1801-1805
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB A **synthetic** peptide, KFFKFFKFF, consisting of cationic lysine residues and hydrophobic phenylalanine residues was found to sensitize gram-neg. bacteria to hydrophobic and amphipathic antibiotics. At a concentration of 3 μg/mL, it decreased the MIC of rifampin for smooth, encapsulated Escherichia coli by a factor of 300. Other susceptible bacterial species included Enterobacter cloacae, Klebsiella pneumoniae, and Salmonella typhimurium, but Pseudomonas aeruginosa was resistant. Similar results were obtained with another **synthetic** peptide, IKFLKFLKFL. The fractional inhibitory concentration indexes for the synergism of these peptides with rifampin, erythromycin, fusidic acid, and novobiocin were very close to those determined for the previously characterized potent

outer-membrane-disorganizing agents polymyxin B nonapeptide and deacylpolymyxin B. KFFKFFKFF had direct activity against the gram-pos. organism Micrococcus strain ML36, was strongly hemolytic, and was as active on polymyxin-resistant E. coli mutants as on their parent. These three attributes made KFFKFFKFF different from polymyxin derivs. and similar to cationic detergents, such as cetylpyridinium chloride. However, whereas the MIC of cetylpyridinium chloride for E. coli is low (0.5 to 4 μg/mL), that of KFFKFFKFF is much higher (30 to 100 μg/mL). Other groups of **synthetic** peptides studied included polymyxin-like peptides with an intrachain disulfide **bridge**. Their synergism with antibiotics was less marked. Still other peptides, including KEKEKEKEKE and KKKKKKFLFL, lacked any synergism with the probe antibiotics.

IT **114-07-8**, Erythromycin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**synthetic** cationic peptides that act synergistically with hydrophobic antimicrobials against gram-neg. enteric bacteria)

RN 114-07-8 CAPLUS
CN Erythromycin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:503327 CAPLUS
DN 119:103327
TI Bioactive topical siloxane compositions having enhanced performance and safety
IN Haney, David N.
PA Special Advanced Biomaterials, Inc., USA
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9217184 | A2 | 19921015 | WO 1992-US537 | 19920122 |
| | W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MG, MW, NO, PL, RO, RU, SD | | | | |
| | RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG | | | | |
| | US 5686065 | A | 19971111 | US 1991-675749 | 19910327 |
| | AU 9212635 | A1 | 19921102 | AU 1992-12635 | 19920122 |
| | JP 06507385 | T2 | 19940825 | JP 1992-505414 | 19920122 |
| | US 5891914 | A | 19990406 | US 1995-487027 | 19950607 |
| PRAI | US 1991-675749 | A | 19910327 | | |
| | WO 1992-US537 | A | 19920122 | | |

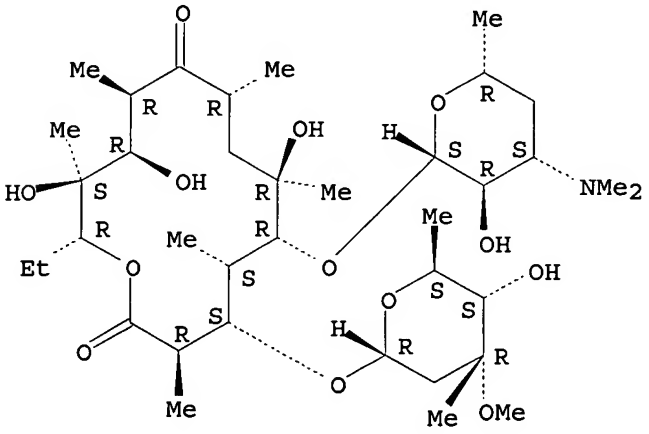
AB Siloxane compns. are bound to the skin from formulations of a silane coupling agent and a bioactive agent. A topical composition comprises a silane

coupling agent and bioactive agent(s), and/or a bifunctional compound which combines with both silane coupling and bioactive groups. Polymerization of these compns. occurs upon contact with the skin surface, allowing both the skin and the bioactive agent(s) to become cross-linked into the siloxane. Skin surface retention utilizes silane **bridging** agents that are activated to silanols for reaction with the skin surface groups and bioactive agent groups, at the time of end use. According to one **method**, a silane coupling agent substituted with a bioactive agent is formulated and stored in an anhydrous vehicle, then applied directly to the skin. Moisture on the skin surface or water added at the time of delivery causes the silane to simultaneously polymerize and bond to the skin surface mols. In another **method**, a silane coupling compound and the bioactive agent are formulated and stored sep., and both are applied to the skin, either simultaneously or one after another, to from the topical siloxane or bound to both the skin and the bioactive agent. Et 2-hydroxypropyl-p-aminobenzoate was reacted with chlorotriethoxysilane, in a 1:2 ratio, in absolute EtOH, in the presence of dicyclohexylamine, to give Et N,N-di-2-triethoxysilylpropyl-p-aminobenzoate. This (10%) in EtOH-cyclomethicone was applied to nude mice. The sunscreen remained bound to the skin by 82%, even after 15 washes.

IT **114-07-8D**, Erythromycin, reaction products with siloxanes
RL: BIOL (Biological study)
(for topical application to human skin)

RN 114-07-8 CAPLUS
CN Erythromycin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1991:542252 CAPLUS
DN 115:142252
TI Biodegradable bioactive membrane and **methods** for guided tissue regeneration
IN Sonis, Stephen T.
PA Brigham and Women's Hospital, USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9013302 | A1 | 19901115 | WO 1990-US2406 | 19900430 |
| | W: AU, CA, JP, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | |
| | AU 9056549 | A1 | 19901129 | AU 1990-56549 | 19900430 |
| PRAI | US 1989-344632 | A2 | 19890428 | | |
| | WO 1990-US2406 | A | 19900430 | | |

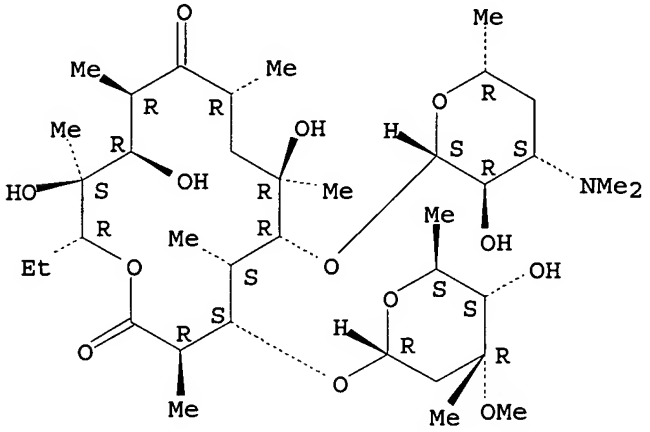
AB A composition for guided tissue regeneration comprises a biodegradable bioactive membrane having 2 sides, one or both sides containing biol. active substance(s). At least one of these substances is present on one side and

not the other. The composition is applied to the tissue to be regenerated. A membrane of bovine collagen, coated on one side with primary osteogenic factor and on the other with erythromycin, was placed with the factor side covering alveolar bone and **bridging** the crater. The flaps were sutured and dressed with a com. available periodontal pack. Inflammatory response was noticeably lower and alveolar bone regeneration showed significant improvement compared to controls treated with nonbioactive collagen membranes.

IT **114-07-8**, Erythromycin
RL: BIOL (Biological study)
(in biodegradable, bioactive collagen membrane for treatment of periodontal bony defects)

RN 114-07-8 CAPLUS
CN Erythromycin (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L7 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1991:247626 CAPLUS
DN 114:247626
TI NMR spectroscopic and x-ray crystallographic studies on the structure, stereochemistry and conformation of a series of 9,11-cyclic aminals of (9S)-9-N-methylerythromycylamine A
AU Davies, J. Sydney; Everett, Jeremy R.; Hatton, Ian K.; Hunt, Eric; Tyler, John W.; Zomaya, Iskander I.; Slawin, Alexandra M. Z.; Williams, David J.
CS Res. Div., Beecham Pharm., Betchworth/Surrey, RH3 7AJ, UK
SO Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1972-1999) (1991), (2), 201-14
CODEN: JCPKBH; ISSN: 0300-9580
DT Journal
LA English
GI

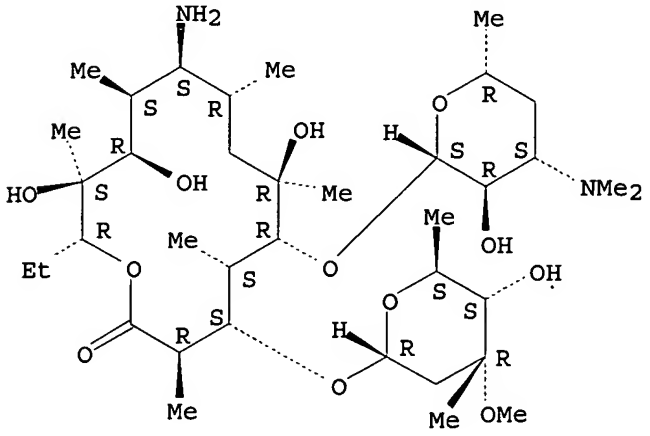
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB (9S)-9-N-Methylerythromycylamine A (I, R = H, R1 = Me) (II) and (9S)-9-N,N-dimethylerythromycylamine A (I, R = R1 = Me) have been **synthesized** and their solution conformations compared with that of I (R = R1 = H) using 1H and 13C NMR spectroscopy. II reacts with aliphatic aldehydes, e.g. RCH2CHO (R = H, Me, Ph), to give 9,11-cyclic products, e.g. III [R2 = H, R3 = CH2OH (IV); R2 = CH2CH2OEt, R3 = H (V)] which are shown to be diastereoisomeric about the **bridging** carbon atom C-23. Compds. with the same configuration at C-23 show close similarities in their 1H and 13C NMR spectra. The crystal structures of IV and V are thus reported and confirm the structural and conformational conclusions determined by NMR spectroscopy.

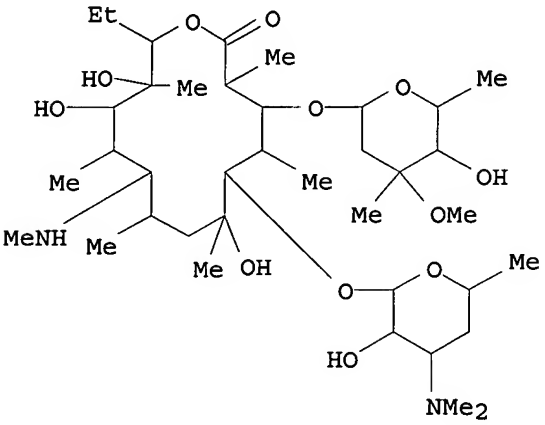
IT **26116-56-3 112451-97-5**
RL: RCT (Reactant); RACT (Reactant or reagent)

(conformation and cyclocondensation of, with aldehydes)
RN 26116-56-3 CAPLUS
CN Erythromycin, 9-amino-9-deoxo-, (9S)- (9CI) (CA INDEX NAME)

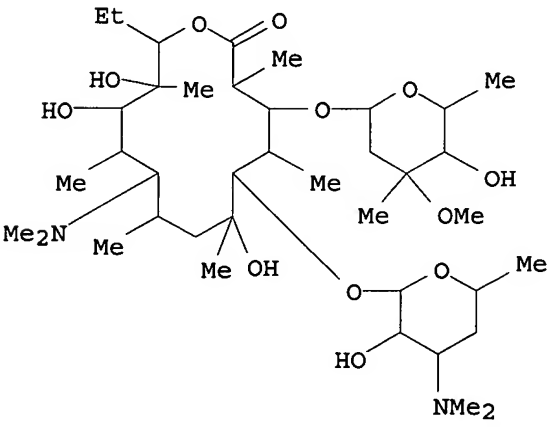
Absolute stereochemistry.



RN 112451-97-5 CAPLUS
CN Erythromycin, 9-deoxo-9-(methylamino)-, (9S)- (9CI) (CA INDEX NAME)



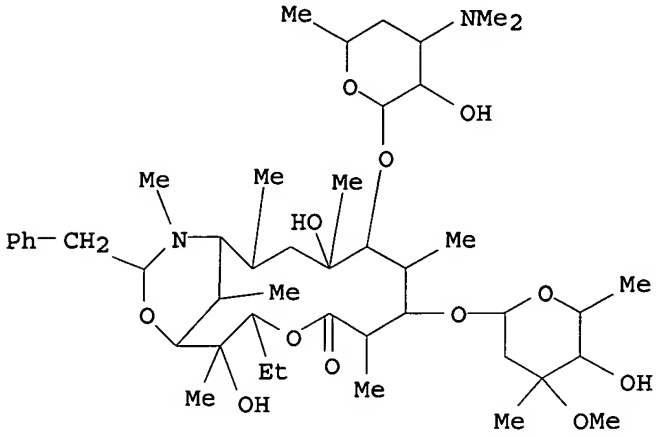
IT **112452-28-5**
RL: PRP (Properties)
(conformation of)
RN 112452-28-5 CAPLUS
CN Erythromycin, 9-deoxo-9-(dimethylamino)-, (9S)- (9CI) (CA INDEX NAME)



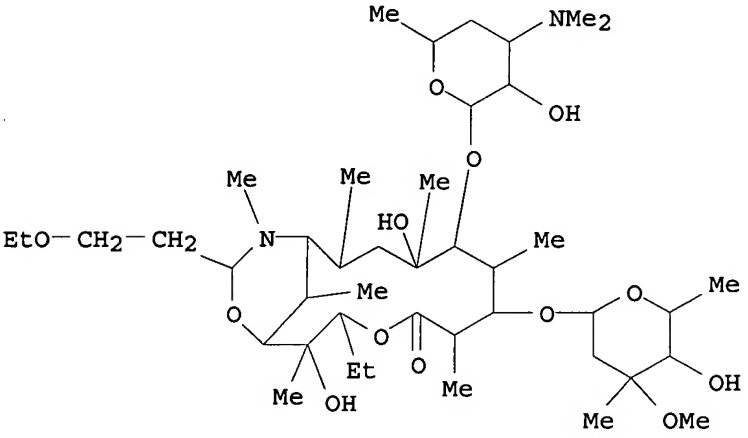
IT **128321-00-6P 128321-01-7P 128321-02-8P**

128387-61-1P 133760-29-9P 133760-30-2P
133814-06-9P 133814-07-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and conformation of)

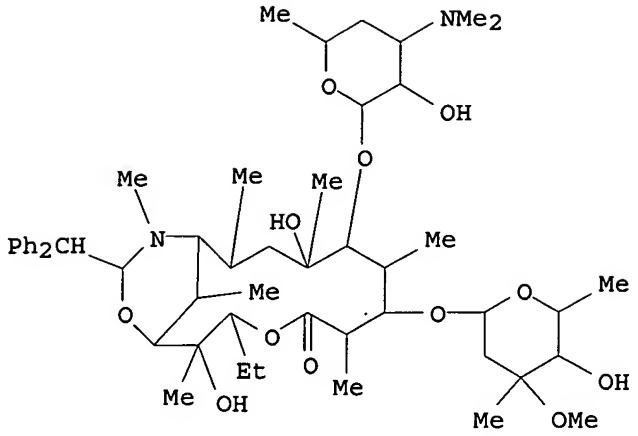
RN 128321-00-6 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)(2-phenylethylidene)oxy]-, [9S(S)]- (9CI) (CA INDEX NAME)



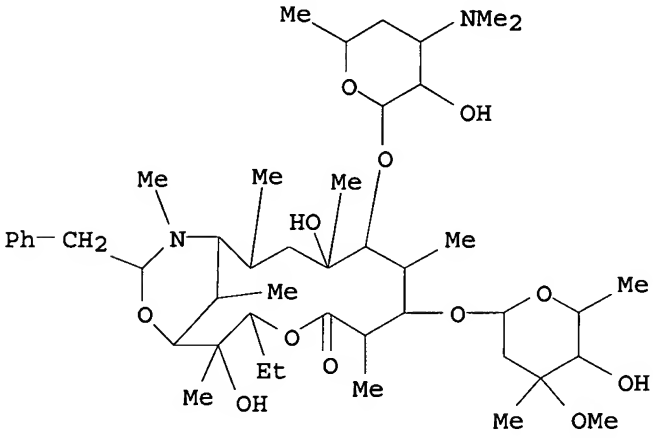
RN 128321-01-7 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)(3-ethoxypropylidene)oxy]-, [9S(S)]- (9CI) (CA INDEX NAME)



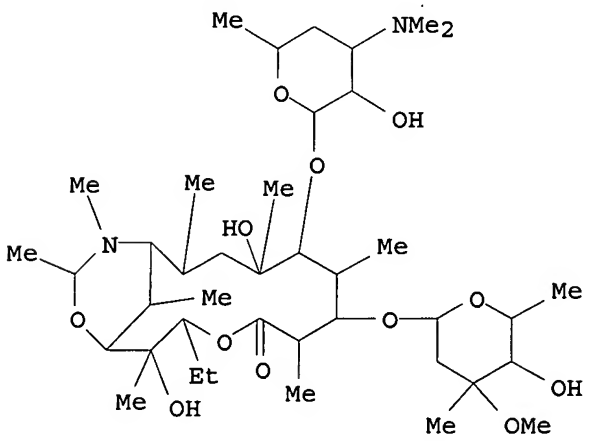
RN 128321-02-8 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)(2,2-diphenylethylidene)oxy]-, [9S(R)]- (9CI) (CA INDEX NAME)



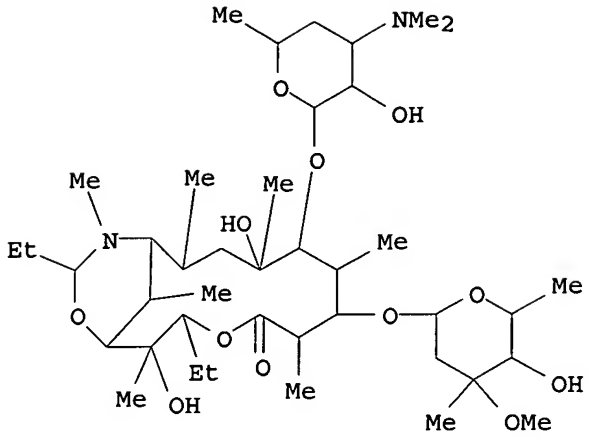
RN 128387-61-1 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)(2-phenylethylidene)oxy]-, [9S(R)]- (9CI) (CA INDEX NAME)



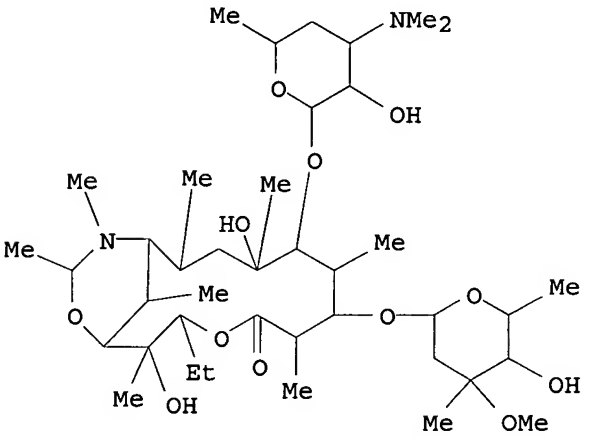
RN 133760-29-9 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)ethylideneoxy]-, [9S(R)]- (9CI) (CA INDEX NAME)



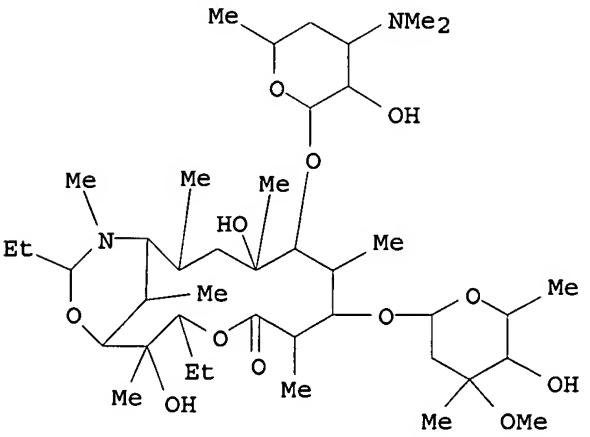
RN 133760-30-2 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)propylideneoxy]-, [9S(R)]- (9CI) (CA INDEX NAME)



RN 133814-06-9 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)ethylideneoxy]-, [9S(S)]- (9CI) (CA INDEX NAME)

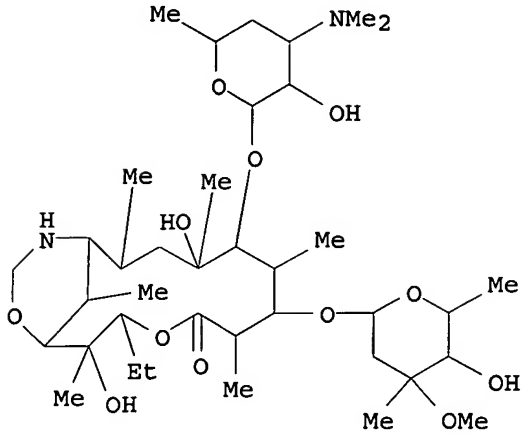


RN 133814-07-0 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)propylideneoxy]-, [9S(S)]- (9CI) (CA INDEX NAME)

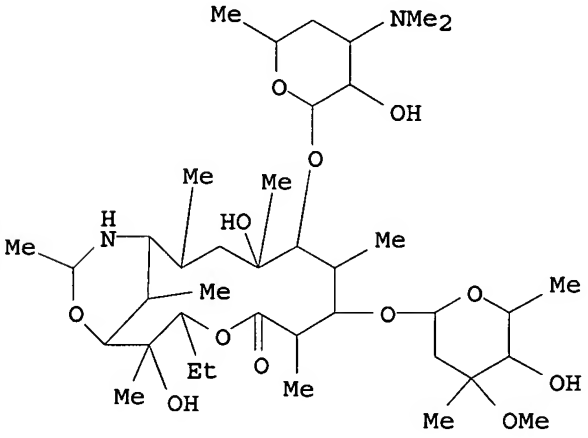


IT **133760-25-5P 133760-26-6P 133760-27-7P**
**133814-04-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

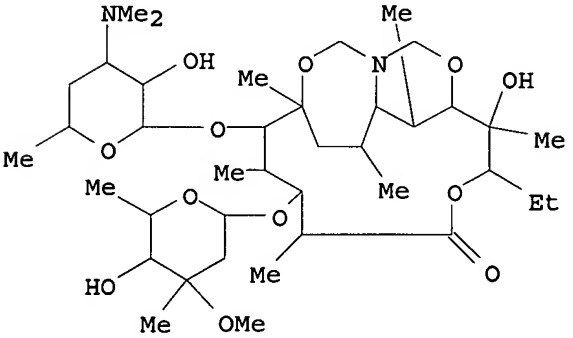
RN 133760-25-5 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-(iminomethyleneoxy)-, (9S)- (9CI) (CA INDEX NAME)



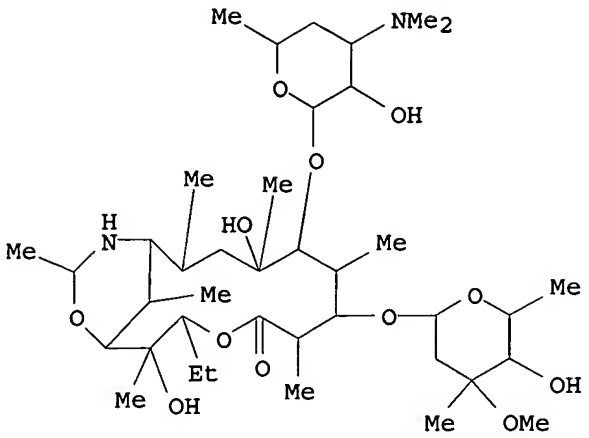
RN 133760-26-6 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-(iminoethylideneoxy)-, [9S(R)]- (9CI) (CA INDEX NAME)



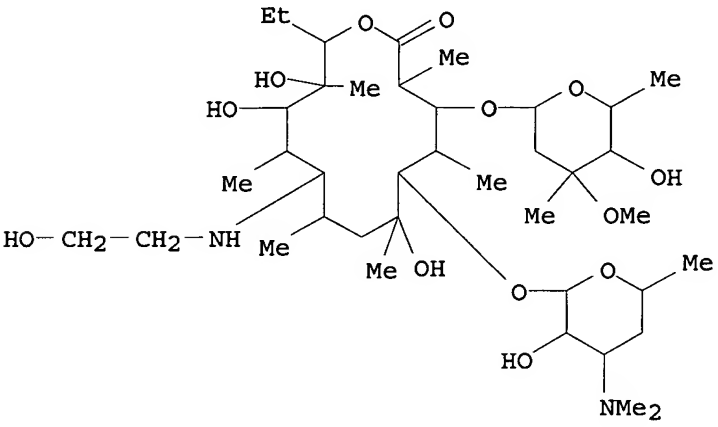
RN 133760-27-7 CAPLUS
CN Erythromycin, 9-deoxo-6,11-dideoxy-9,6,11-[nitrilobis(methyleneoxy)]- (9CI) (CA INDEX NAME)



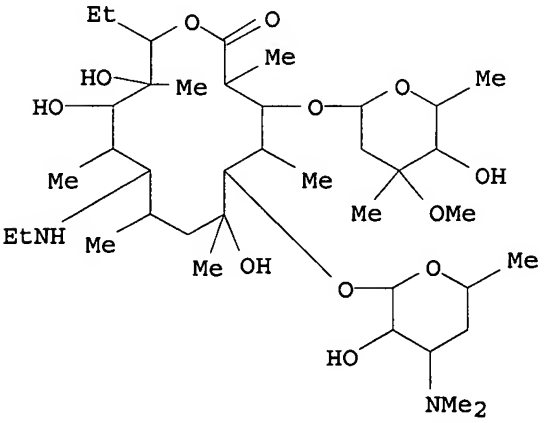
RN 133814-04-7 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-(iminoethylideneoxy)-, [9S(S)]- (9CI) (CA INDEX NAME)



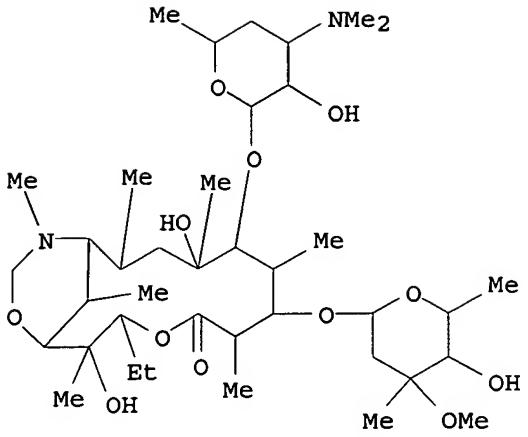
IT **61946-55-2P 112451-98-6P 133760-28-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61946-55-2 CAPLUS
CN Erythromycin, 9-deoxo-9-[(2-hydroxyethyl)amino]-, (9S)- (9CI) (CA INDEX NAME)



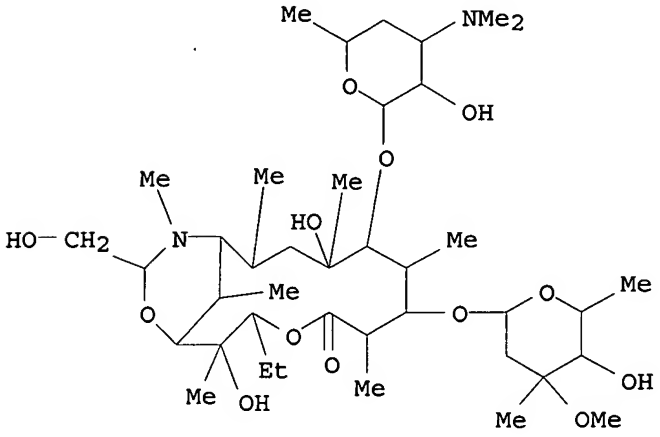
RN 112451-98-6 CAPLUS
CN Erythromycin, 9-deoxo-9-(ethylamino)-, (9S)- (9CI) (CA INDEX NAME)



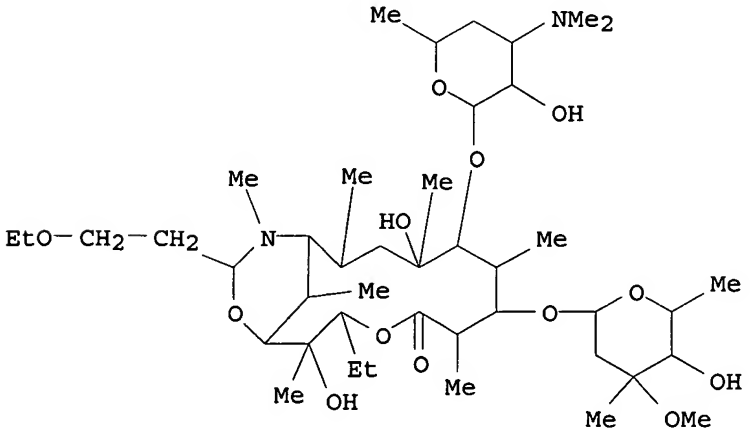
RN 133760-28-8 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)methyleneoxy]-, (9S)-(9CI) (CA INDEX NAME)



IT **128320-99-0P 128387-62-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, conformation, and crystal structure of)
RN 128320-99-0 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)(2-hydroxyethylidene)oxy]-, [9S(S)]- (9CI) (CA INDEX NAME)



RN 128387-62-2 CAPLUS
CN Erythromycin, 9-deoxo-11-deoxy-9,11-[(methylimino)(3-ethoxypropylidene)oxy]-, [9S(R)]- (9CI) (CA INDEX NAME)



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=> dis hist

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     FILE 'REGISTRY' ENTERED AT 14:34:24 ON 01 NOV 2005
L1             STRUCTURE UPLOADED
L2           50 S L1 SSS SAM
L3        15690 S L1 SSS FULL
L4            0 S L3 AND BRIDG?

     FILE 'CAPLUS' ENTERED AT 14:36:34 ON 01 NOV 2005
L5           25 S L3 AND BRIDG?
L6            3 S L5 AND (AITHROMYCIN OR DESMETHYL OR ROXITHROMYCIN OR CLARITHR
L7           12 S L5 AND (PROCESS OR METHOD OR PRODUCTION OR SYNTH?)

=> s "Or" Yat Sun/AU
L8          148 "OR" YAT SUN/AU

=> s l8 and (macrocyc?(a)bridg?)
         29752 MACROCYC?
        162982 BRIDG?
           198 MACROCYC?(A)BRIDG?
L9           2 L8 AND (MACROCYC?(A)BRIDG?)

=> s l8 and bridg?
        162982 BRIDG?
L10          9 L8 AND BRIDG?

=> dis l10 1-9 bib abs

L10  ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:962271  CAPLUS
DN   143:230147
TI   Preparation of bridged macrocyclic erythromycin and azithromycin
     compounds via palladium-catalyzed alkylation and cyclization reactions
IN   Or, Yat Sun
PA   Enanta Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 42 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     --------------      ----   --------    -------------------    --------
PI   WO 2005080408        A1    20050901    WO 2004-US1907         20040123
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI WO 2004-US1907          20040123
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   Bridged macrocyclic erythromycin and azithromycin compds. I,
     wherein L is H, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; U or
     V is sugar residue; U and V taken together with the carbon atom to which
     they are attached form CO, alkylidene; R is H, acyl, silane, hydroxy
     protecting group; X and Y taken together with the carbon atom to which
```

they are attached form CO, imine, oxime; X1 is H, halogen; were prepared via palladium-catalyzed alkylation and cyclization reactions. Thus, macrolide azithromycin II was prepared via palladium-catalyzed alkylation and cyclization reactions.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:34589 CAPLUS
DN 142:114362
TI Preparation of glycoside **bridged** macrocyclic compounds as antibacterial agents
IN **Or, Yat Sun**
PA USA
SO U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 464,188.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 10

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 2005009761 | A1 | 20050113 | US 2004-763377 | 20040123 |
| | US 2004023895 | A1 | 20040205 | US 2002-205018 | 20020725 |
| | US 6841664 | B2 | 20050111 | | |
| | US 6753318 | B1 | 20040622 | US 2002-205357 | 20020725 |
| | US 2005037982 | A1 | 20050217 | US 2003-429485 | 20030505 |
| | US 6878691 | B2 | 20050412 | | |
| | US 2004053861 | A1 | 20040318 | US 2003-436622 | 20030513 |
| | US 6764998 | B1 | 20040720 | US 2003-464188 | 20030618 |
| PRAI | US 2002-144396 | B2 | 20020513 | | |
| | US 2002-144558 | B2 | 20020513 | | |
| | US 2002-205018 | A2 | 20020725 | | |
| | US 2002-205357 | A2 | 20020725 | | |
| | US 2003-429485 | A2 | 20030505 | | |
| | US 2003-436622 | A2 | 20030513 | | |
| | US 2003-464188 | A2 | 20030618 | | |

OS MARPAT 142:114362
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a method for preparing **bridged** macrocyclic glycosides, e.g. I, wherein R is H, acyl, silane, hydroxy protecting group; L and R3 are independently H, aliphatic, alicyclic, aromatic, heteroarom., heterocyclic; one of U or V is H and the other is independently selected from R4, , OR4, OC(O)R4, oxy-amide, S(O)nR4, sugar residue; R4 is H, deuterium, alkyl, alicyclic, aromatic, heterocyclic; U and V, taken together with the carbon atom to which they are attached, are C:O, or UV and R1R2, taken together with the carbon atoms to which they are attached, are -C(R4)CH-; X and Y together with the carbon atom to which they are attached are CO, imine, oxime; X1 is H or halogen; n is 0-2, comprising the step of reacting a macrocyclic compound characterized by having at least two nucleophilic moieties with a bi-functional **bridging** reagent optionally in the presence of a catalyst, thereby producing a **bridged** macrocyclic product. Thus, macrolide II was prepared as potential antibacterial agent. This invention also encompasses pharmaceutical compns. containing, and methods of treating bacterial infections through administering, pharmaceutically acceptable prodrugs of compds. produced by the process of the present invention (no data).

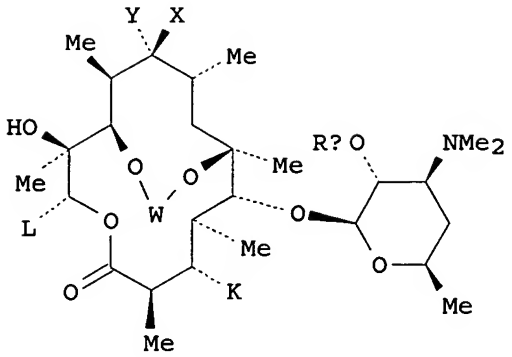
L10 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:890622 CAPLUS
DN 142:56597
TI Synthesis of Novel 6,11-O-**Bridged** Bicyclic Ketolides via a Palladium-Catalyzed Bis-allylation
AU Wang, Guoqiang; Niu, Deqiang; Qiu, Yao-Ling; Phan, Ly Tam; Chen, Zhigang;

Polemeropoulos, Alexander; **Or, Yat Sun**
CS Enanta Pharmaceuticals, Inc., Watertown, MA, 02472, USA
SO Organic Letters (2004), 6(24), 4455-4458
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:56597
AB A **bridging** chemical process was developed to form an ether **bridge** between 6-O and 11-O of erythromycin A via a tandem or stepwise palladium-catalyzed bis-π-allylation. By applying this **bridging** process, new 6,11-O-**bridged** bicyclic ketolides (BBKs) were synthesized. These BBKs showed good antibacterial activities against the macrolide-susceptible strains as well as mef-resistant strains and served as a good core for further modifications to study the structure-activity relationship (SAR) and to overcome bacterial resistance.
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:101000 CAPLUS
DN 140:146397
TI Preparation of 6,11-4-carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents
IN **Or, Yat Sun**; Wang, Guogiang; Niu, Deqiang; Phan, Ly Tam
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 10

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2004011009 | A1 | 20040205 | WO 2003-US20860 | 20030701 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6753318 | B1 | 20040622 | US 2002-205357 | 20020725 |
| US 2005009763 | A1 | 20050113 | US 2004-841249 | 20040507 |
| PRAI US 2002-205357 | A | 20020725 | | |

OS CASREACT 140:146397; MARPAT 140:146397
GI

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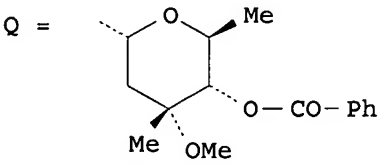



AB Novel 6,11-4-carbon **bridged** erythromycin ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group; K is H, alkoxy, ester, carbamate, sulfoxide, sugar residue; pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are methods for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound of the invention and processes for the preparation of such compds. Thus, I (W is -CH2CH=CHCH2-, X and Y taken together with the carbon atom they are attached to form C=N-OH, L is Et, Rx = H; K is sugar residue Q) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated an MIC in the range from about 64 μg/mL to about 0.03 μg/mL.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:100793 CAPLUS
DN 140:146396
TI Preparation of 6,11-4-carbon **bridged** macrolide ketolides erythromycin analogs as antibacterial agents
IN **Or, Yat Sun**; Wang, Guoqiang; Niu, Deqiang; Phan, Ly Tam
PA Enanra Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 10

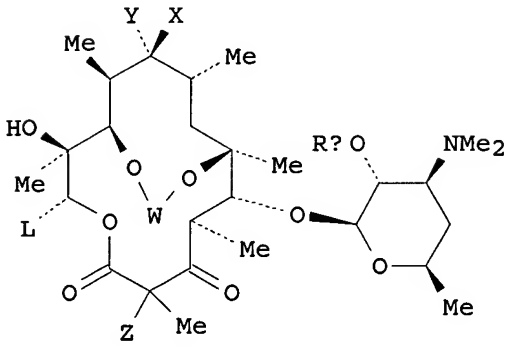
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 2004023895 | A1 | 20040205 | US 2002-205018 | 20020725 |
| | US 6841664 | B2 | 20050111 | | |
| | WO 2004011477 | A2 | 20040205 | WO 2003-US20864 | 20030601 |
| | WO 2004011477 | A3 | 20040318 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| | US 2005009761 | A1 | 20050113 | US 2004-763377 | 20040123 |
| | US 2004266998 | A1 | 20041230 | US 2004-841206 | 20040507 |
| PRAI | US 2002-144396 | B2 | 20020513 | | |
| | US 2002-144558 | B2 | 20020513 | | |
| | US 2002-205018 | A | 20020725 | | |
| | US 2002-205357 | A2 | 20020725 | | |
| | US 2003-429485 | A2 | 20030505 | | |
| | US 2003-436622 | A2 | 20030513 | | |
| | US 2003-464188 | A2 | 20030618 | | |

OS MARPAT 140:146396
GI




I

AB Novel 6,11-4-carbon **bridged** ketolides I, wherein W is substituted alkylidene, X and Y are independently H, deuterium, OH, alkoxy, amine; XY are together CO, imine, oxime, amide; L is hydroxy-alkyl, alkyl, alkenyl, alkynyl; Z is H, Me, halogen; Rx is hydroxy protecting group, pharmaceutically-acceptable compns. comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically-acceptable carrier are described. Also described are a method for treating bacterial infections by administering to an animal a pharmaceutical composition containing a therapeutically effective amount of a compound of the invention and processes for the preparation of such compds. Thus, I (W is -CH2CH=CHCH2-, X and Y taken together with the carbon atom they are attached to form C=NC(O)CH3, L is Et, Z = Rx = H) was prepared and tested in vitro as antibacterial agent. The compds. of the invention generally demonstrated antibacterial activity in vitro with an MIC in the range from about 64 μg/mL to about 0.03 μg/mL.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:220726 CAPLUS
DN 132:237323
TI Preparation of 6,11-**bridged** erythromycins as bactericides
IN **Or, Yat Sun**; Griesgraber, George; Li, Leping; Chu, Daniel T.
PA Abbott Laboratories, USA
SO U.S., 29 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 6046171 | A | 20000404 | US 1998-158459 | 19980922 |
| PRAI US 1997-63712P | P | 19971029 | | |

OS MARPAT 132:237323
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

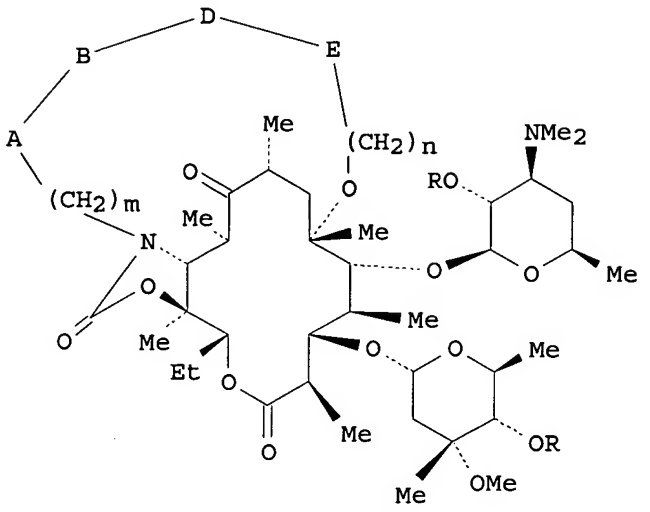
AB Macrolide 6,11-**bridged** erythromycins I wherein, m is 0-7; n is 0-4; R is independently hydrogen or a hydroxy protecting group at each occurrence; A is absent or is selected from the group consisting of -O-, and -N(R1)-, wherein R1 is hydrogen or C-C6-alkyl optionally substituted with aryl or heteroaryl; B is absent or is selected from the group consisting of -(CH)q-, wherein q is 0-6, -C(O)(CH2)q-, -C(O)O(CH2)q-, -C(O)NR1(CH2)q-, wherein R1 is as defined previously, and -N=CH-(CH2)-; -CH(OH)(CH2)q-, and -CH(OH)CH(OH)(CH2)q-; D is absent or is selected from the group consisting of alkenylene, arylene, substituted arylene, heteroarylene, substituted heteroarylene; alkenylene-arylene, arylene-arylene, substituted arylene-arylene, heteroarylene-arylene, substituted heteroarylene-arylene, alkenylene-heteroarylene, arylene-heteroarylene, substituted arylene-heteroarylene, heteroarylene-heteroarylene, and substituted heteroarylene-heteroarylene; E is absent or is selected from the group consisting of -(CH2)xCH=CH-, -(CH2)xO-, wherein x is 0-4, -(CH2)xNR1CH2CH(OH)-, wherein R1 is as defined previously, -(CH2)xC(O)O-, -(CH2)xNR1-, -(CH2)OC(O)-, -(CH2)xC(O)NR1- and -(CH2)xNR1C(O)-; FG is O; F = sugar residue L, G = H, were prepared as antibacterial agents. Thus I, 2'-R is H, 4"-R is acetyl, m is 2, A is NH, B is -C(O)-, D is 1,3-phenylene, E is -CH=CH-, n is 1 was prepared and tested for its antibacterial activity.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:299483 CAPLUS
DN 130:312022
TI Preparation of 6,11-**bridged** erythromycins as antibacterial agents
IN **Or, Yat Sun**; Griesgraber, George; Li, Leping; Chu, Daniel T.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9921864 | A1 | 19990506 | WO 1998-US22941 | 19981029 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | ZA 9809848 | A | 19990429 | ZA 1998-9848 | 19981028 |
| | CA 2307828 | AA | 19990506 | CA 1998-2307828 | 19981029 |
| | AU 9912867 | A1 | 19990517 | AU 1999-12867 | 19981029 |
| | EP 1027361 | A1 | 20000816 | EP 1998-956314 | 19981029 |
| | EP 1027361 | B1 | 20030507 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO | | | | |
| | BR 9813317 | A | 20000822 | BR 1998-13317 | 19981029 |
| | TR 200001140 | T2 | 20010521 | TR 2000-200001140 | 19981029 |
| | JP 2001521038 | T2 | 20011106 | JP 2000-517973 | 19981029 |
| | AT 239750 | E | 20030515 | AT 1998-956314 | 19981029 |
| | PT 1027361 | T | 20030930 | PT 1998-956314 | 19981029 |
| | ES 2198766 | T3 | 20040201 | ES 1998-956314 | 19981029 |
| | TW 486485 | B | 20020511 | TW 1998-87117981 | 19981130 |
| | NO 2000002099 | A | 20000629 | NO 2000-2099 | 20000425 |
| | MX 200004227 | A | 20001110 | MX 2000-4227 | 20000428 |
| | BG 104425 | A | 20010131 | BG 2000-104425 | 20000511 |
| PRAI | US 1997-960400 | A | 19971029 | | |
| | US 1998-158269 | A | 19980922 | | |

WO 1998-US22941 W 19981029
OS MARPAT 130:312022
GI



I

AB Macrolide erythromycins I (m = 1-7; n = 1-4; R = H, OH protecting group; A = absent, O, NR1; R1 = H, alkyl; B = absent, alkylidene, keto, amide; D = absent, alkenyl, aryl, heteroaryl; E = absent, carbon chain or one of the carbon is replaced by O, NR1) were prepared as antibacterial agents. Thus, I (m = 3; n = 1; R = H; A, B, D, E = absent) was prepared and tested for its antibacterial activity (MICs = 0.03-100 μg/mL).
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:479052 CAPLUS
DN 129:122840
TI Preparation of 6,9-**bridged** erythromycins as bactericides
IN **Or, Yat Sun**; Clark, Richard F.; Chu, Daniel T.; Plattner, Jacob J.
PA Abbott Laboratories, USA
SO U.S., 20 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 5780605 | A | 19980714 | US 1997-925582 | 19970908 |
| ZA 9807688 | A | 19990224 | ZA 1998-7688 | 19980825 |
| CA 2301643 | AA | 19990318 | CA 1998-2301643 | 19980901 |
| WO 9912947 | A1 | 19990318 | WO 1998-US18225 | 19980901 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9892162 | A1 | 19990329 | AU 1998-92162 | 19980901 |
| EP 1015467 | A1 | 20000705 | EP 1998-944680 | 19980901 |
| EP 1015467 | B1 | 20040107 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO | | | | |
| BR 9812148 | A | 20000718 | BR 1998-12148 | 19980901 |

| | | | | | |
|---|---|---|---|---|---|
| | TR 200000620 | T2 | 20000921 | TR 2000-200000620 | 19980901 |
| | JP 2001515915 | T2 | 20010925 | JP 2000-510753 | 19980901 |
| | AT 257484 | E | 20040115 | AT 1998-944680 | 19980901 |
| | PT 1015467 | T | 20040531 | PT 1998-944680 | 19980901 |
| | ES 2213915 | T3 | 20040901 | ES 1998-944680 | 19980901 |
| | NO 2000001169 | A | 20000405 | NO 2000-1169 | 20000307 |
| | BG 104288 | A | 20010131 | BG 2000-104288 | 20000330 |
| PRAI | US 1997-925582 | A | 19970908 | | |
| | WO 1998-US18225 | W | 19980901 | | |

OS MARPAT 129:122840

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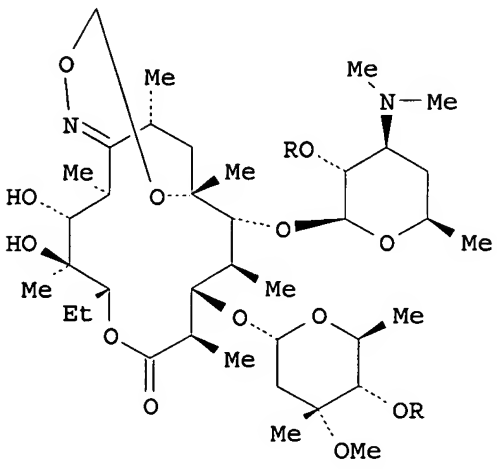


I

AB Novel multi-cyclic erythromycin compds. and pharmaceutically acceptable salts and esters thereof having antibacterial activity having a formula I (R = H, hydroxy protecting group) comprising a therapeutically effective amount of a compound of the invention in combination with a pharmaceutically acceptable carrier, as well as a method for treating bacterial infections by administering to a mammal a pharmaceutical composition containing a therapeutically-effective amount of a compound of the invention. Thus, I (R = H) was prepared as antibacterial agent (MIC = 0.05-128).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1987:406819 CAPLUS

DN 107:6819

TI The synthesis of pentaprismane

AU Eaton, Philip E.; **Or, Yat Sun**; Branca, Stephen J.; Shankar, B. K. Ravi

CS Dep. Chem., Univ. Chicago, Chicago, IL, 60637, USA

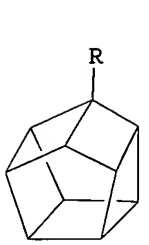
SO Tetrahedron (1986), 42(6), 1621-31
CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

OS CASREACT 107:6819

GI

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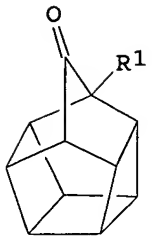


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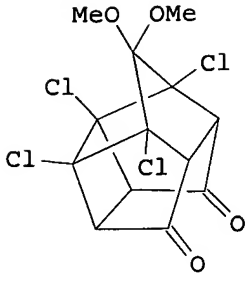


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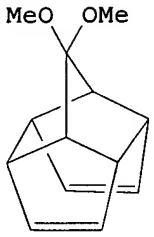


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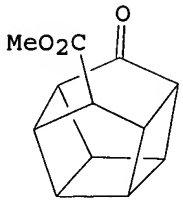


V

AB A 15 step first synthesis of pentaprismane, (I, R = H), is presented and includes a new synthesis of homopentaprismanone (II, R1 = H) as well as a methodol. for the functionalization of a **bridgehead** position α to the carbonyl group of II (R1 = H). Thus, III was reduced and dechlorinated with Li and Me3COH-H2O in NH3 and the resulting diol was sequentially treated with p-MeC6H4SO2Cl, NaI in HMPA, and then Me3CLi to give the dimethoxytetracycloundecadiene thus, III was reduced and dechlorinated with Li and Me3COH-H2O in NH3 and the resulting diol was sequentially treated with p-MeC6H4SO2Cl, NaI in HMPA, and then Me3CLi to give the dimethoxytetracycloundecadiene IV. UV irradiation of IV in Me2CO and then hydrolysis with 30% H2SO4 gave II (R1 = H). Oxidation of II with m-ClC6H4C(O)OOH, and then aqueous KOH and RuO2-NaIO4, followed by treatment with CH2N2 gave oxopentacyclodecanecarboxylate V. Reductive cyclization of V with Na in NH3 and then oxidation with Cl2·Me2S and treatment with Et3N gave II (R1 = HO). Tosylation of the latter II followed by Favorskii rearrangement (20% KOH) gave I (R = CO2H). I (R = H) was obtained by heating I [R = C(O)OOCMe3] at 150° in 2,4,6-(Me2CH)3C6H2NO2.

=> dis hist

(FILE 'HOME' ENTERED AT 14:34:09 ON 01 NOV 2005)

FILE 'REGISTRY' ENTERED AT 14:34:24 ON 01 NOV 2005

L1 STRUCTURE UPLOADED
L2 50 S L1 SSS SAM
L3 15690 S L1 SSS FULL
L4 0 S L3 AND BRIDG?

FILE 'CAPLUS' ENTERED AT 14:36:34 ON 01 NOV 2005

L5 25 S L3 AND BRIDG?
L6 3 S L5 AND (AITHROMYCIN OR DESMETHYL OR ROXITHROMYCIN OR CLARITHR
L7 12 S L5 AND (PROCESS OR METHOD OR PRODUCTION OR SYNTH?)
L8 148 S "OR" YAT SUN/AU
L9 2 S L8 AND (MACROCYC?(A)BRIDG?)
L10 9 S L8 AND BRIDG?